10/ 531,161

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
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NEWS 3	DEC 05	CASREACT(R) - Over 10 million reactions available
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NEWS 5	DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 6	DEC 14	CA/CAplus to be enhanced with updated IPC codes
NEWS 7	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS 8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 9	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 11	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 12	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 13	JAN 30	Saved answer limit increased
NEWS 14	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA
NEWS 15	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 16	FEB 22	Status of current WO (PCT) information on STN
NEWS 17	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 18	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 19	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 20	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS 21	FEB 28	TOXCENTER reloaded with enhancements
NEWS 22	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 23	MAR 01	INSPEC reloaded and enhanced
NEWS 24	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
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NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:03:58 ON 07 MAR 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:04:08 ON 07 MAR 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 MAR 2006 HIGHEST RN 876011-49-3
DICTIONARY FILE UPDATES: 6 MAR 2006 HIGHEST RN 876011-49-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

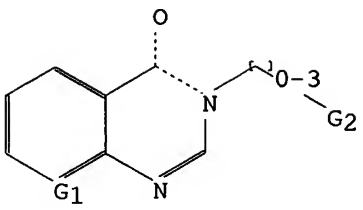
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

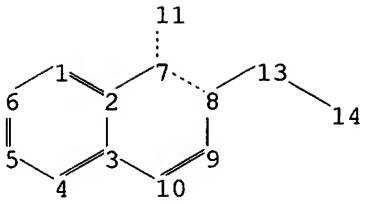
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10531161.str





chain nodes :
11 13 14
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
7-11 8-13 13-14
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 7-11 8-9 8-13 9-10 13-14
isolated ring systems :
containing 1 :

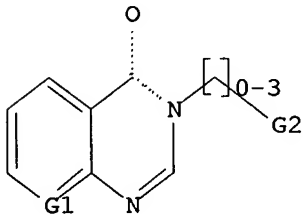
G1:C,N

G2:C,H,O,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 13:CLASS 14:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N
G2 C,H,O,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample
SAMPLE SEARCH INITIATED 17:04:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13224 TO ITERATE

15.1% PROCESSED 2000 ITERATIONS 50 ANSWERS

10/ 531,161

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 257591 TO 271369
PROJECTED ANSWERS: 134376 TO 144384

L2 50 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 17:04:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 264947 TO ITERATE

100.0% PROCESSED 264947 ITERATIONS 138367 ANSWERS
SEARCH TIME: 00.00.11

L3 138367 SEA SSS FUL L1

=> s l3 and (benzyl or phenylethyl or phenylpropyl)
287508 BENZYL
295835 PHENYLETHYL
109791 PHENYLPROPYL
L4 6212 L3 AND (BENZYL OR PHENYLETHYL OR PHENYLPROPYL)

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	182.10	182.31

FILE 'HCAPLUS' ENTERED AT 17:05:48 ON 07 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 7 Mar 2006 VOL 144 ISS 11
FILE LAST UPDATED: 6 Mar 2006 (20060306/ED)

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l4/thu
636 L4
757825 THU/RL
L5 101 L4/THU
(L4 (L) THU/RL)

=> d l5 1- ibib abs fhitstr

L5 ANSWER 1 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

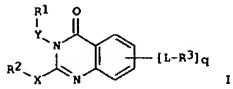
ACCESSION NUMBER: 2006:104528 HCAPLUS
DOCUMENT NUMBER: 144:192275
TITLE: Preparation of quinazolinone derivatives useful for the regulation of glucose homeostasis and food intake
INVENTOR(S): Rudolph, Joachim; O'Connor, Stephen; Coish, Philip; Wickens, Philip; Bondar, Georgiy; Chuang, Chih-Yuan; Ramsden, Philip; Lowe, Derek; Bierer, Donald; Chen, Libing; Fu, Wenlang; Khire, Uday; Liu, Xiao-Gao; Mcclure, Andrea; Wang, Lei; Yi, Lin; Esler, William
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 559 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012577	A2	20060202	WO 2005-US26192	20050722

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-590804P P 20040722
GI

L5 ANSWER 1 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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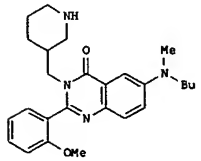


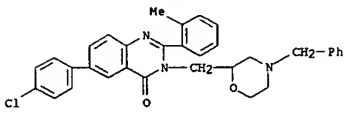
II

AB The invention is related to substituted quinazolinone derivs. I [R1 = (un)substituted pyrrolidin-3-yl, piperidin-3-yl, morpholin-4-yl, etc.; R2 = H, (un)substituted cyclo/alkyl, pyridinyl, Ph, etc.; R3 = H, halo, haloalkyl, (un)substituted Ph, alkyl, etc.; L = a bond, O, CO, S, SO2, NHSO2, NH and derivs., etc.; X = (CH2)m; m = 0-2; Y = (CH2)n; n = 1-2; p = 0-2; with provisos], and their pharmaceutically acceptable salts, and their compns., and methods for treating diabetes, obesity and related disorders, and regulation of glucose homeostasis and food intake (e.g., stimulation and suppression) (no data). The invention is also related to the preparation of quinazolinones I. Five biol. tests are given (no data). Thus, II•TFA was prepared by amination of 5-fluoro-2-nitrobenzoic acid with N-methylbutylamine, reduction of the nitro compound, cyclocondensation with o-anisoyl chloride, reaction with tert-Bu 3-(aminomethyl)piperidine-1-carboxylate (intermediate not isolated), and Boc-deprotection in the presence of TFA.

IT 875259-72-6P, 3-[(4-Benzylmorpholin-2-yl)methyl]-6-(4-chlorophenyl)-2-(2-methylphenyl)quinazolin-4(3H)-one
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of quinazolinones useful for regulation of glucose homeostasis and food intake)

RN 875259-72-6 HCAPLUS
CN 4(3H)-Quinazolinone, 6-(4-chlorophenyl)-2-(2-methylphenyl)-3-[[4-(phenylmethyl)-2-morpholinyl]methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



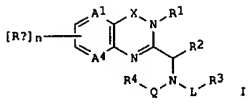
L5 ANSWER 2 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:32072 HCAPLUS
DOCUMENT NUMBER: 144:128993
TITLE: Preparation of fused pyrimidine derivatives as CXCR3 receptor modulators for prevention and treatment of inflammatory and immunoregulatory conditions
INVENTOR(S): Fu, Zice; Johnson, Michael G.; Li, An-Rong; Marcus, Andrew P.; Medina, Julio C.; Bergeron, Philippe; Chen, Xiaoqi; Deignan, Jeffrey; Du, Xiaohui; Duquette, Jason A.; Gustin, Darin; Mihalic, Jeffrey T.
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006004915	A1	20060112	WO 2005-US23251	20050628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-583901P P 20040628
GI



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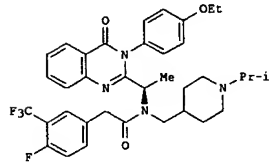


II

L5 ANSWER 2 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

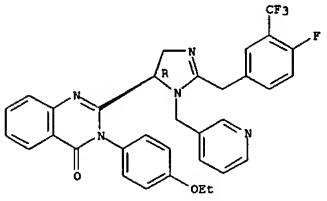
AB Title compds. I [A1, A4 = independently CH and derivs., N; Q = a bond, hetero/alkylene, CO, CH2CO, etc.; L = a bond, alkylene; X = CH2, SO2, CO; Ra = H, OH and derivs., halo, etc.; n = 0-4; R1 = hetero/aryl; R2 = H, halo, hetero/alkyl, etc.; or R2 may be combined with L to form a 5- to 8-membered ring containing 1-3 heteroatoms; R3 = absent, H, SR5, NHSO2R5, piperidin-4-yl, etc.; R3 may be combined with R2 to form a 4- to 8-membered ring containing 1-3 heteroatoms; R5 = hetero/alkyl, hetero/aryl; R4 = hetero/alkyl, hetero/aryl, etc.; and their pharmaceutically acceptable salts and prodrugs] were prepared as chemokine receptor CXCR3 modulators (no data). Two biol. assays are given. Thus, reductive amination of 1-isopropylpiperidine-4-carboxaldehyde with 2-((1R)-1-aminoethyl)-3-(4-ethoxyphenyl)-4(3H)-quinazolinone, and acylation of the amine intermediate with [4-fluoro-3-(trifluoromethyl)phenyl]acetic acid gave quinazolinone II. I are useful for the treatment of inflammatory and immune disorders and diseases, such as multiple sclerosis, rheumatoid arthritis, psoriasis, and inflammatory bowel disease (no data).

IT **873191-31-2P**, (R)-3-(4-Ethoxyphenyl)-2-[2-(4-fluoro-3-trifluoromethylbenzyl)-3-[(pyridin-3-yl)methyl]-4,5-dihydro-3H-imidazol-4-yl]-3H-quinazolin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fused pyrimidine derivs. as CXCR3 receptor modulators for prevention and treatment of inflammatory and immune disorders and diseases)

RN 873191-31-2 HCAPLUS

CN 4(3H)-Quinazolinone, 3-(4-ethoxyphenyl)-2-[(5R)-2-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-4,5-dihydro-1-(3-pyridinylmethyl)-1H-imidazol-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



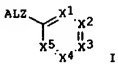
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1351066 HCAPLUS
DOCUMENT NUMBER: 144:88174
TITLE: Preparation of pyridine carboxamides as serine protease inhibitors
INVENTOR(S): Corte, James; Hangeland, Jon; Quan, Mimi; Smallheer, Joanne M.; Fang, Tianan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123680	A1	20051229	WO 2005-US20971	20050614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006009455	A1	20060112	US 2005-151627	20050613
PRIORITY APPLN. INFO.:			US 2004-579637P	P 20040615
			US 2005-683838P	P 20050524
			US 2005-151627	A 20050613

GI



AB Title compds. [I; A = (substituted) cycloalkyl, cycloalkenyl, Ph, naphthyl, heterocyclyl; X1-X4 = CR3, CR4, NR6, NO, CO; ≥1 of X1-X4 = CR3; X5 = N, NR6, NO; Z = CHR11, NR13; L = CONR10, CH2CONR10, CH2NR10CO, etc.; R3 = (CH2)rCONR8R9, Q(CH2)r, etc.; r = 0-4; Q = (substituted) Ph, heterocyclyl; R4 = H, O, F, Cl, Br, iodo, OCF3, CF3, cyano, NO2, CONR8R9, etc.; R6 = H, alkyl, haloalkyl, (substituted) Ph(CH2)r, etc.; R8 = H, (substituted) alkyl, Q(CH2)n; n = 0-4; R9 = H, (substituted) Ph(CH2)n; R10 = H, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl(alkyl), etc.; R11 = haloalkyl, (CH2)rCONR8R9, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, etc.; R13 = H, (substituted) alkyl, Q(CH2)n, acyl, etc.], were prepared Thus, 2-phenyl-1-(4-phenylpyridin-2-yl)ethanamine.2TFA

L5 ANSWER 3 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

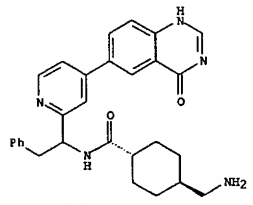
(prepn. given) in DMF at 0° was treated sequentially with Hunig's base, Boc-tranexamic acid, HOBt, and EDCI followed by warming to room temp. to give coupling product which was treated with CF3CO2H in CH2Cl2 to give 4-aminomethylcyclohexanecarboxylic acid [2-phenyl-1-(4-phenylpyridin-2-yl)ethyl]amide bistrifluoroacetate. Preferred I inhibited Factor XIa with Ki ≤15 μM.

IT **872459-31-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyridine carboxamides as serine protease inhibitors)

RN 872459-31-9 HCAPLUS

CN Cyclohexanecarboxamide, 4-(aminomethyl)-N-[1-[4-(1,4-dihydro-4-oxo-6-quinazolinyl)-2-pyridinyl]-2-phenylethyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



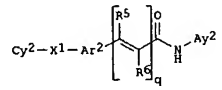
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1346218 HCAPLUS
DOCUMENT NUMBER: 144:88321
TITLE: Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 324 pp., Cont.-in-part of U.S. Ser. No. 358,556.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005288282	A1	20051229	US 2005-91025	20050325
US 2004106599	A1	20040603	US 2002-242304	20020912
US 2004142953	A1	20040722	US 2003-358556	20030204
US 6897220	B2	20050524		
JP 2005255683	A2	20050922	JP 2005-80310	20050318
PRIORITY APPLN. INFO.:			US 2001-322402P	P 20010914
			US 2002-391728P	P 20020626
			US 2002-242304	A2 20020912
			US 2003-358556	A2 20030204
			JP 2003-528544	A3 20020912

GI



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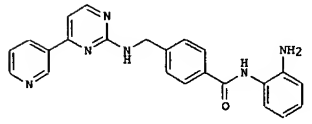


II

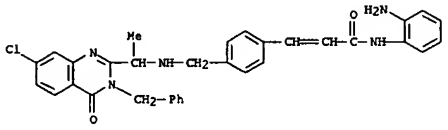
AB The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. Such compds. include carboxamides I [Cy2 = (un)substituted cycloalkyl, aryl, heteroaryl, heterocyclyl (each of which is optionally fused to one or two aryl or heteroaryl rings, or to one or two (un)saturated cycloalkyl or heterocyclic rings); X1 = a bond, M1L2M1, L2M2L2 (wherein L2 = a bond, alkylene, alkenylene, alkynylene; M1 = O, S, SO, NHCO, etc.; M2 = M1, heteroarylene, heterocyclylene); Ar2 =

L5 ANSWER 4 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(un)substituted (hetero)arylene; R5, R6 = H, alkyl, aryl, aralkyl; q = 0-1; Ay2 = (un)substituted 5-6 membered cycloalkkyl, heterocyclyl or heteroaryl substituted with an amino or hydroxy moiety; with provisos] which were prepd. and claimed. E.g., a multi-step synthesis of II, starting from Me 4-(aminomethyl)benzoate.HCl, was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. Although the methods of prepn. are not claimed, hundreds of example prepns. are included.

IT 503041-91-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503041-91-6 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



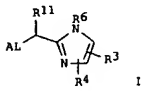
L5 ANSWER 5 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1334801 HCAPLUS
DOCUMENT NUMBER: 144:69830
TITLE: Preparation of 5-membered heterocycles as serine protease inhibitors for treatment of thromboembolic disorders.
INVENTOR(S): Hangeland, Jon J.; Quan, Mimi L.; Smallheer, Joanne M.; Bisacchi, Gregory S.; Corte, James R.; Friends, Todd J.; Sun, Zhong; Rossi, Karen A.; Cavallaro, Cullen L.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 166 pp., which
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005282805	A1	20051222	US 2005-151667	20050613
WO 2005123050	A2	20051229	WO 2005-US21212	20050614

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
US 2004-579638P P 20040615
US 2005-684127P P 20050524
US 2005-151667 A 20050613

GI



I

AB Title compds. e.g. [I; A = (substituted) carbocyclyl, heterocyclyl; L = CONR10, CH2CONR10, SO2NR10, CH2CH2, CH2O, COCH2, etc.; R3 = (CH2)rCONR8R9, (substituted) carbocyclyl(alkyl), heterocyclyl(alkyl), etc.; R4 = H, F, Cl, Br, iodo, OCF3, cyano, NO2, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl, etc.; R6 = H; R8 = H, (substituted) alkyl, phenyl(alkyl), heterocyclylalkyl; R9 = H, (substituted) alkyl,

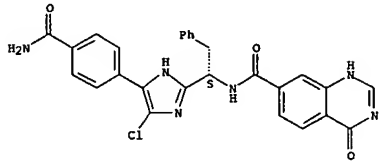
L5 ANSWER 5 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
phenyl(alkyl); R10 = H, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl; r = 0-4], were prepd. Thus, (S)-2-phenyl-1-(4-phenyl-1H-imidazol-2-yl)ethanamine bistrifluoroacetate (prepn. given), 4-amidinobenzoic acid hydrochloride, and BOP reagent were stirred in pyridine for 16 h to give 3% (S)-4-carbamimidoyl-N-[2-phenyl-1-(4-phenyl-1H-imidazol-2-yl)ethyl]benzamide. I are useful as selective inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system such as thrombin, factor Xa, factor XIa, factor IXa, factor VIIa and/or plasma kallikrein; preferred I inhibited these with Ki values of ≤15 μM.

IT 872016-56-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-membered heterocycles as serine protease inhibitors for treatment of thromboembolic disorders)

RN 872016-56-3 HCAPLUS

CN 7-Quinazolinecarboxamide, N-[(1S)-1-[4-[4-(aminocarbonyl)phenyl]-5-chloro-1H-imidazol-2-yl]-2-phenylethyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 6 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1329696 HCAPLUS
DOCUMENT NUMBER: 144:45525
TITLE: Methods for treating mast cell disorders
INVENTOR(S): Hayflick, Joel S.; Pefaur, Noah; Puri, Kamal D.; Tino, William
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005120511	A1	20051222	WO 2005-US19558	20050604

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

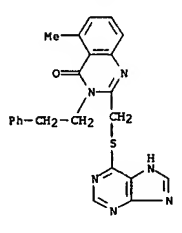
PRIORITY APPLN. INFO.:
US 2004-576947P P 20040604
OTHER SOURCE(S): MARPAT 144:45525

AB The invention provides methods of inhibiting mast cell activity by administering a selective inhibitor of phosphoinositide 3-kinase delta (PI3Kδ). The invention also provides methods for treating or preventing a condition associated with undesirable mast cell activity in an individual comprising administering an effective amount of a selective PI3Kδ inhibitor.

IT 371242-98-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods for treating mast cell disorders)

RN 371242-98-7 HCAPLUS

CN 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1313884 HCAPLUS
DOCUMENT NUMBER: 144:32213
TITLE: Methods using phosphoinositide 3-kinase δ inhibitors for treating and/or preventing aberrant proliferation of hematopoietic cells
INVENTOR(S): Hayflick, Joel S.; Bouscary, Didier; Lacombe, Catherine; Mayeux, Patrick
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005117889	A1	20051215	WO 2004-US37860	20041112

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

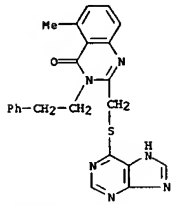
PRIORITY APPLN. INFO.: US 2004-574481P P 20040525
US 2004-578683P P 20040609
OTHER SOURCE(S): MARPAT 144:32213

AB The invention discloses methods for treating and/or preventing aberrant proliferation of hematopoietic cells. More particularly, the invention discloses methods for treating and/or preventing aberrant proliferation of hematopoietic cells comprising selectively inhibiting phosphoinositide 3-kinase δ (PI3Kδ) activity in hematopoietic cells. The methods may be used to treat any indication involving aberrant proliferation of hematopoietic cells.

IT **371242-98-7**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(phosphoinositide 3-kinase δ inhibitors for treating and/or preventing aberrant proliferation of hematopoietic cells)

RN 371242-98-7 HCAPLUS
CN 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1262655 HCAPLUS
DOCUMENT NUMBER: 144:612
TITLE: Phosphoinositide 3-kinase δ selective inhibitors for inhibiting angiogenesis
INVENTOR(S): Hallahan, Dennis; Hayflick, Joel S.; Sadhu, Chanchal
PATENT ASSIGNEE(S): Vanderbilt University, USA; Icos Corporation
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005112935	A1	20051201	WO 2004-US29561	20040909

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

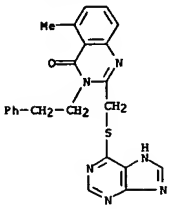
PRIORITY APPLN. INFO.: US 2004-570688P P 20040513
OTHER SOURCE(S): MARPAT 144:612

AB The invention discloses methods for inhibiting angiogenesis. The methods comprise selectively inhibiting phosphoinositide 3-kinase delta (PI3Kδ) activity in endothelial cells. The methods may comprise administration of one or more cytotoxic therapies including but not limited to radiation, chemotherapeutic agents, photodynamic therapies, radiofrequency ablation, anti-angiogenic agents, and combinations thereof. Inhibitors of the invention include quinazolin-4-one derivs.

IT **371242-98-7**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(phosphoinositide 3-kinase δ inhibitors for angiogenesis inhibition)

RN 371242-98-7 HCAPLUS
CN 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1240775 HCAPLUS
DOCUMENT NUMBER: 144:17202
TITLE: Novel 2-amino-4-quinazolinones and 2-amino-4-oxoquinazolones as LXR (liver X receptor) nuclear receptor binding compounds with partial agonistic properties
INVENTOR(S): Deuschle, Ulrich; Loebbert, Ralph; Blume, Beatrix; Koegl, Manfred; Kremoser, Claus; Kober, Ingo; Bauer, Ulrike; Hermann, Kristina; Albers, Michael
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

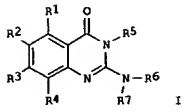
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261319	A1	20051124	US 2005-76163	20050309
EP 1407774	A1	20040414	EP 2002-20255	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CA 2498655	AA	20040325	CA 2003-2498655	20030702
WO 2004024162	A1	20040325	WO 2003-EP7067	20030702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296861	A1	20040430	AU 2003-296861	20030702
JP 2006502169	T2	20060119	JP 2004-535046	20030702
WO 2004024161	A1	20040325	WO 2003-EP10036	20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003271595	A1	20040430	AU 2003-271595	20030910
EP 1536799	A1	20050608	EP 2003-753402	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.:
EP 2002-20255 A 20020910
WO 2003-EP7067 A2 20030702
WO 2003-EP10036 A2 20030910

OTHER SOURCE(S): MARPAT 144:17202

L5 ANSWER 9 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

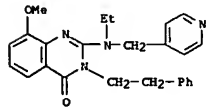
GI



AB The present invention relates to compds. according to the general formula (I) wherein R1, R2, R3 and/or R4, are independently from each other selected from H, halogen, hydroxy, protected hydroxy, cyano, nitro, C1 to C6 alkyl, C1 to C6 substituted alkyl, C1 to C7 alkoxy, C1 to C7 substituted alkoxy, C1 to C7 acyl, C1 to C7 substituted acyl, C1 to C7 acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, (monosubstituted) amino, protected (monosubstituted) amino, (disubstituted) amino, carboxamide, protected carboxamide, N-(C1 to C6 alkyl)carboxamide, protected N-(C1 to C6 alkyl)carboxamide, N,N-di(C1 to C6 alkyl)carboxamide, trifluoromethyl, N-[(C1 to C6 alkyl)sulfonyl]amino, N-(phenylsulfonyl)amino or substituted or unsubstituted phenyl; R5 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, R6 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, R7 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, and R6 and R7 may be taken together with nitrogen to form a heterocycle or substituted heterocycle or a heteroaryl or substituted heteroaryl ring. I bind to the LXR receptors and act as agonists and antagonists of the LXR receptors. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptor by said compds. and the production of medicaments using said compds.

IT **307956-46-3**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel 2-aminoquinazolinones and 2-aminooxoquinazolones as LXR nuclear receptor binding compds. with partial agonistic properties for treatment of diseases)

RN 307956-46-3 HCAPLUS
CN 4(3H)-Quinazolinone, 2-[ethyl(4-pyridinylmethyl)amino]-8-methoxy-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



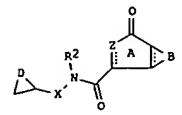
L5 ANSWER 9 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L5 ANSWER 10 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1193013 HCAPLUS
DOCUMENT NUMBER: 143:460174
TITLE: Preparation of heterocyclic amides as MMP-13 inhibitors for treating osteoarthritis and rheumatoid arthritis
INVENTOR(S): Terauchi, Jun; Kuno, Haruhiko; Nara, Hiroshi; Oki, Hideyuki; Sato, Kenjiro
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 455 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105760	A1	20051110	WO 2005-JP8549	20050428

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-135596 A 20040430
OTHER SOURCE(S): MARPAT 143:460174
GI



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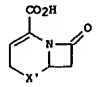

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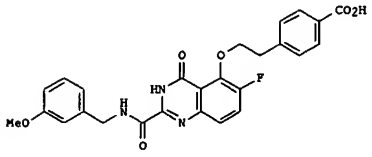
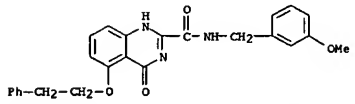


III

AB The invention is related to the preparation of heterocyclic amides of formula I
[A = (un)substituted N-containing heterocycle; B = (un)substituted monocyclic homocycle or heterocycle; Z = N, NH and derivs.; R2 = H, (un)substituted hydrocarbyl; X = (un)substituted spacer; D = (un)substituted heterocycle other than II; X' = S, O, SO, CH2; and at least one of B and C has substituent(s); with the exception of 2 compds.; their salts, and their prodrugs] having a matrix metalloproteinase, particularly MMP-13, inhibitory activity. Thus, reacting 5,6-difluoro-N-[[3-(methyloxy)phenyl]methyl]-4-oxo-3,4-dihydroquinazoline-2-carboxamide (preparation given) with 4-(2-hydroxyethyl)benzoic acid gave amide III in 70% yield. III displayed an inhibitory rate of 99% towards MMP-13 activity. I are useful for treating osteoarthritis and rheumatoid arthritis.
IT **869294-90-6P**, N-[[3-(Methyloxy)phenyl]methyl]-4-oxo-5-[(2-phenylethyl)oxy]-3,4-dihydroquinazoline-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic amides as MMP-13 inhibitors for treating osteoarthritis and rheumatoid arthritis)
RN 869294-90-6 HCAPLUS
CN 2-Quinazolinecarboxamide, 1,4-dihydro-N-[(3-methoxyphenyl)methyl]-4-oxo-5-(2-phenylethoxy)- (9CI) (CA INDEX NAME)

L5 ANSWER 10 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



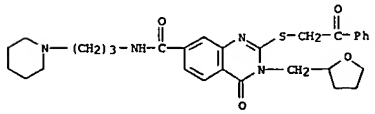
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1049750 HCAPLUS
DOCUMENT NUMBER: 143:332577
TITLE: Pharmaceutical compositions comprising anti-inflammatory quinazolinecarboxamides
INVENTOR(S): Gregor, Paul; Harris, Nicholas; Koppel, Juraj; Zhuk, Regina
PATENT ASSIGNEE(S): Rimonyx Pharmaceuticals Ltd., Israel
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089068	A2	20050929	WO 2005-IL336	20050324

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-555667P P 20040324
OTHER SOURCE(S): MARPAT 143:332577
AB Pharmaceutical compns. comprising quinazolinecarboxamides are capable of inhibiting heparan sulfate-glycosaminoglycan (HS-GAGs) interactions with L-selectin, and useful in the prevention or treatment of various diseases, disorders and conditions mediated by HS-GAGs, particularly inflammatory and autoimmune diseases, viral diseases, cancer, and amyloid disorders. Thus, capsules contained a quinazolinecarboxamide 30.0, starch 305.0, and Mg stearate 5.0 mg/capsule.
IT **422289-69-8**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising anti-inflammatory quinazolinecarboxamides)
RN 422289-69-8 HCAPLUS
CN 7-Quinazolinecarboxamide, 3,4-dihydro-4-oxo-2-[(2-oxo-2-phenylethyl)thio]-N-[3-(1-piperidinyl)propyl]-3-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

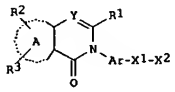


L5 ANSWER 12 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:823314 HCAPLUS
DOCUMENT NUMBER: 143:211923
TITLE: Preparation of fused-ring 4-oxopyrimidine derivatives as histamine H3 receptor antagonists or inverse agonists
INVENTOR(S): Nagase, Tsuyoshi; Sato, Nagaaki; Kanatani, Akio; Tokita, Shigeru
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 84 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005182045	A1	20050818	US 2005-58444	20050214
WO 2005077905	A1	20050825	WO 2005-JP2664	20050214

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-37190 A 20040213
OTHER SOURCE(S): MARPAT 143:211923
GI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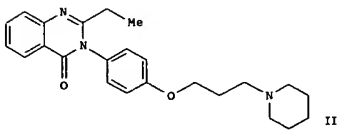
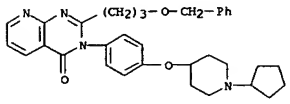

II

L5 ANSWER 12 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB The present invention provides fused-ring 4-oxopyrimidines (shown as I; variables defined below; e.g. 2-ethyl-3-[4-[3-(1-piperidinyl)propoxy]phenyl]-4(3H)-quinazolinone (shown as II)) or pharmaceutically acceptable salts thereof, which, having histamine H3 receptor antagonist or inverse agonist activity, are useful in the prophylaxis or therapy of metabolic diseases, circulatory diseases, or nervous system diseases. For I: e.g. Ar is a divalent group formed by eliminating two H atoms from benzene; X1 = N, S, or O; R1 is a 5- to 6-membered heteroaryl group; Ring A is a 5- to 6-membered heteroaryl ring; R2 and R3 are amino or alkylamino groups; Y = CH or N; and X2 = -(CH2)nNR4R5 (R4 and R5 are lower alkyl groups, and n = 2-4). Although the methods of preparation are not claimed, .apprx.275 example prepns. are included. For example, II was prepared in 4 steps (98, 66, 82 and 47 %) starting from anthranilic acid and propionic anhydride and involving intermediates 2-ethyl-4H-3,1-benzoxazin-4-one, 2-ethyl-3-(4-hydroxyphenyl)-4(3H)-quinazolinone, and 2-ethyl-3-[4-(3-chloropropoxy)phenyl]-4(3H)-quinazolinone. Pharmacol. results are provided for II for the following tests: histamine analog coupling inhibition, antagonism of drinking behavior induced by R-α-methylhistamine (a histamine H3 receptor selective agonist), in vitro kinetics, and brain/cerebrospinal fluid activity.
IT 862314-02-1P, 2-[3-(Benzyloxy)propyl]-3-[4-[(1-cyclopentylpiperidin-4-yl)oxy]phenyl]pyrido[2,3-d]pyrimidin-4(3H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of fused ring 4-oxopyrimidine derivs. as histamine H3 receptor antagonists or inverse agonists)
RN 862314-02-1 HCAPLUS
CN Pyrido[2,3-d]pyrimidin-4(3H)-one, 3-[4-[(1-cyclopentyl-4-piperidinyl)oxy]phenyl]-2-[3-(phenylmethoxy)propyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 13 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:673090 HCAPLUS
DOCUMENT NUMBER: 143:166655
TITLE: Phosphoinositide 3-kinase δ-selective inhibitors for treating and preventing hypertension and hypertension-related disorders
INVENTOR(S): Watts, Stephanie W.; Northcott, Carrie A.
PATENT ASSIGNEE(S): Michigan State University, USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005067901	A2	20050728	WO 2005-US677	20050107
WO 2005067901	A3	20051201		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005239809	A1	20051027	US 2005-31477	20050107
PRIORITY APPLN. INFO.:			US 2004-535412P	P 20040108
			US 2004-547107P	P 20040224
			US 2004-548620P	P 20040227

OTHER SOURCE(S): MARPAT 143:166655
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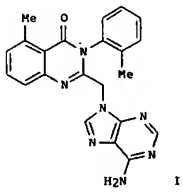
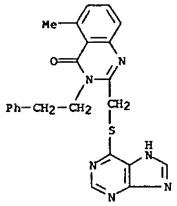

I

AB The present invention is based on the discovery that the δ isoform of phosphoinositide 3-kinase (PI-3-K) plays a role in arterial spontaneous tone, and specifically the p110δ subunit in the mesenteric resistance arteries. The data emphasize the critical importance of the p110δ subunit of PI-3-K to the development of hypertension and

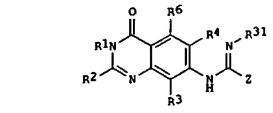
L5 ANSWER 13 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
hypertension-related conditions by showing that it is localized to the vascular smooth muscle cells, up-regulated in both activity and expression, and pharmacol. responsive to specific inhibitors as evidence by changes in spontaneous tone. Thus, compds. that selectively inhibit phosphoinositide 3-kinase (PI-3-K) p110δ expression activity can be used to treat hypertension and hypertension-related disorders. Inhibitors of expression include ribozymes, antisense oligonucleotides, and siRNA, while inhibitors of activity may include aptamers and small mols. In particular, 2-(6-aminopurin-9-ylmethyl)-5-methyl-3-o-tolyl-3H-quinazolin-4-one (I) is provided as a selective PI-3-Kδ inhibitor for the treatment of hypertension.
IT 371242-98-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphoinositide 3-kinase δ-selective inhibitors for treating and preventing hypertension and hypertension-related disorders)
RN 371242-98-7 HCAPLUS
CN 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 14 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:490293 HCAPLUS
DOCUMENT NUMBER: 143:43903
TITLE: Preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation
INVENTOR(S): Boyce, Rustum S.; Speake, Jason D.; Phillips, James
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051391	A1	20050609	WO 2004-US39020	20041119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005192297	A1	20050901	US 2004-993147	20041119
PRIORITY APPLN. INFO.:			US 2003-523336P P	20031119
			US 2003-524492P P	20031124
OTHER SOURCE(S):	MARPAT 143:43903			

GI



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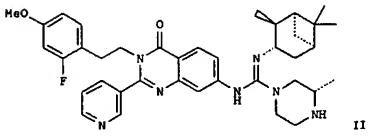


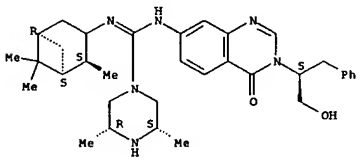
II

AB Title compds. [I; R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R2 = H, (substituted) aralkyl, heteroarylalkyl, alkoxy, alkylamino, dialkylamino, aryl, heteroaryl, heterocyclyl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3, R4, R6 = H, Cl, F, Br, iodo, OH, NH2, cyano, NO2, (substituted) alkoxy, alkyl; R31 = H, (substituted) alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl; Z = (substituted) 3-oxopiperazinyl; and tautomers], were prepared Thus, title compound (II) (preparation via coupling of 6-methylpiperazin-2-one with the corresponding quinazolinylthiourea derivative in the presence of polymer-supported carbodiimide) showed a plasma half life of 1.9 h in mice.

IT 628689-36-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation)

RN 628689-36-1 HCAPLUS
CN 1-Piperazinecarboximidamide, N-[3,4-dihydro-3-[(1S)-1-(hydroxymethyl)-2-phenylethyl]-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-[(1S,2S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

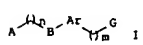


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:472138 HCAPLUS
DOCUMENT NUMBER: 143:26619
TITLE: Preparation of heterocyclic compounds as hypolipidemic agents
INVENTOR(S): Lohray, Braj Bhushan; Lohray, Vidya Bhushan
PATENT ASSIGNEE(S): Cadila Healthcare Limited, India
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049589	A2	20050602	WO 2004-IN319	20041014
WO 2005049589	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			IN 2003-MU1064 A	20031014
OTHER SOURCE(S):	MARPAT 143:26619			

GI



I

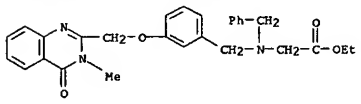
AB Title compds. I [G = NR1(CH2)pY; A = (hetero)aryl, etc.; B = O, S; Ar = optionally substituted divalent (hetero)aromatic, etc.; R1 = H, alk(en/yn)yl, etc.; n, m, p = 1-3; Y = acyl, carboxy, etc.] are prepared For instance, Et [4-[2-(2,3-dihydrobenzo[1,4]oxazin-4-yl)ethoxy]benzylamino]acetate is prepared by treatment of 4-[2-(2,3-dihydrobenzo[1,4]oxazin-4-yl)ethoxy]benzaldehyde with glycine Et ester•HCl (MeOH, Et3N, NaBH4, 30°, 1 h). I showed good serum glucose, lipid and cholesterol lowering activity; a selected example compound at 3 mg/kg/day showed a 57% reduction in serum glucose.

IT 852816-76-3P, Ethyl [benzyl[3-((3-methyl-4-oxo-3,4-dihydroquinazolin-2-yl)methoxy)benzyl]amino]acetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as hypolipidemic agents)

RN 852816-76-3 HCAPLUS
CN Glycine, N-[[3-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]phenyl]methyl]-N-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 14 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

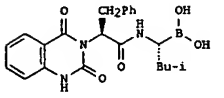
L5 ANSWER 15 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 16 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:464739 HCAPLUS
DOCUMENT NUMBER: 143:78299
TITLE: Preparation of boric acid derivatives as anticancer agents
INVENTOR(S): Li, Renfa; Feng, Zixia
PATENT ASSIGNEE(S): Shanghai Yahu Pharmaceutical Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1521171	A	20040818	CN 2003-115385	20030213
PRIORITY APPLN. INFO.:			CN 2003-115385	20030213

OTHER SOURCE(S): CASREACT 143:78299
GI



I

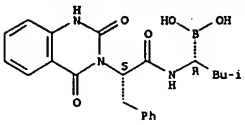
AB The present invention relates to one kind of boric acid derivs. with general formula of R1R2N-CH(R3)-CH(R4)-BZ1Z2 [wherein R1 and R2 together form an (un)substituted cyclic, bicyclic, or tricyclic ring with the adjacent nitrogen atom; R3 and R4 = independently = H, alkyl, cycloalkyl, (un)substituted aryl, or heterocyclyl; X = CONH, SO2NH, CH2NH, etc.; Z1 and Z2 = independently OH, alkyl, alkoxy, or aryloxy; or Z1 and Z2 together form a ring with the adjacent boron atom]. For example, the compound I was prepared II inhibited 20S proteinase with Ki of 0.55 nM in rabbit. These compds. can selectively block the proliferation of tumor cells, induce programmed cell death and effectively suppress tumor cell growth in human body.

IT **855283-11-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer agent; preparation of boric acid derivs. as anticancer agents)

RN 855283-11-3 HCAPLUS
CN Boronic acid, [(1R)-1-[[(2S)-2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-1-oxo-3-phenylpropyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

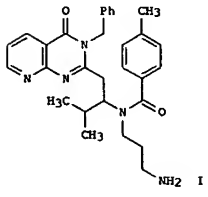
L5 ANSWER 16 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 17 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:451117 HCAPLUS
DOCUMENT NUMBER: 143:1246
TITLE: Pyrido[2,3-d]pyrimidin-4-one derivatives for treating cellular proliferative diseases and disorders by modulating the activity of KSP
INVENTOR(S): Bergnes, Gustave
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005046588	A2	20050526	WO 2004-US36853	20041105
WO 2005046588	A3	20050811		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005148593	A1	20050707	US 2004-982195	20041105
PRIORITY APPLN. INFO.:			US 2003-518033P	P 20031107

OTHER SOURCE(S): MARPAT 143:1246
GI



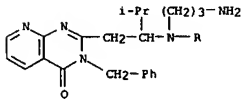
I

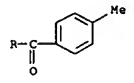
AB Compds., compns. and methods useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP (kinesin spindle protein) are disclosed. An example compound is I. Examples given include induction of mitotic arrest in cell populations treated with KSP inhibitors and inhibition of cellular proliferation in tumor cell lines treated with KSP inhibitors.

IT **852288-77-8**, N-(3-Aminopropyl)-N-[1-(3-benzyl-4-oxo-3,4-dihydro-

L5 ANSWER 17 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
pyrido[2,3-d]pyrimidin-2-ylmethyl)-2-methylpropyl]-4-methylbenzamide
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(pyrido[2,3-d]pyrimidin-4-one derivs. for treating cellular proliferative diseases and disorders by modulating the activity of KSP)

RN 852288-77-8 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[1-[[3,4-dihydro-4-oxo-3-(phenylmethyl)pyrido[2,3-d]pyrimidin-2-yl]methyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)





L5 ANSWER 18 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:324009 HCAPLUS
DOCUMENT NUMBER: 142:392426
TITLE: Preparation of 2,4-dioxo-3-quinazolinylaryl sulfonylureas for treating thrombosis and thrombosis related conditions or disorders
INVENTOR(S): Scarborough, Robert M.; Huang, Wolin; Pandey, Anjali; Bauer, Shawn M.; Zhang, Xiaoming; Jia, Zhaozhong J.
PATENT ASSIGNEE(S): Portola Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005032488	A2	20050414	WO 2004-US32921	20040929
WO 2005032488	A3	20050512		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005107357	A1	20050519	US 2004-956004	20040929
PRIORITY APPLN. INFO.:			US 2003-508564P	P 20031003
OTHER SOURCE(S):	MARPAT 142:392426			

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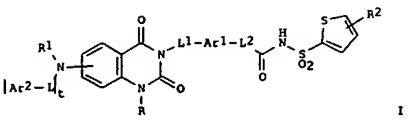
L5 ANSWER 18 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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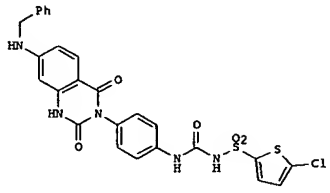


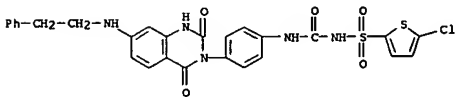
II

AB The title compds. I [R = H, alkyl; R1 = H, alkyl, haloalkyl, etc.; R2 = H, halo, alkyl, etc.; L = CH2, CH(Me), CH2CH2, CH2CH(Me), (CH2)3; L1 = a bond, CH2; L2 = a bond, NH, CH2; Ar1 = (un)substituted benzene, pyridine, pyrimidine; Ar2 = (un)substituted 5-6 membered monocyclic or 9-10 membered fused-bicyclic aromatic ring system optionally having from 1-3 heteroatoms; t = 0 or 1 when L2 = a bond, and t = 1 when L2 = NH or CH2] which are useful for the inhibition of ADP-dependent platelet aggregation, particularly in the treatment of thrombosis and thrombosis related conditions or disorders, were prepared E.g., a multi-step synthesis of II, starting from Me 4-tert-butoxycarbonylamino-2-nitrobenzoate and benzyl bromide, was given. The compound II showed IC50 of < 10 μM in the PRP assay. The pharmaceutical composition comprising the compound I is disclosed.

IT **849792-80-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinylaryl sulfonylureas for treating thrombosis and thrombosis related conditions or disorders)

RN 849792-80-9 HCAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[[[4-[1,4-dihydro-2,4-dioxo-7-[(2-phenylethyl)amino]-3(2H)-quinazolinyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 18 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 19 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:284146 HCAPLUS
DOCUMENT NUMBER: 142:355155
TITLE: Preparation of N-(4-aminocyclohexyl)benzothiophene-2-carboxamides and related amides as small organic molecule regulators of cell proliferation
INVENTOR(S): Baxter, Anthony David; Boyd, Edward Andrew; Frank-Kamenetsky, Maria; Porter, Jeffery; Price, Stephen; Rubin, Lee L.; Stibbard, John Harry Alexander
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 135 pp., Cont.-in-part of U.S. Ser. No. 964,276.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005070578	A1	20050331	US 2004-491225	20040916
US 6683108	B1	20040127	US 2000-724492	20001128
US 2002198236	A1	20021226	US 2001-964276	20010926
US 6683192	B2	20040127		
WO 2003027234	A2	20030403	WO 2002-US29522	20020918
WO 2003027234	A3	20031218		
WO 2003027234	C2	20040219		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	US 2000-193279P	P	20000330
	US 2000-724492	A2	20001128
	US 2001-964276	A2	20010926
	WO 2002-US29522	W	20020918
OTHER SOURCE(S):	MARPAT 142:355155		

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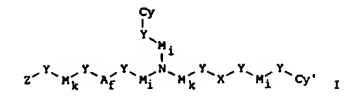
L5 ANSWER 19 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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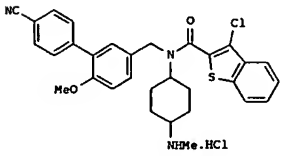


III

AB The compds. (I) [Ar = each (un)substituted aryl or heteroaryl ring; X = C(:O)-, -C(:S)-, -S(O2)-, -S(O)-, methylene optionally substituted with 1-2 lower alkyls; Y = absent for each occurrence; Z = absent or each (un)substituted aryl, carbocyclyl, heterocyclyl, or heteroaryl ring, or a lower alkyl, nitro, cyano, or halogen substituent; M = (un)substituted methylene group, or two M taken together represent (un)substituted ethene or ethyne; Cy = each (un)substituted aryl, heterocyclyl, heteroaryl, or cycloalkyl, including polycyclic groups; Cy' = 3-chlorobenzo[b]thien-2-yl, 3-fluorobenzo[b]thien-2-yl, or 3-methylbenzo[b]thien-2-yl, wherein the benzo ring is substituted with from 1-4 substituents selected from halogen, nitro, cyano, Me, and ethyl; i represents 0 for all occurrences except in the sequence n-Mi-Y-Ar, where i represents 1; k = 0] are prepared The present invention makes available methods and reagents for modulating proliferation or differentiation in a cell or tissue comprising contacting the cell with a hedgehog agonist, i.e. the compound I. In certain embodiments, the methods and reagents may be employed to correct or inhibit an aberrant or unwanted growth state, e.g., by antagonizing a normal ptc pathway or agonizing smoothened or hedgehog activity. In particular, these compds. are useful for therapeutic or cosmetic application in regulation of neural tissues, bone and cartilage formation and repair, regulation of spermatogenesis, regulation of smooth muscle, regulation of lung, liver and other organs arising from the primitive gut, regulation of hematopoietic function, and regulation of skin and hair growth. Thus, reductive alkylation of N-(4-aminocyclohexyl)-N-methylcarbamic acid tert-Bu ester with 4'-cyano-6-methoxybiphenyl-3-carboxaldehyde and sodium triacetoxyborohydride in tri-Me orthoformate at room temperature gave 69% N-[4-[N-[(3-chlorobenzo[b]thiophen-2-yl)carbonyl]-N-[(4'-cyano-6-methoxybiphenyl-3-yl)methyl]amino]cyclohexyl]-N-methylcarbamic acid tert-Bu ester which was acylated by 3-chlorobenzo[b]thiophene-2-carbonyl chloride in the presence of N,N-diisopropylethylamine in CH2Cl2 at room temperature for .apprx.2.5 h to give

L5 ANSWER 19 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

93% N-[4-[N-[(3-Chlorobenzo[b]thiophen-2-yl)carbonyl]-N-[(4'-cyano-6-methoxybiphenyl-3-yl)methyl]amino]cyclohexyl]-N-methylcarbamic acid tert-Bu ester (II). II in ethanol was treated with concd. HCl and stirred to give 3-Chlorobenzo[b]thiophene-2-carboxylic-acid N-[(4'-cyano-6-methoxybiphenyl-3-yl)methyl]-N-(4-methylaminocyclohexyl)amide hydrochloride (III). The compds. I (hedgehog protein agonists) agonized hedgehog mediated signal transduction with an ED50 of 1 nM or less.

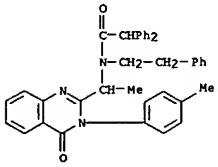
IT 334799-72-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(4-aminocyclohexyl)benzothiophene-2-carboxamides and related amides as small organic mol. regulators of cell proliferation or differentiation)

RN 334799-72-3 HCAPLUS

CN Benzeneacetamide, N-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]ethyl]-α-phenyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 20 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:216604 HCAPLUS

DOCUMENT NUMBER: 142:291339

TITLE: Compositions and methods using small mol. Trp-p8 modulators for the treatment of diseases associated with Trp-p8 expression

INVENTOR(S): Natarajan, Sateesh K.; Moreno, Ofir; Graddis, Thomas J.; Duncan, David; Laus, Reiner; Chen, Feng

PATENT ASSIGNEE(S): Dendreon Corporation, USA

SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020897	A2	20050310	WO 2004-US26931	20040820
WO 2005020897	A3	20050811		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005054651	A1	20050310	US 2004-923413	20040820

PRIORITY APPLN. INFO.: US 2003-497384P P 20030822

OTHER SOURCE(S): MARPAT 142:291339

AB Provided are small-mol. Trp-p8 modulators, including Trp-p8 agonists and Trp-p8 antagonists, and compns. comprising small-mol. Trp-p8 agonists as well as methods for identifying and characterizing small-mol. Trp-p8 modulators and methods for decreasing viability and/or inhibiting growth of Trp-p8 expressing cells, methods for activating Trp-p8-mediated cation influx, methods for stimulating apoptosis and/or necrosis, and related methods for the treatment of diseases, including cancers such as lung, breast, colon, and/or prostate cancers as well as other diseases, such as benign prostatic hyperplasia, that are associated with Trp-p8 expression. Preparation of selected p-menthane derivs. is described.

IT 847566-93-2

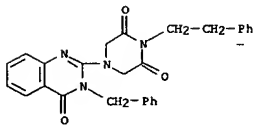
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(small mol. Trp-p8 modulators for treatment of diseases associated with Trp-p8 expression)

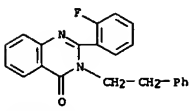
RN 847566-93-2 HCAPLUS

CN 2,6-Piperazinedione, 4-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 20 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 21 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:199466 HCAPLUS
DOCUMENT NUMBER: 142:348143
TITLE: 3H-Quinazolin-4-ones as a new calcilytic template for the potential treatment of osteoporosis
AUTHOR(S): Shcherbakova, Irina; Balandrin, Manuel F.; Fox, John; Ghatak, Anjan; Heaton, William L.; Conklin, Rebecca L.
CORPORATE SOURCE: Drug Discovery, NPS Pharmaceuticals, Inc., Salt Lake City, UT, 84108, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(6), 1557-1560
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:348143
AB Structure-activity relationship studies, focused on identification of the active pharmacophore fragments in a single high-throughput screening calcilytic hit, resulted in the discovery of potent calcium receptor antagonists, substituted 3H-quinazolin-4-ones.
IT 312277-73-9P
RL: PAC (Pharmacological activity); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(3H-quinazolin-4-ones preparation and structure-related potential for osteoporosis treatment)
RN 312277-73-9 HCAPLUS
CN 4(3H)-Quinazolinone, 2-(2-fluorophenyl)-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

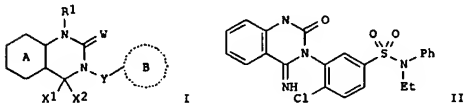


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:182640 HCAPLUS
DOCUMENT NUMBER: 142:280220
TITLE: Preparation of quinazoline-2,4(1H,3H)-dione derivatives as gonadotropin-releasing hormone antagonists
INVENTOR(S): Hamamura, Kazumasa; Oda, Tsuneo; Kusaka, Masami; Kanzaki, Naoyuki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 541 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019188	A1	20050303	WO 2004-JP12322	20040820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005097276	A2	20050414	JP 2004-241721	20040820
PRIORITY APPLN. INFO.:			JP 2003-298637 A	20030822
OTHER SOURCE(S):		MARPAT 142:280220		

GI



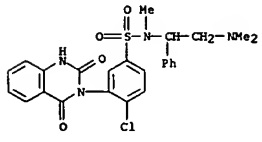
I II

AB The title quinazoline-2,4(1H,3H)-dione derivs. I [wherein R1 = H or (un)substituted hydrocarbyl; ring A = (un)substituted aromatic 6-membered ring; ring B = (un)substituted (hetero)cyclyl; W = O or S; X1 and X2 = independently H, (un)substituted hydrocarbyl, or heterocyclyl; or X1 and X2 together form =O, =S, or (un)substituted =NH; Y = a bond or (un)substituted alkylene], or salts or prodrugs thereof are prepared as gonadotropin-releasing hormone antagonists. For example, the compound II was prepared in a multi-step synthesis. I inhibited 75.4-99.9% of human gonadotropin releasing hormone at the concentration of 10 nM. I are useful for
the treatment of prostatic hyperplasia, hysteromyoma, endometriosis,

L5 ANSWER 22 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
uterus fibroma, etc. (no data). Formulations contg. I as an active ingredient were also described.
IT 847166-84-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazoline-2,4(1H,3H)-dione derivs. as gonadotropin-releasing hormone antagonists)
RN 847166-84-1 HCAPLUS
CN Benzenesulfonamide, 4-chloro-3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-N-[2-(dimethylamino)-1-phenylethyl]-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 847166-83-0
CMF C25 H25 Cl N4 O4 S



CM 2

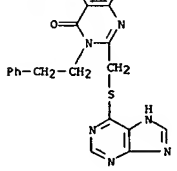
CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:160815 HCAPLUS
DOCUMENT NUMBER: 142:233323
TITLE: Methods of inhibiting immune responses stimulated by an endogenous factor by administering phosphoinositide 3-kinase δ selective inhibitors
INVENTOR(S): Douangpanya, Jason; Hayflick, Joel S.; Puri, Kamal D.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043239	A1	20050224	US 2004-918803	20040813
PRIORITY APPLN. INFO.:			US 2003-495370P P	20030814
			US 2004-540090P P	20040128
OTHER SOURCE(S):		MARPAT 142:233323		

AB The present invention relates generally to phosphoinositide 3-kinases (PI3Ks), and more particularly to methods of inhibiting undesirable immune responses without inhibiting desired immune responses. In one embodiment, the invention provides methods of inhibiting an endogenous immune response stimulated by at least one endogenous factor without substantially inhibiting an exogenous immune response stimulated by at least one exogenous factor comprising administering an amount of a phosphoinositide 3-kinase delta (PI3Kδ) selective inhibitor effective to inhibit the endogenous immune response stimulated by endogenous factor without substantially inhibiting the exogenous immune response stimulated by the at least one exogenous factor.
IT 371242-98-7
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as PI3Kδ selective inhibitor; phosphoinositide 3-kinase δ selective inhibitors for inhibiting immune responses stimulated by endogenous factor)
RN 371242-98-7 HCAPLUS
CN 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

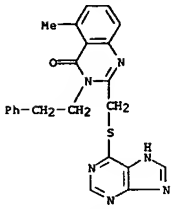


L5 ANSWER 24 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:158543 HCAPLUS
DOCUMENT NUMBER: 142:233321
TITLE: Methods of inhibiting leukocyte accumulation
INVENTOR(S): Diacovo, Thomas G.; Hayflick, Joel S.; Puri, Kamal D.
PATENT ASSIGNEE(S): Icos Corporation, USA; Washington University
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016349	A1	20050224	WO 2004-US26834	20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005054614	A1	20050310	US 2004-918825	20040813
PRIORITY APPLN. INFO.:			US 2003-495370P P	20030814
			US 2004-540036P P	20040128

OTHER SOURCE(S): MARPAT 142:233321
AB The invention relates generally to phosphoinositide 3-kinases (PI3Ks), and more particularly to methods of inhibiting leukocyte accumulation comprising selectively inhibiting phosphoinositide 3-kinase delta (PI3Kδ) activity in vascular endothelial cells. The adhesivity induced in these cells can result in temporary adhesion between the leukocytes and the endothelial cells, typically referred to as leukocyte tethering. Leukocyte tethering is generally mediated by interactions between selectin receptors including but not limited to E-selectin and P-selectin on endothelial cells and corresponding ligands present on leukocytes. The disclosed methods may be used to treat individuals having an inflammatory condition where leukocytes are accumulating at the site of insult or inflamed tissue. The disclosed methods may affect inflammatory conditions mediated by one or more components of the PI3K/Akt signal transduction pathway of endothelial cells.
IT **371242-98-7**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(inhibition of leukocyte accumulation response to inflammatory mediator by inhibiting phosphoinositide 3-kinase and signal transduction of vascular endothelium to treat inflammatory conditions)
RN 371242-98-7 HCAPLUS
CN 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 24 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



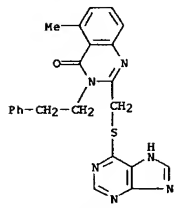
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:158542 HCAPLUS
DOCUMENT NUMBER: 142:254586
TITLE: Method using a phosphoinositide 3-kinase δ inhibitor for inhibiting immune responses stimulated by an endogenous factor
INVENTOR(S): Douangpanya, Jason; Hayflick, Joel S.; Puri, Kamal D.
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016348	A1	20050224	WO 2004-US26436	20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-495370P P	20030814
			US 2004-540090P P	20040128

OTHER SOURCE(S): MARPAT 142:254586
AB The invention relates generally to phosphoinositide 3-kinases (PI3Ks), and more particularly to methods of inhibiting undesirable immune responses without inhibiting desired immune responses. In one embodiment, the invention provides methods for inhibiting an endogenous immune response stimulated by at least one endogenous factor without substantially inhibiting an exogenous immune response stimulated by at least one exogenous factor comprising administering an amount of a phosphoinositide 3-kinase δ (PI3Kδ) selective inhibitor effective to inhibit the endogenous immune response stimulated by endogenous factor without substantially inhibiting the exogenous immune response stimulated by the at least one exogenous factor.
IT **371242-98-7**
RL: PAC (Pharmacological activity); **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(phosphoinositide 3-kinase inhibitor for inhibiting immune responses stimulated by endogenous factor)
RN 371242-98-7 HCAPLUS
CN 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 25 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



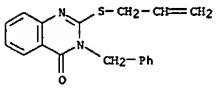
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:140800 HCAPLUS
DOCUMENT NUMBER: 142:233365
TITLE: Quinazolinone derivatives and other molecules for regulating cell death, and screening methods
INVENTOR(S): Nunnari, Jodi; Cassidy-Stone, Ann; Kurth, Mark
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 45 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038051	A1	20050217	US 2004-865542	20040609
WO 2005051974	A2	20050609	WO 2004-US18547	20040609
WO 2005051974	A3	20051229		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-477234P P 20030609
US 2004-542347P P 20040204
OTHER SOURCE(S): MARPAT 142:233365
AB The invention provides compds. capable of regulating apoptosis, e.g., via regulating mitochondrial fission or fusion. The invention also provides methods of screening for compds. capable of regulating apoptosis and methods of treating conditions association with apoptosis. Compds. of the invention include e.g. quinazolinone derivs.
IT 1039-94-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mols. for regulating cell death, and screening methods)
RN 1039-94-7 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-(2-propenylthio)- (9CI) (CA INDEX NAME)



L5 ANSWER 27 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1156498 HCAPLUS
DOCUMENT NUMBER: 142:93848
TITLE: Preparation of guanidino-substituted quinazolinone compounds as MC4-R agonists
INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron; Conlee, Christopher R.; Thompson, Brian D.; De Armas, Kuntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; Du, Kien S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

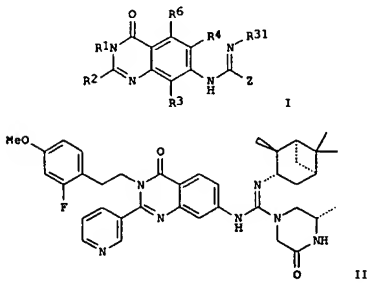
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004112793	A1	20041229	WO 2004-US15959	20040521
WO 2004112793	B1	20050310		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2523015	AA	20041229	CA 2004-2523015	20040521
US 2005059662	A1	20050317	US 2004-850967	20040521

PRIORITY APPLN. INFO.: US 2003-473317P P 20030523
US 2003-523336P P 20031119
US 2003-524492P P 20031124
WO 2004-US15959 W 20040521
OTHER SOURCE(S): MARPAT 142:93848
GI

L5 ANSWER 27 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



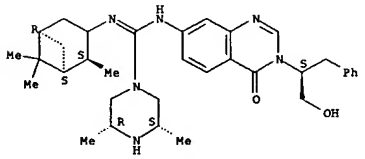
I

II

AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R agonists such as I-III [Z1 = CR4, N; Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted arylalkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, arylalkyl, aryl, etc.; R4-R6 = H, Cl, I, F, Br, OH, etc.; W = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl, etc.; at least one of R11 and R12 is (un)substituted heterocyclylalkyl; R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted alkyl, cycloalkyl, etc.)] are provided. General procedures used in the synthesis of compds. I-III are described. E.g., a multi-step synthesis of (1S,2S,3S,5R)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. I are useful in treating MC4-R mediated diseases such as obesity and type II diabetes. The pharmaceutical composition comprising the compound I is disclosed.
IT 628689-36-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of guanidino-substituted quinazolinone compds. as MC4-R agonists)
RN 628689-36-1 HCAPLUS
CN 1-Piperazinecarboximidamide, N-[3,4-dihydro-3-[(1S)-1-(hydroxymethyl)-2-phenylethyl]-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-[(1S,2S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 27 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:902341 HCAPLUS
DOCUMENT NUMBER: 141:379919
TITLE: Preparation of (iso)thiazole benzenesulfonamides and other heterocycles as inhibitors of fungal invasion
INVENTOR(S): Talley, John Jeffrey; Fretzen, Angelika; Zimmerman, Craig; Barden, Timothy.; Yang, Jing Jing; Martinez, Eduardo; Milne, G. Todd; Etchell, A. Cordero; Christine, M. Pierce; Houman, Fariba; Busby, Robert; Summers, Eric F.; Antonelli, Stephen; Lee, Peter; Farwell, Michael; Mayorga, Maria; O'Leary, Jessica
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092123	A2	20041028	WO 2004-US11187	20040412
WO 2004092123	A3	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-461727P	P 20030410
			US 2003-469286P	P 20030509
			US 2003-485678P	P 20030709
OTHER SOURCE(S):			MARPAT 141:379919	

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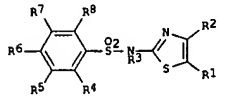
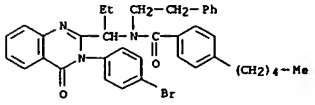


I

AB Title compds. e.g. [I; R1 = (substituted) alkyl, alkoxy; R2 = H, halo; R3 = H, CHO, Ac, (substituted) alkyl; R4 = H, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkylamino, Ph, heteroaryl], were prepared Thus, 4-bromo-2-fluoro-N-(5-methylthiazol-2-yl)benzenesulfonamide,

L5 ANSWER 28 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
4-fluorobenzeneboronic acid, Pd(PPh3)4, and K2CO3 were stirred in PhMe/Me2CHOH/H2O to give 15% 2,4'-difluoro-N-(5-methylthiazol-2-yl)-1,1'-biphenyl-4-sulfonamide. In a screen for inhibition of Candida albicans logarithmic phase growth, title compds. showed IC50's of as low as 0.0005 μM.
IT 335108-62-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of (iso)thiazole benzenesulfonamides and other heterocycles as inhibitors of fungal invasion)
RN 335108-62-8 HCAPLUS
CN Benzamide, N-[1-[3-(4-bromophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]propyl]-4-pentyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 29 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:589250 HCAPLUS
DOCUMENT NUMBER: 141:140470
TITLE: Preparation of aminophenylbenzamides as inhibitors of histone deacetylase
INVENTOR(S): Delorme, Daniel; Zhou, Zhihong
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 318 pp., Cont.-in-part of U.S. Ser. No. 242,304.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004142953	A1	20040722	US 2003-358556	20030204
US 6897220	B2	20050524		
US 2004106599	A1	20040603	US 2002-242304	20020912
CA 2515338	AA	20040819	CA 2004-2515338	20040204
WO 2004069823	A1	20040819	WO 2004-CA139	20040204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1590340	A1	20051102	EP 2004-707852	20040204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005255683	A2	20050922	JP 2005-80310	20050318
US 2005288282	A1	20051229	US 2005-91025	20050325
PRIORITY APPLN. INFO.:			US 2001-322402P	P 20010914
			US 2002-391728P	P 20020626
			US 2002-242304	A2 20020912
			JP 2003-528544	A3 20020912
			US 2003-358556	A 20030204
			WO 2004-CA139	W 20040204
OTHER SOURCE(S):			MARPAT 141:140470	

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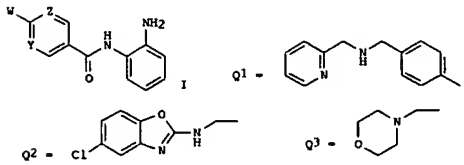
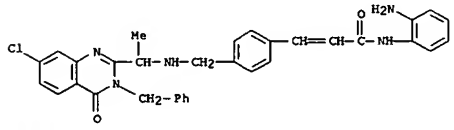


L5 ANSWER 29 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB Title compds. e.g. (I; Y, Z = N, CH; W = Q1, Q2, Q3, etc.), were prepared Thus, 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]benzoic acid (preparation given) in DMF was stirred with Et3N, BOP, and 1,2-phenylenediamine to give 63% 4-[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]-N-(2-aminophenyl)benzamide. The latter inhibited human histone deacetylase HDAC-1 with IC50 = 0.4 μM.
IT 503041-91-6P, N-(2-Aminophenyl)-3-(4-(((1-(3-benzyl-7-chloro-3,4-dihydro-4-oxoquinazolin-2-yl)ethyl)amino)methyl)phenyl)acrylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminophenylbenzamides as inhibitors of histone deacetylase for treating cell proliferative disorders)
RN 503041-91-6 HCAPLUS
CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:534181 HCAPLUS
DOCUMENT NUMBER: 141:89098
TITLE: Preparation of 3H-quinazolin-4-one derivatives as selective monoamine oxidase B inhibitors
INVENTOR(S): Rodriguez, Sarmiento Rosa Maria; Thomas, Andrew William; Wyler, Rene
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054985	A1	20040701	WO 2003-EP13888	20031208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509633	AA	20040701	CA 2003-2509633	20031208
EP 1572666	A1	20050914	EP 2003-789170	20031208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017282	A	20051108	BR 2003-17282	20031208
US 2004142951	A1	20040722	US 2003-734949	20031213
PRIORITY APPLN. INFO.:			EP 2002-27700 A	20021213
			WO 2003-EP13888 W	20031208

OTHER SOURCE(S): MARPAT 141:89098
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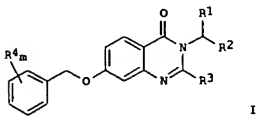
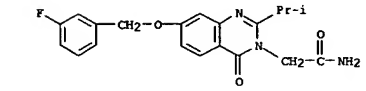


I

AB Title compds. I (R1 = aminocarbonylalkyl, carboxyalkyl, alkoxycarbonylalkyl, cyanoalkyl, hydroxyalkyl, alkoxyalkyl, Ph, etc.; R2 = H, halo, alkyl; R3 = H, alkyl, cycloalkyl, benzyl; R4 = halo, fluoroalkyl, cyano, alkoxy, fluoroalkoxy; m = 1, 2, 3) and their pharmaceutically

L5 ANSWER 30 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
acceptable salts are prepd. I are useful for the treatment of Alzheimer's disease and senile dementia. Formulations contg. I were given.
IT 713511-06-9P, 2-[7-(3-Fluorobenzyloxy)-2-isopropyl-4-oxo-4H-quinazolin-3-yl]acetamide
RL: RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolinone derivs. as selective monoamine oxidase B inhibitors)
RN 713511-06-9 HCAPLUS
CN 3(4H)-Quinazolineacetamide, 7-[(3-fluorophenyl)methoxy]-2-(1-methylethyl)-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 31 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:451629 HCAPLUS
DOCUMENT NUMBER: 141:23543
TITLE: Preparation of N-substituted piperidine derivatives as serotonin receptor agents
INVENTOR(S): Andersson, Carl-Magnus; Schlienger, Nathalie; Fejzic, Alma; Hansen, Eva Louise; Pawlas, Jan
PATENT ASSIGNEE(S): Swed.
SOURCE: U.S. Pat. Appl. Publ., 44 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004106600	A1	20040603	US 2003-601070	20030620
PRIORITY APPLN. INFO.:			DK 2002-973 A	20020624
			US 2002-391269P P	20020624

OTHER SOURCE(S): MARPAT 141:23543
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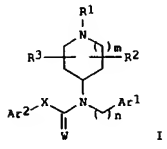
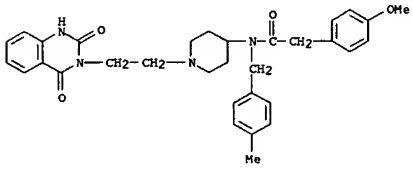


I

AB Disclosed herein are compds. of formula (I), pharmaceutically acceptable salts, amides, esters, or prodrugs thereof [whewrein R1 = each (un)substituted heterocyclyl or heterocyclyl-C1-6 alkyl; R2, R3 = H, C1-6 alkyl, or halogen or such that R2 together with R3 forms a ring; m = 0, 1, 2; n = 1, 2, 3; Ar1 = each (un)substituted aryl or heteroaryl; W = O, S; X = each (un)substituted methylene, ethylene, propylene, or vinylene, CH2NR (wherein R = H, C1-6 alkyl); Ar2 = each (un)substituted aryl or heteroaryl]. Also disclosed are. (1) methods of inhibiting an activity of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an effective amount of one or more of the compds. of formula I, (2) methods of inhibiting an activation of a monoamine receptor comprising contacting the monoamine receptor or a system containing the monoamine receptor with an effective amount of one or more of the compds. of formula I, and (3) methods of treating a disease condition associated with a monoamine receptor, in particular serotonin receptor 5-HT2A subclass. The disease condition is selected from (a) the group consisting of schizophrenia, schizoaffective disorders, psychosis, drug induced psychosis, and side effects observed with the treatment of chronic neurodegenerative disorders with a selective serotonin reuptake inhibitor (SSRI), wherein said neurodegenerative disorder is selected from Alzheimer's disease, Parkinson's disease, Lewy body dementia, frontotemporal dementia, spinocerebellar atrophy, and Huntington's

L5 ANSWER 31 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
disease, and (b) the group consisting of Reynaud's Phenomena, migraine, hypertension, thrombosis, vasospasm, ischemia, depression, anxiety, motor tics, Tourette's syndrome, dyskinesias, on/off phenomena, tremor, rigidity, bradykinesia, psychomotor slowing, addiction, including alc. addiction, opioid addiction, and nicotine addiction, sleep disorders, appetite disorders, and decreases in libido and ejaculatory problems. Thus, N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)-N-[1-[3-(4-(S)-isopropyl-2-oxooxazolidin-3-yl)propyl]piperidin-4-yl]acetamide oxalate, which was prepd. by alkylation of N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)-N-piperidin-4-ylacetamide with (4S)-3-(3-chloropropyl)-4-isopropyloxazolidin-2-one, inhibited 5-HT2A receptor by 104% in a receptor selection and amplification (R-SAT) assay using NIH3T3 cells.
IT 359881-43-9P, N-[1-[2-(2,4-Dioxo-1,4-dihydro-2H-quinazolin-3-yl)ethyl]piperidin-4-yl]-2-(4-methoxyphenyl)-N-(4-methylbenzyl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-substituted piperidine derivs. as serotonin receptor inhibitors for treating symptoms, diseases and disorders associated with monoamine receptors, including serotonin receptors)
RN 359881-43-9 HCAPLUS
CN Benzeneacetamide, N-[1-[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 32 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:412903 HCAPLUS
DOCUMENT NUMBER: 140:423688
TITLE: Preparation of quinazolinone derivatives as calcilytics
INVENTOR(S): Shcherbakova, Irina; Balandrin, Manuel; Fox, John; Heaton, William; Conklin, Rebecca; Papac, Damon
PATENT ASSIGNEE(S): NPS Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041755	A2	20040521	WO 2003-US35162	20031104
WO 2004041755	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2502302	AA	20040521	CA 2003-2502302	20031104
EP 1558260	A2	20050803	EP 2003-768655	20031104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-423663P P	20021104
			WO 2003-US35162 W	20031104
OTHER SOURCE(S):	MARPAT 140:423688			

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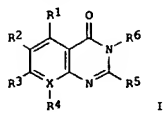
L5 ANSWER 32 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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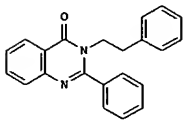
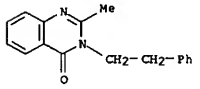


II

AB The title compds. I [R1, R2, R3 = H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.; R4 (optional) = H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.; X = C or N; R5 = H, alkyl, furyl, thienyl, styryl, pyridyl, (substituted)phenyl; R6 = H, alkyl, or -(CH2)n-X1-R7; n= 0-2; X1 = O, CO, CHOH, alkyl, or a single bond; R7 = an aromatic group optionally substituted with 1-3 substituents selected from H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.] were prepared as calcium receptor antagonists for the treatment of bone diseases. Thus, reaction of 2-phenyl-benzo[d][1,3]oxazin-4-one (preparation given) with phenethylamine gave compound II. Methods to determine the biol. activity of the compound of this invention were demonstrated.

IT **50840-25-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone derivs. as calcilytics)

RN 50840-25-0 HCAPLUS
CN 4(3H)-Quinazolinone, 2-methyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

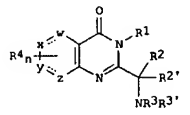


L5 ANSWER 33 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:390221 HCAPLUS
DOCUMENT NUMBER: 140:406814
TITLE: Preparation of 2-aminomethyl azaquinazolinones as mitotic KSP kinesin inhibitors for treating cellular proliferative diseases
INVENTOR(S): Coleman, Paul J.; Fraley, Mark E.; Hoffman, William F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

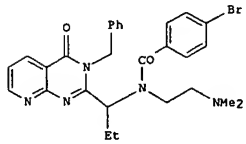
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039774	A2	20040513	WO 2003-US15810	20030519
WO 2004039774	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485343	AA	20040513	CA 2003-2485343	20030519
EP 1517904	A2	20050330	EP 2003-799804	20030519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006506401	T2	20060223	JP 2004-548273	20030519
US 2005203110	A1	20050915	US 2004-515284	20041119
PRIORITY APPLN. INFO.:			US 2002-383449P P	20020523
			WO 2003-US15810 W	20030519
OTHER SOURCE(S):	MARPAT 140:406814			

GI

L5 ANSWER 33 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

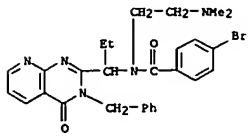


II

AB The present invention relates to 2-aminomethyl azaquinazolinones (shown as I; variables defined below; e.g. II) that are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. For I: one of w, x, y and z is NH and the other three are CH2; a dashed line = an optional double bond; n = 0, 2. R1 = H, C1-C10 alkyl, aryl, C2-C10 alkenyl, C2-C10 alkynyl, C1-C6 perfluoroalkyl, C1-C6 aralkyl, C3-C8 cycloalkyl, and heterocyclyl; R2 and R2' = H, (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, C1-C6 perfluoroalkyl, (C-O)aObC3-C8 cycloalkyl, (C-O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl, or R3 and R3' along with the N to which they are attached are combined to form a ring which is a 5-12 membered N-containing heterocycle, which is (un)substituted with 1-6 R5 groups and which optionally incorporates 1-2 heteroatoms = N, O and S in the heterocycle ring. R4 = (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, halo, OH, ObC1-C6 perfluoroalkyl, (C:O)aNR7R8, CN, (C:O)aObC3-C8 cycloalkyl, (C:O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl; addnl. details are given in the claims. Five examples of I were tested in a kinesin ATPase in vitro assay and found to have an IC50 < 50 μM. Although the methods of preparation are not claimed, 5 example prepns. are included. For example, II was prepared in 5 steps starting with cyclization of 2-aminonicotinic acid with butyric anhydride to give 2-propyl-4H-pyrido[2,3-d][1,3]oxazin-4-one, which was converted to 3-benzyl-2-propylpyrido[2,3-d]pyrimidin-4(3H)-one using benzylamine and ethylene glycol/NaOH, followed by bromination of the Pr side chain, followed by amination using N,N-dimethylethylenediamine, followed by N-acylation using 4-bromobenzoyl chloride.

IT **688049-34-5P**, N-[1-(3-Benzyl-4-oxo-3,4-dihydropyrido[2,3-d]pyrimidin-2-yl)propyl]-4-bromo-N-[2-(dimethylamino)ethyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-aminomethyl azaquinazolinones as mitotic

L5 ANSWER 33 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
kinesin inhibitors for treating cellular proliferative diseases)
RN 688049-34-5 HCAPLUS
CN Benzamide, 4-bromo-N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)pyrido[2,3-d]pyrimidin-2-yl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

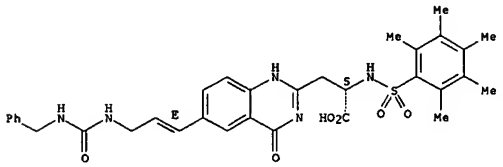


L5 ANSWER 34 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 34 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:383049 HCAPLUS
DOCUMENT NUMBER: 140:385522
TITLE: Structure-function study of quinazolinone-based vitronectin receptor ($\alpha v\beta 3$) antagonists: Computer-assisted analysis of ligand-receptor interactions
AUTHOR(S): Lawson, Edward C.; Kinney, William A.; Costanzo, Michael J.; Hoekstra, William J.; Kauffman, Jack A.; Luci, Diane K.; Santulli, Rosemary; Tounge, Brett A.; Yabut, Stephen C.; Andrade-Gordon, Patricia; Maryanoff, Bruce E.
CORPORATE SOURCE: Drug Discovery, Johnson and Johnson Pharmaceutical Research and Development, Spring House, PA, 19477-0776, USA
SOURCE: Letters in Drug Design & Discovery (2004), 1(1), 14-18
CODEN: LDDDAW; ISSN: 1570-1808
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:385522
AB Modification of the pendant functionalities on a quinazolinone scaffold led to potent antagonist activity for integrin $\alpha v\beta 3$ with selectivity over integrin $\alpha IIb\beta 3$. Various guanidine mimetics, linkers, and arylsulfonamides were investigated to optimize the series. A mol. model was constructed based on a published x-ray structure and used to analyze ligand-receptor interactions. We identified key interactions for the quinazolinone and arylsulfonamide groups that may explain the changes in potency in the structure-function study.
IT 470443-86-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and integrin $\alpha v\beta 3$-antagonistic activity of 4-quinazolinone derivs.)
RN 470443-86-8 HCAPLUS
CN 2-Quinazolinepropanoic acid, 1,4-dihydro-4-oxo-α-[[(pentamethylphenyl)sulfonyl]amino]-6-[(1E)-3-[[[(phenylmethyl)amino]carbonyl]amino]-1-propenyl]-, (αS)- (9CI) (CA INDEX NAME)

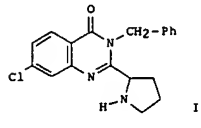
Absolute stereochemistry.
Double bond geometry as shown.



L5 ANSWER 35 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:354730 HCAPLUS
DOCUMENT NUMBER: 140:350546
TITLE: Heterocyclic-substituted quinazolinones preparation for treating cellular proliferative diseases
INVENTOR(S): Bergnes, Gustave; Morgans, David J., Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004034972	A2	20040429	WO 2003-US30788	20030930
WO 2004034972	A3	20041125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1558083	A2	20050803	EP 2003-808978	20030930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501306	T2	20060112	JP 2004-544787	20030930
PRIORITY APPLN. INFO.:			US 2002-414756P	P 20020930
			WO 2003-US30788	W 20030930

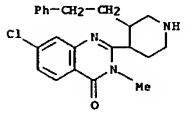
OTHER SOURCE(S): MARPAT 140:350546
GI



I

AB Heterocyclic-substituted quinazolinones were prepared for treating cellular proliferative diseases and disorders, for example, by modulating the activity of KSP. I and other similar compds. were prepared and examples were given, e.g., induction of mitotic arrest in cell populations treated with a KSP inhibitor, monopolar spindle formation following application of a KSP inhibitor, and inhibition of cellular proliferation in tumor cells lines with the inhibitors.
IT 681027-27-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic-substituted quinazolinones preparation for treating cellular

L5 ANSWER 35 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
proliferative diseases)
RN 681827-27-0 HCAPLUS
CN 4(3H)-Quinazolinone, 7-chloro-3-methyl-2-[3-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 36 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:308396 HCAPLUS
DOCUMENT NUMBER: 140:339072
TITLE: Preparation of benzamide derivatives as LPA receptor antagonists
INVENTOR(S): Terakado, Masahiko; Nakade, Shinji; Seko, Takuya; Takaoka, Yoshikazu
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 304 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031118	A1	20040415	WO 2003-JP6680	20030528
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003241836	A1	20040423	AU 2003-241836	20030528
EP 1553075	A1	20050713	EP 2003-733131	20030528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: JP 2002-291137 A 20021003
WO 2003-JP6680 W 20030528

OTHER SOURCE(S): MARPAT 140:339072
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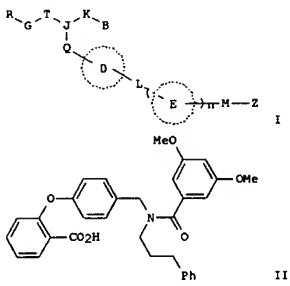
L5 ANSWER 36 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

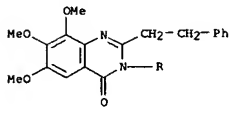


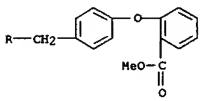
I

II

AB The title compds. I [wherein R = (un)substituted aliphatic hydrocarbyl or cyclyl; G = a bond or a spacer; T = CH2 or a spacer; J = N or CH; B = (un)substituted aliphatic hydrocarbyl or cyclyl; K = a bond or a spacer; Q = a bond or a spacer; ring D = (un)substituted cyclic ring; L = a bond or a spacer; ring E = (un)substituted cyclic ring; n = 0 or 1; M = a bond or a spacer; Z = a acid group] or prodrugs, or salts thereof are prepared as lysophosphatidic acids (LPA) receptor antagonists. For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 0.095 μM against human EDG-2. I are useful for the treatment of urinary diseases, cancer-related diseases, proliferative diseases, inflammatory immune diseases, diseases caused by secretion failures, brain-related diseases, etc. (no data). Formulations containing I as an active ingredient were also described.
IT 679793-43-2P
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of benzamide derivs. as LPA receptor antagonists)
RN 679793-43-2 HCAPLUS
CN Benzoic acid, 2-[4-[(6,7,8-trimethoxy-4-oxo-2-(2-phenylethyl)-3(4H)-quinazolinyl]methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 36 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



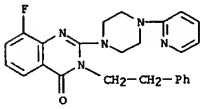


REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:211993 HCAPLUS
DOCUMENT NUMBER: 140:264510
TITLE: 4-Oxo-quinazoline agonist ligands for the liver X nuclear receptor and their use in treatment of disorders of lipid metabolism
INVENTOR(S): Kober, Ingo; Albers, Michael; Koegl, Manfred; Blume, Beatrix; Deuschle, Ulrich; Kremoser, Claus
PATENT ASSIGNEE(S): Phenex Pharmaceuticals A.-G., Germany
SOURCE: Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1398032	A1	20040317	EP 2003-20417	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
EP 1407774	A1	20040414	EP 2002-20255	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: EP 2002-20255 A 20020910
OTHER SOURCE(S): MARPAT 140:264510
AB 4-Oxo-quinazoline ligands for liver X receptors (LXR receptors, LXRα/NR1 H3 and LXRbeta/NR1H2) acting as selective agonists of the receptor are described. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptors and selective agonistic effects by said compds. and the production of medicaments using said compds. In particular the compds. are useful in the treatment of hypercholesteremia, obesity or other diseases associated with elevated lipoprotein (LDL) levels. Reporter gene methods of screening for effective agonists of the receptor are described.
IT **671211-34-0**
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(as liver X receptor agonist; 4-oxo-quinazoline agonist ligands for liver X nuclear receptor and their use in treatment of disorders of lipid metabolism)
RN 671211-34-0 HCAPLUS
CN 4(3H)-Quinazolinone, 8-fluoro-3-(2-phenylethyl)-2-[4-(2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

L5 ANSWER 37 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 38 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:203551 HCAPLUS
DOCUMENT NUMBER: 140:253579
TITLE: Preparation of 2-(piperazin-1-ylmethyl)-3H-quinazolin-4-one derivatives as inhibitors of mitotic kinesin KSP
INVENTOR(S): Bergnes, Gustave
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004048853	A1	20040311	US 2003-644244	20030820
WO 2004018058	A2	20040304	WO 2003-US26093	20030820
WO 2004018058	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1539180	A2	20050615	EP 2003-793179	20030820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005536553	T2	20051202	JP 2004-531141	20030820

PRIORITY APPLN. INFO.: US 2002-404864P P 20020821
WO 2003-US26093 W 20030820
OTHER SOURCE(S): MARPAT 140:253579
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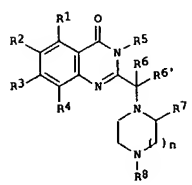
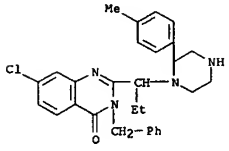


I

AB The title compds. (I; R1, R2, R3, R4 = H, HO , each (un)substituted alkyl or alkoxy, halogen or cyano; R5 = H, each (un)substituted alkyl, aryl, or aralkyl; R6, R 6' = H, each (un)substituted alkyl, aryl, aralkyl, heteroaryl or heteroaralkyl, or R6 and R6' taken together form a 3- to 7-membered nonarom. carbocyclic or heterocyclic ring; R7 = each

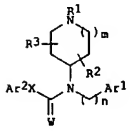
L5 ANSWER 38 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(un)substituted alkyl, aryl, or aralkyl; R8 = H, each (un)substituted alkyl, aryl, or aralkyl; n = 1, 2), or pharmaceutically acceptable salts or solvates thereof. These compds. are useful for treating cellular proliferative diseases and disorders such as cancer, hyperplasia, restenosis, cardiac hypertrophy, an immune disorder or inflammation, by modulating the activity of KSP.
IT **669695-52-7P**, 3-Benzyl-7-chloro-2-[1-[2-(p-tolyl)piperazin-1-yl]propyl]-3H-quinazolin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylmethyl-3H-quinazolinone derivs. as inhibitors of mitotic kinesin KSP for treating cellular proliferative diseases and disorders)
RN 669695-52-7 HCAPLUS
CN 4(3H)-Quinazolinone, 7-chloro-2-[1-[2-(4-methylphenyl)-1-piperazinyl]propyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 39 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:2854 HCAPLUS
DOCUMENT NUMBER: 140:77030
TITLE: Preparation of 1,4-disubstituted piperidines as serotonin 5-HT2A inverse agonists.
INVENTOR(S): Andersson, Carl-magnus; Schlienger, Nathalie; Fejzic, Alma; Hansen, Eva Louise; Pawlas, Jan
PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000808	A2	20031231	WO 2003-US19797	20030620
WO 2004000808	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2490397	AA	20031231	CA 2003-2490397	20030620
AU 2003247615	A1	20040106	AU 2003-247615	20030620
BR 2003012217	A	20050510	BR 2003-12217	20030620
EP 1562937	A2	20050817	EP 2003-761275	20030620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005533813	T2	20051110	JP 2004-516166	20030620

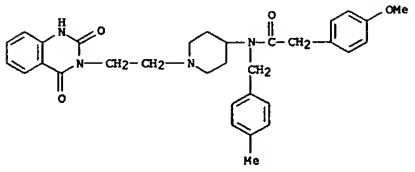
PRIORITY APPLN. INFO.: US 2002-391269P P 20020624
WO 2003-US19797 W 20030620
OTHER SOURCE(S): MARPAT 140:77030
GI



I

AB Title compds. [I; R1 = (substituted) heterocyclyl, heterocyclylalkyl; R2,

L5 ANSWER 39 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R3 = H, alkyl, halo; R2R3 = atoms to form a ring; m = 0-2; n = 1-3; Ar1 = (substituted) aryl, heteroaryl; W = O, S; X = (substituted) methylene, ethylene, propylene, vinylene, CH2N(Rn); Rn = H, alkyl; Ar2 = (substituted) aryl, heteroaryl], were prepd. Thus, a mixt. of N-(4-fluorobenyzl)-N-(piperidin-4-yl)-2-(4-isobutoxyphenyl)acetamide, K2CO3, NaI, and (4S)-3-(3-chloropropyl)-4-isopropyloxazolidinon-2-one were stirred overnight to give 71% N-(4-fluorobenzyl)-2-(4-isobutoxyphenyl)-N-[1-(3-(4-(S)-isopropyl-2-oxooxazolidin-3-yl)propyl]piperidin-4-yl]acetamide oxalate (117NLS01). The latter showed pIC50 = 9.7 for repression of 5-HT2A receptor activity.
IT 639862-19-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidines as serotonin 5-HT2A inverse agonists)
RN 639862-19-4 HCAPLUS
CN Benzeneacetamide, N-[1-[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

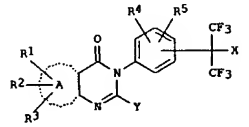


● HCl

L5 ANSWER 40 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:1006962 HCAPLUS
DOCUMENT NUMBER: 140:59652
TITLE: Preparation of fused-ring pyrimidin-4(3H)-one derivatives as LXR modulators
INVENTOR(S): Kaneko, Satoru; Watanabe, Tsuyoshi; Oda, Kozo; Mohan, Raju; Schweiger, Edwin J.; Martin, Richard
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan; X-Ceptor Therapeutics, Inc.
SOURCE: PCT Int. Appl., 465 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106435	A1	20031224	WO 2003-JP7677	20030617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003238157	A1	20031231	AU 2003-238157	20030617

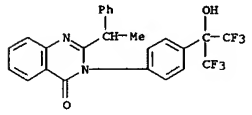
PRIORITY APPLN. INFO.: US 2002-389662P P 20020618
WO 2003-JP7677 W 20030617
OTHER SOURCE(S): MARPAT 140:59652
GI



I

AB The title compds. [I; A = aryl or heteroaryl; R1-R3 = H, OH, NO2, CN, etc.; or R1 and R2 together = alkylenedioxy; R4, R5 = H, OH, NH2, halo, etc.; X = H, OH, halo, alkoxy, haloalkoxy; Y = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, cycloalkylalkyl, heterocyclylalkyl or aralkyl] which can modulate LXR function and as a result show excellent anti-arteriosclerotic and anti-inflammatory activity, were prepared and formulated. Thus, reacting anthranilic acid with phenylacetic acid in the presence of PPh3 in pyridine followed by addition of 2-(4-aminophenyl)-1,1,1,3,3,3-hexafluoro-2-propanol afforded 76% 2-benzyl-3-(4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl)-4(3H)-quinazolinone. The compds. I showed excellent binding affinity against LXR (biol. data

L5 ANSWER 40 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
were given).
IT 637345-79-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused-ring pyrimidin-4(3H)-one derivs. as LXR modulators)
RN 637345-79-0 HCAPLUS
CN 4(3H)-Quinazolinone, 2-(1-phenylethyl)-3-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 41 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:991275 HCAPLUS
DOCUMENT NUMBER: 140:42200
TITLE: Aminoalkyl-substituted pyridopyrimidine derivatives useful as inhibitors of the mitotic kinesin KSP, pharmaceutical compositions containing them for treatment of cellular proliferative diseases, their preparation, and methods of their use
INVENTOR(S): Dhanak, Dashyant; Knight, Steven David; Lu, Pu-ping; Morgans, David J., Jr.; Yao, Bing
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; Smithkline Beecham Corporation
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103575	A2	20031218	WO 2003-US16500	20030522
WO 2003103575	A3	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004116438	A1	20040617	US 2003-444283	20030522
EP 1513820	A2	20050316	EP 2003-757286	20030522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005536475	T2	20051202	JP 2004-510696	20030522
PRIORITY APPLN. INFO.:			US 2002-382737P P	20020523
			WO 2003-US16500 W	20030522
OTHER SOURCE(S):	MARPAT 140:42200			

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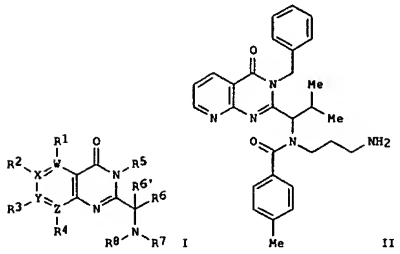
L5 ANSWER 41 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



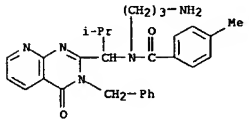
I II

AB Title compds. I are disclosed [wherein: W, X, Y, Z = N or C, provided that exactly 1-2 of them are N; R1, R2, R3, R4 = H, OH, halo, cyano, (un)substituted alkyl or alkoxy, or are absent when located at N; R5 = (un)substituted alkyl or aryl; R6, R6' = H, (un)substituted alkyl or aryl; or R6R6' forms (un)substituted (hetero)cycloalkyl with 5-7 ring atoms; R7 = (un)substituted alkyl or aryl; R8 = H, COR9, SO2R9, CH2R9, CO2R9, CONHR9, SO2NHR9; R9 = H, (un)substituted alkyl or (hetero)aryl; or R7R8 forms (un)substituted imidazol(in)yl; including pharmaceutically acceptable salts or solvates]. I are useful for treating cellular proliferative diseases and disorders by modulating the activity of the mitotic kinesin KSP. Claimed cellular proliferative disease applications include cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, or inflammation. Several actual and prophetic examples of I are given. For instance, 2-aminonicotinic acid was treated with isovaleryl chloride to give the isovaleramide, which was cyclized in Ac2O at 120° to give 2-isobutylpyrido[2,3-d][1,3]oxazin-4-one. This was condensed with benzylamine to give the product ring system, i.e., 3-benzyl-2-isobutyl-3H-pyrido[2,3-d]pyrimidin-4-one. A sequence of α-bromination on the iso-Bu group, conversion of the bromide to the azide, reduction of the azide to the amine, reductive alkylation of the amine with OCHCH2CH2NH-Boc, amidation of the secondaryl amine product with p-toluoyl chloride, and removal of Boc with TFA, gave invention compound II. At 200 nM in a culture of human ovarian cancer cells Skov-3, compds. I caused a shift in cell population from a G0/G1 cell cycle stage (2n DNA content) to a G2/M cell cycle stage (4n DNA content). Visual inspection of several tumor cell lines shows that I cause cell cycle arrest in the prometaphase stage of mitosis. The DNA is condensed and spindle formation has initiated, but arrested cells uniformly display monopolar spindles, indicating that there is an inhibition of spindle pole body separation. I inhibit growth of a variety of cell lines, including those (MCF-7/ADR-RES, HCT1 5) that express P-glycoprotein (also known as Multi-drug Resistance, or MDR+), which conveys resistance to other drugs such as paclitaxel.

IT **635758-77-9P**, N-(3-Aminopropyl)-N-[1-(3-benzyl-4-oxo-3,4-dihydropyrido[2,3-d]pyrimidin-2-yl)-2-methylpropyl]-4-methylbenzamide
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(drug candidate and resoln.; prepn. of aminoalkyl-substituted pyridopyrimidines as KSP kinesin inhibitors for treatment of cellular proliferative diseases)

RN 635758-77-9 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)pyrido[2,3-d]pyrimidin-2-yl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 42 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:951025 HCAPLUS
DOCUMENT NUMBER: 140:16739
TITLE: Preparation of (guanidino)quinazolinones as MC4-R agonists for treatment of obesity and type II diabetes
INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099818	A1	20031204	WO 2003-US16442	20030523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2486966	AA	20031204	CA 2003-2486966	20030523
AU 2003245325	A1	20031212	AU 2003-245325	20030523
US 2004019049	A1	20040129	US 2003-444495	20030523
EP 1551834	A1	20050713	EP 2003-738964	20030523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005531583	T2	20051020	JP 2004-507475	20030523
US 2006030573	A1	20060209	US 2005-248040	20051011
PRIORITY APPLN. INFO.:			US 2002-382762P P	20020523
			US 2003-441019P P	20030117
			US 2003-444495 A3	20030523
			WO 2003-US16442 W	20030523
OTHER SOURCE(S):	MARPAT 140:16739			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title low mol. weight, guanidine-containing mols. I, II, and III [wherein Z1 = CR4, N; Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted (hetero)arylalkyl, (hetero)aryl, heterocyclyl, cycloalkyl(alkyl), heterocycloalkyl(alkyl), alkenyl, alkynyl, alkyl; R2 = H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, heteroaryl, heterocyclyl, (hetero)arylalkyl, cycloalkylalkyl, alkylcarbonyl, arylcarbonyl; R3 = H or (un)substituted (hetero)arylalkyl, alkoxy, (di)alkylamino, (hetero)aryl, heterocyclyl, (hetero)cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R4-R6 = independently H, halo, OH, NH2, CN, NO2, or (un)substituted alkoxy, (cyclo)alkyl, alkenyl, alkynyl, (di)alkylamino, heterocycylamino(carbonyl), heteroarylamino(carbonyl), aminocarbonyl, (di)alkylaminocarbonyl; W = (un)substituted guanidino; and prodrugs, pharmaceutically acceptable

L5 ANSWER 42 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
salts, stereoisomers, tautomers, hydrates, hydrides, or solvates thereof] were prepd. as melanocortin-4 receptor (MC4-R) agonists. For example, amidation of 4,5-difluoroanthranilic acid with 4-fluorophenylethylamine in the presence of HOBt and diisopropylethylamine in THF provided the benzamide (90%). The 2-aminobenzamide was cyclized with tri-Me orthoformate by heating to 120° for 3 h affording 6,7-difluoro-3-[2-(4-fluorophenyl)ethyl]-3-hydroquinazolin-4-one (75%), which was converted to the azide (95%) by reaction with NaN3 in DMSO. The azide was coupled with (1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-ylisocyanate in the presence of PMe3 in THF, and the product was reacted with (6S,2R)-2,6-dimethylpiperazine to give the guanidine deriv. IV. EC50 values of one hundred five test compds. were detd. by treating cells expressing MC4-R with test compds., lysing the cells, and measuring intercellular cAMP concns. Compds. listed displayed -log EC50 values above about 3. Thus, I, II, III, and their pharmaceutical compns. are useful for the treatment of MC4-R-mediated diseases, such as obesity or type II diabetes (no data).

IT **628689-36-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

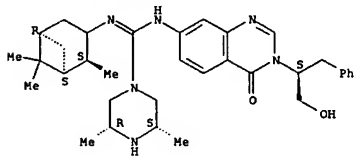
(MC4-R agonist; preparation of (guanidino)quinazolinones as MC4-R agonists

for treatment of obesity and type II diabetes)

RN 628689-36-1 HCAPLUS

CN 1-Piperazinecarboximidamide, N-[3,4-dihydro-3-[(1S)-1-(hydroxymethyl)-2-phenylethyl]-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-[(1S,2S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 43 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:875280 HCAPLUS

DOCUMENT NUMBER: 139:364964

TITLE: Preparation of 4,4-disubstituted piperidine derivatives having Cys-cysteine chemokine receptor-3 (CCR3) antagonism

INVENTOR(S): Matsumoto, Yoshiyuki; Imai, Minoru; Sawai, Yoshiyuki; Takeuchi, Susumu; Nakanishi, Akinobu; Minamizono, Kunio; Yokoyama, Tomonori

PATENT ASSIGNEE(S): Teijin Limited, Japan

SOURCE: PCT Int. Appl., 443 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

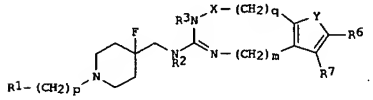
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091245	A1	20031106	WO 2003-JP4842	20030416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2483504	AA	20031106	CA 2003-2483504	20030416
AU 2003231360	A1	20031110	AU 2003-231360	20030416
EP 1505067	A1	20050209	EP 2003-725593	20030416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1665804	A	20050907	CN 2003-814528	20030416
PRIORITY APPLN. INFO.:			JP 2002-123883	A 20020425
			JP 2002-240508	A 20020821
			WO 2003-JP4842	W 20030416

OTHER SOURCE(S): MARPAT 139:364964

GI



AB It is intended to provide low-mol. weight compds. having an activity of inhibiting the binding of a CCR3 ligand such as eotaxin to CCR3 on a

L5 ANSWER 43 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
target cell, i.e., CCR3 antagonists. Namely 4,4-disubstituted piperidine contg. benzimidazole, benzo[e][1,2,4]thiadiazine, and quinazoline derivs. represented by the following general formula (I) [wherein R1 = each (un)substituted Ph, C3-8 cycloalkyl, arom. heterocyclyl contg. 1-3 heteroatoms selected from O, S, and N; p = an integer of 1-6; R2, R3 = H, each (un)substituted C1-6 alkyl or Ph; X = CO, SO2, CH2, C(S),a single bond; m, q = 0,1; Y = (R4)CH:CH(R5), S, NR8; R4-R7 = H, halo, HO, cyano, NO2, CO2H, each (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C2-6 alkenyl, C1-6 alkoxy, C1-6 alkylthio, C3-5 alkylene, C2-4 alkyleneoxy, C1-3 alkylenedioxy, Ph, PhO, phenylthio, phenylsulfonyl, benzyl, benzyloxy, benzoylamino, CHO, or C2-7 alkanoyl, etc.; R8 = H, (un)substituted C1-6 alkyl], pharmaceutically acceptable acid addn. salts thereof, or pharmaceutically acceptable C1-6 alkyl adducts thereof are prepd. Also disclosed are medicinal compns. having CCR3 antagonism and effects of treating and/or preventing diseases in which CCR3 participates which contain the compd. I as the active ingredient. The above diseases include (1) allergic diseases such as asthma, allergic nephritis, atopic dermatitis, urticaria, contact dermatitis, and allergic conjunctivitis, (2) inflammatory enteric disease, (3) AIDS, and (4) eosinophilia (acidocytosis), eosinophilic gastroenteritis, eosinophilic intestinal diseases, eosinophilic fascia inflammation, eosinophilic granuloma, eosinophilic pustulous hair follicle inflammation, eosinophilic pneumonia, or eosinophilic leukemia. Thus, a soln. of 30 mg 2-[[(4-fluoro-4-piperidyl)methyl]amino]benzimidazole-5-carboxylic acid Me ester hydrochloride in 1.0 mL DMF-AcOH (10:1) was treated with 57.3 mg 3,5-dichloro-2-hydroxybenzaldehyde and 64 mg sodium triacetoxyborohydride, stirred at room temp. overnight, quenched by adding 1.0 mL MeOH, and stirred for 1 h, followed by purifn. using a cation exchange resin SCX cartridge (Bond Elut SCX500MG, Varian Inc.) to give 11% 2-[[[1-[(3,5-dichloro-2-hydroxyphenyl)methyl]-4-fluoro-4-piperidyl]methyl]amino]benzimidazole-5-carboxylic acid Me ester (II). II in vitro inhibited the eotaxin-induced increase in cellular calcium ion concn. in K562 cells expressing CCR3 receptor by 80% at 2 μM.

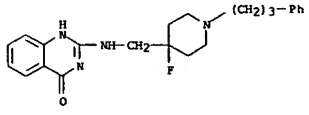
IT **620610-92-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4-disubstituted piperidine derivs. as Cys-cysteine chemokine receptor-3 (CCR3) antagonists for treating and/or preventing diseases involving CCR3)

RN 620610-92-6 HCAPLUS

CN 4(1H)-Quinazolinone, 2-[[[4-fluoro-1-(3-phenylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 44 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:837076 HCAPLUS

DOCUMENT NUMBER: 139:337970

TITLE: Preparation of piperidine derivatives as CCR3 antagonists

INVENTOR(S): Matsumoto, Yoshiyuki; Imai, Minoru; Sawai, Yoshiyuki; Takeuchi, Susumu; Nakanishi, Akinobu; Minamizono, Kunio; Yokoyama, Tomonori

PATENT ASSIGNEE(S): Teijin Limited, Japan

SOURCE: PCT Int. Appl., 564 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

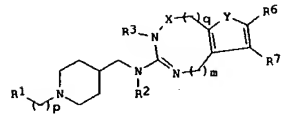
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

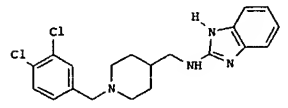
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087089	A1	20031023	WO 2003-JP4841	20030416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484261	AA	20031023	CA 2003-2484261	20030416
AU 2003231359	A1	20031027	AU 2003-231359	20030416
EP 1502916	A1	20050202	EP 2003-725592	20030416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			JP 2002-113220	A 20020416
			JP 2002-240509	A 20020821
			WO 2003-JP4841	W 20030416

OTHER SOURCE(S): MARPAT 139:337970

GI



I



II

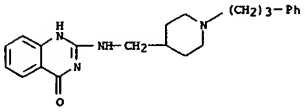
L5 ANSWER 44 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB The title piperidine derivs. having a benzimidazole subunit with general formula of I [wherein R1 = cycloalkyl, aromatic heterocyclyl, or (un)substituted Ph, etc.; p = 0-6; R2 and R3 = independently H, (un)substituted alkyl, or Ph; X = CO, SO2, CH2, CS, or a single bond; q = 0 or 1; m = 0 or 1; Y = S, -CR4=CR5-, or (un)substituted -NH-; R4-R7 = independently H, halo, OH, CN, NO2, CO2H, alkyl, cycloalkyl, alkenyl, alkoxy, alkylthio, alkylene, alkylenedioxy, alkylenedioxy, Ph, PhO, PhS, PhSO2, PhCH2, PhCH2O, PhCONH, CHO, alkanoyl(oxy), alkoxy-CO, (cyclo)alkanoylamino, alkenoylamino, alkyl-SO2, alkyl-SO2NH, alkoxy-CO-CH2, pyridyl-CO, morpholyl-CO, pyrrolidinyl-CO, piperazinyl-CO, ureido, thioureido, (un)substituted NH2, carbamoyl, or SO2NH, etc.] and pharmaceutically acceptable salts, or alkyl adducts thereof are prepared as chemokine receptor 3 (CCR3) antagonists. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I showed >80% inhibitory activity at the concentration of 2 μM against CCR3. I are useful for the treatment of diseases in which CCR3 participates such as asthma and allergic nephritis, etc. (no data).

IT **616222-58-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine derivs. as CCR3 antagonists)

RN 616222-58-3 HCAPLUS

CN 4(1H)-Quinazolinone, 2-[[[1-(3-phenylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



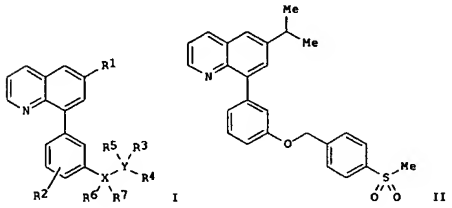
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 45 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:757679 HCAPLUS
DOCUMENT NUMBER: 139:276825
TITLE: Preparation of 8-arylquinoline PDE4 inhibitors
INVENTOR(S): Gallant, Michel; Lacombe, Patrick; Dube, Daniel; Deschenes, Denis; MacDonald, Dwight; Dube, Laurence
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078397	A1	20030925	WO 2003-CA374	20030317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479069	AA	20030925	CA 2003-2479069	20030317
AU 2003209896	A1	20030929	AU 2003-209896	20030317
EP 1487797	A1	20041222	EP 2003-744288	20030317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005245513	A1	20051103	US 2004-508261	20040917
PRIORITY APPLN. INFO.:			US 2002-365088P	P 20020318
			WO 2003-CA374	W 20030317

OTHER SOURCE(S): MARPAT 139:276825
GI



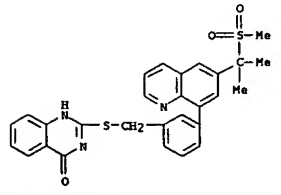
L5 ANSWER 45 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. I [wherein R1 = H, halo, or (un)substituted alkanoyl, (cyclo)alkyl, alkenyl, alkoxy, (hetero)aryl, CN, heterocycloalkyl, carbamoyl, sulfamoyl, etc.; R2 = H, halo, OH, or (un)substituted alkyl or alkoxy; R3 = absent or H, CO2H, or (un)substituted (cycloalkyl)alkyl, alkanoyl, benzoyl, carbamoyl, etc.; R4 = (un)substituted Ph, pyrazolopyrimidinyl, benzothiazolyl, quinazolinyl, or heteroaryl; R5 = absent or H; R6 = absent, H, or alkyl; R7 = absent or H; X = O, S, N, C, or CO; wherein when X = O, S, or CO, then R6 and R7 are absent and when X = N, then R7 is absent; Y = C, S, N, SO2, O, or CO; wherein when Y = S, SO2, O, or CO, then R3 and R5 are absent and when Y = N, then R5 is absent; and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase IV (PDE4) inhibitors. For example, 3-(6-isopropylquinolin-8-yl)phenol was coupled with 1-chloromethyl-4-methanesulfonylbenzene in acetone to give II. One hundred sixteen invention compds. suppressed PDE4 with IC50 values ranging from 80 μM to 0.029 μM in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor α (TNF-α) and leukotriene B4 (LTB4) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant reduction in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred forty-one invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values ranging from 150 nM to 0.056 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

IT **605684-75-1P**, 2-[[3-[6-[1-(Methanesulfonyl)-1-methylethyl]quinolin-8-yl]benzyl]sulfanyl]-3H-quinazolin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(PDE4 inhibitor; preparation of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

RN 605684-75-1 HCAPLUS

CN 4(1H)-Quinazolinone, 2-[[[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



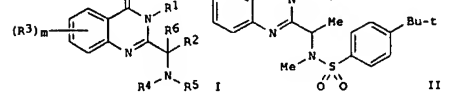
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 46 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:737738 HCAPLUS
DOCUMENT NUMBER: 139:261313
TITLE: Quinazolinone amide compounds as modulators of nuclear receptors, particularly farnesoid X receptor (FXR) and/or orphan nuclear receptors, and their preparation, pharmaceutical compositions, and methods of use
INVENTOR(S): Martin, Richard; Kahl, Jeffery Dean; Flatt, Brenton Todd; Griffith, Ronald
PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076418	A1	20030918	WO 2003-US6793	20030304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003228283	A1	20030922	AU 2003-228283	20030304
EP 1521746	A1	20050413	EP 2003-726031	20030304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-363132P	P 20020307
			WO 2003-US6793	W 20030304

OTHER SOURCE(S): MARPAT 139:261313
GI



AB Compds., pharmaceutical compns., and methods for modulating the activity of nuclear receptors are provided. In particular, amide-containing quinazolinones are provided for modulating the activity of farnesoid X receptor (FXR) and/or orphan nuclear receptors. The disclosed compds. include I [m = 0-4; R1 = H, (un)substituted alk(en/yn)yl, (hetero)aryl, cycloalkyl(alkyl), (hetero)aralkyl, heterocyclyl(alkyl) (preceding groups designated as group A), OH or derivs., NH2 or derivs.; R2, R6 =

L5 ANSWER 46 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

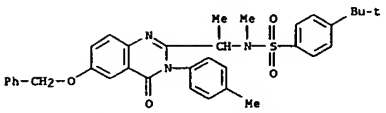
(independently) group A, or R2R6 = (un)substituted alkylene; R4, R5 = (independently) group A, OH or derivs, NH2 or derivs., various acyl, sulfinyl, sulfonyl, or phosphoryl groups, etc.; or R4R5 (un)substituted alkylene, alkenylene, alkenylene(oxy/aza)alkenylene; or any of R2R5, R2R4, R5R6, or R4R6 form 4- to 7-membered, (un)substituted heteroaryl or heterocyclyl group; R3 = (independently) halo, pseudohalo, group A, NH2 or derivs., OH or derivs., SH or derivs., various acyl, thioacyl, imidoyl, sulfinyl, or sulfonyl groups; or adjacent R3R3 = (un)substituted alkylene, alkenylene, alkylenedioxy, thioalkylenoxy, alkylenedithioxy; including stereoisomers, racemates, mixts., and pharmaceutically acceptable derivs.; with one exception compd.]. Over 300 specific compds. were prepd. and claimed by name. Ten of the most preferred compds. are named. The compds. are useful for treating diseases and disorders selected from: hypercholesterolemia, hyperlipoproteinemia, hypertriglyceridemia, lipodystrophy, hyperglycemia, diabetes mellitus, dyslipidemia, atherosclerosis, gallstone disease, acne vulgaris, acneiform skin conditions, diabetes, Parkinson's disease, cancer, Alzheimer's disease, inflammation, immunol. disorders, lipid disorders, obesity, conditions characterized by a perturbed epidermal barrier function, hyperlipidemia, cholestasis, peripheral occlusive disease, ischemic stroke, obesity, disease states assocd. with elevated cholesterol levels, conditions of disturbed differentiation or excess proliferation of the epidermis or mucous membrane, and cardiovascular disorders. For instance, Me anthranilate was N-amidated with 2-chloropropionyl chloride (97%), followed by sapon. of the ester (97%), and amidation/cyclocondensation of the resultant acid using p-anisidine and PCl3 (72%), to give 2-(1-chloroethyl)-3-(4-methoxyphenyl)-3H-quinazolin-4-one. This intermediate chloride was aminated with methylamine in THF (99%), and the obtained secondary amine was sulfonylated with 4-tert-butylbenzenesulfonyl chloride and TEA in DCM (92%), to give preferred invention compd. II. In an FRET assay for binding to human FXR (ligand-binding domain, fused to glutathione-S-transferase), II had an EC50 of about 300 nM. In an FXR/ECREx7 co-transfection assay using African green monkey kidney cells, II had an efficacy of 190% relative to high control (chenodeoxycholic acid).

IT **602317-11-3P**, N-[1-(6-Benzyloxy-4-oxo-3-p-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-4-tert-butyl-N-methylbenzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; **THU (Therapeutic use)**; PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolinone amides as farnesoid X and/or orphan nuclear receptor modulators)

RN 602317-11-3 HCAPLUS

CN Benzenesulfonamide, N-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-6-(phenylmethoxy)-2-quinazolinyl]ethyl]-4-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 46 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 47 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:678792 HCAPLUS
DOCUMENT NUMBER: 139:214713
TITLE: Preparation of novel phenylalanine derivatives as α4 integrin inhibitors
INVENTOR(S): Sagi, Kazuyuki; Izawa, Hiroyuki; Chiba, Akira; Okuzumi, Tatsuya; Yoshimura, Toshihiko; Tanaka, Yuji; Ono, Miho; Murata, Masahiro
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070709	A1	20030828	WO 2003-JP1852	20030220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003211560	A1	20030909	AU 2003-211560	20030220
EP 1477482	A1	20041117	EP 2003-705344	20030220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005101779	A1	20050512	US 2004-921929	20040820
PRIORITY APPLN. INFO.:			JP 2002-43674 A	20020220
			WO 2003-JP1852 W	20030220

OTHER SOURCE(S): MARPAT 139:214713
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = Q, Q1, Q2, Q3; wherein the ring Arm = cycloalkyl or aromatic ring containing 1O4 heteroatoms selected from O, S, and N; a solid line accompanied by a dotted line represents a single or double bond; U, V, X = CO, SO2, CR5R6, C(:CR5R6), C(S), S(O), P(O), P(O)OH, P(O)H; W = CR7, N; R1-R7 = H, halo, HO, lower alkyl, each (un)substituted lower alkyl, lower alkenyl, or lower alkynyl, aryl, heteroaryl, etc.; B = each (un)substituted lower alkoxy, NH2 (excluding NHOH), or SH; C = H, lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl-lower alkyl (optionally containing a hetero atom in the ring), aryl-lower alkyl, heteroaryl-lower alkyl; D = lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, cycloalkyloxy, cycloalkyl-lower alkyl, or cycloalkyl-lower alkoxy each optionally containing a hetero atom in the cycloalkyl ring, aryl-lower alkyl, heteroaryl-lower alkyl, lower alkoxy, etc.; T = CO, C(S), S(O), SO2, NHCO, NHC(S); J, J1 = H, halo, lower alkyl, lower alkoxy, NO2] are prepared These phenylalanine derivs. I have an α4 integrin inhibitory effect and are useful as remedies for various diseases in which α4 integrin-dependent adhesion process participates, in particular inflammatory diseases, rheumatoid arthritis, inflammatory bowel diseases, systemic lupus erythematosus, multiple sclerosis, Sjogren syndrome, asthma, psoriasis, allergy, diabetes, cardiovascular diseases, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis, or transplant rejection. Thus, (2S)-2-[(2,6-dichlorobenzoyl)amino]-3-[4-[6-(dimethylamino)-1-methyl-2,4-dioxo-1,4-tetrahydro-3(2H)-quinazolinyl]phenyl]propionic acid (II) (50 mg) (prepn. by the solid phase method given), 26 μL Et3N, and 750 vL CH2Cl2 were added to a mixt. of 1-chloroethyl cyclohexyl carbonate and 1-iodoethyl cyclohexyl carbonate (420 mg) and stirred at room temp. for 16 h, followed by distn. of the solvent and purifn. by reversed phase HPLC using aq. acetonitrile contg. 0.1% CF3CO2H as the mobile phase to give II 1-[(cyclohexyloxycarbonyl)oxy]ethyl ester trifluoroacetate which is a prodrug of II. II and (2S)-2-[(2-chloro-6-methylbenzoyl)amino]-3-[4-[1-methyl-2,4-dioxo-1,4-tetrahydro-3(2H)-quinazolinyl]phenyl]propionic acid inhibited the binding of recombinant human VCAM-1 to human T cell (Jurkat cell) known for expressing integrin α4β1 with IC50 of 57 and 34 nmol/L, resp., and that to human B cell lymphoma cell (RPMI-8866 cell) known for expressing integrin α4β7 with IC50 of 3.3 and 0.2 nmol/L, resp.

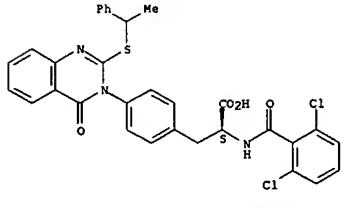
L5 ANSWER 47 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT **401902-83-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel phenylalanine derivs. as α4 integrin inhibitors for treatment of diseases related to α4 integrin-dependent adhesion process)

RN 401902-83-8 HCAPLUS

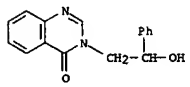
CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-[4-oxo-2-[(1-phenylethyl)thio]-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 48 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:638282 HCAPLUS
DOCUMENT NUMBER: 140:122699
TITLE: Effect of active synthetic 2-substituted quinazolinones on anti-platelet aggregation and the inhibition of superoxide anion generation by neutrophils
AUTHOR(S): Chang, Fang-Rong; Wu, Chin-Chung; Hwang, Tsong-Long; Patnam, Ramesh; Kuo, Reen-Yen; Wang, Wei-Ya; Lan, Yu-Hsuan; Wu, Yang-Chang
CORPORATE SOURCE: Graduate Institute of Natural Products, Kaohsiung Medical University, Kaohsiung, 807, Taiwan
SOURCE: Archives of Pharmacal Research (2003), 26(7), 511-515
CODEN: APHRDQ; ISSN: 0253-6269
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Quinazolinones, 2-substituted and 3-substituted, mainly synthesized by microwave irradiation, were subjected to anti-platelet aggregation and inhibition of superoxide anion generation assays. Interestingly, 2-phenyl-4-quinazolinone exhibited significant inhibitory activities toward platelet aggregation and neutrophil activation, and it might therefore serve as a prototype lead compound
IT **5271-04-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolinones as antiplatelet agents and inhibition of superoxide anion generation by neutrophils)
RN 5271-04-5 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(2-hydroxy-2-phenylethyl)- (9CI) (CA INDEX NAME)

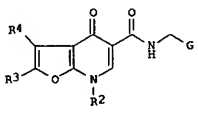


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

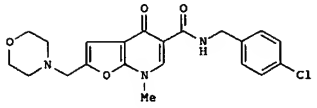
L5 ANSWER 49 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:570984 HCAPLUS
DOCUMENT NUMBER: 139:117411
TITLE: Preparation of 4-oxo-4,7-dihydrofuro[2,3-b]pyridine-5-carboxamide antiviral agents
INVENTOR(S): Cudahy, Michele M.; Shnute, Mark E.; Tanis, Steven P.; Perrault, William R.; Herrinton, Paul Matthew; Nair, Sajiv K.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059911	A2	20030724	WO 2003-US1041	20030114
WO 2003059911	A3	20040122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2474127	AA	20030724	CA 2003-2474127	20030114
AU 2003219662	A1	20030730	AU 2003-219662	20030114
EP 1465895	A2	20041013	EP 2003-715931	20030114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003006904	A	20041123	BR 2003-6904	20030114
US 2004259907	A1	20041223	US 2003-345062	20030114
US 6878705	B2	20050412		
JP 2005521652	T2	20050721	JP 2003-560014	20030114
PRIORITY APPLN. INFO.:			US 2002-348718P P	20020114
			WO 2003-US1041 W	20030114

OTHER SOURCE(S): CASREACT 139:117411; MARPAT 139:117411
GI



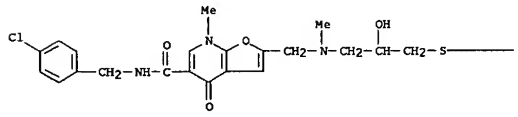
I



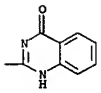
II

AB Title compds. I [wherein G = Ph substituted with 1-5 R1 groups; R1 = Cl, Br, F, CN, (fluoro)alkyl, or NO2; R2 = H, R5, NR7R8, SO2R9, or OR9; R3 = H, halo, SOR6, SO2R6, COR6, CO2H, CO2R9, CN, OR12, NR7R8, SR12, or (un)substituted heterocyclyl, aryl, or (cyclo)alkyl; R4 = H, halo, or (halo)alkyl; or R3 and R4 may form an (un)substituted carbocyclic or heterocyclic ring; R5 = (CH2CH2O)2-4R11, or (un)substituted heterocyclyl, aryl, or (cyclo)alkyl; R6 = NR7R8 or (un)substituted heterocyclyl, aryl, or (cyclo)alkyl; R7 and R8 = independently H, COR9, SO2R9, or (un)substituted aryl or (cyclo)alkyl; or NR7R8 = heterocyclyl; R9 = (un)substituted heterocyclyl, aryl, or (cyclo)alkyl; R11 = H or alkyl; R12 = H or (un)substituted heterocyclyl, aryl, or (cyclo)alkyl; or pharmaceutically acceptable salts thereof] were prepared For example, hydrogenation of 5-nitro-2-furonitrile was hydrogenated over 5% Pd/CaCO3, coupling of the amine with di-Et ethoxymethylenemalonate, cyclization, and addition of MeI gave Et 2-cyano-4-oxo-4,7-dihydrofuro[2,3-b]pyridine-5-carboxylate. Conversion of the nitrile to the aldehyde using Na hypophosphite and Raney-Ni, followed by reductive addition of morpholine provided the morpholinylmethyl derivative Amidation with 4-chlorobenzylamine afforded II. Representative compds. of the invention inhibited human cytomegalovirus (HCMV) polymerase in a scintillation proximity assay (no specific data). Thus, I are useful for the treatment of a herpesvirus infection, atherosclerosis, or restenosis (no data).
IT **562102-01-6P**, N-(4-Chlorobenzyl)-2-[[[2-hydroxy-3-[(4-oxo-3,4-dihydroquinazolin-2-yl)thio]propyl](methyl)amino]methyl]-7-methyl-4-oxo-4,7-dihydrofuro[2,3-b]pyridine-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiviral agent; preparation of furo[2,3-b]pyridinecarboxamide DNA polymerase inhibitors as antiviral agents)
RN 562102-01-6 HCAPLUS
CN Furo[2,3-b]pyridine-5-carboxamide, N-[(4-chlorophenyl)methyl]-2-[[[3-[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]-2-hydroxypropyl]methylamino]methyl]-4,7-dihydro-7-methyl-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



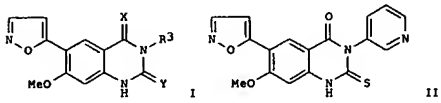
PAGE 1-B



L5 ANSWER 50 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:511320 HCAPLUS
DOCUMENT NUMBER: 139:85370
TITLE: Preparation of quinazolinedione derivatives as inosine 5'-monophosphate dehydrogenase (IMPDH) inhibitors for use in pharmaceutical compositions
INVENTOR(S): Dyke, Hazel Joan; Richard, Marianna Dilani; Haughan, Alan Findlay; Sharpe, Andrew
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

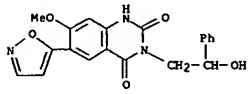
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053958	A1	20030703	WO 2002-GB5770	20021218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002352444	A1	20030709	AU 2002-352444	20021218
PRIORITY APPLN. INFO.:			GB 2001-30585 A	20011220
			GB 2002-4137 A	20020222
			WO 2002-GB5770 W	20021218

OTHER SOURCE(S): MARPAT 139:85370
GI



AB Quinazolinediones, such as I [X, Y = O, S; R3 = alkyl, heterocyclyl, heterocyclylalkyl, aminoalkyl, etc.], were prepared for therapeutic use as IMPDH inhibitors for therapeutic use in the treatment of of cancer, inflammatory disorders, autoimmune disorders, psoriatic disorders and viral disorders. Thus, quinazolinedione derivative II was prepared via a cyclocondensation reaction of 2-isothiocyanato-4-methoxy-5-(5-oxazolyl)benzoic acid Me ester with 3-aminopyridine. The prepared quinazolinediones were assayed for inhibition of IMPDH and for inhibition

L5 ANSWER 50 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
of human peripheral blood mononuclear cells.
IT 553679-02-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinedione derivs. as IMPDH inhibitors for use in pharmaceutical compns.)
RN 553679-02-0 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-hydroxy-2-phenylethyl)-6-(5-isoxazolyl)-7-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 51 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:417699 HCAPLUS
DOCUMENT NUMBER: 139:6883
TITLE: Preparation of substituted quinazolines as modulators of Rho C activity
INVENTOR(S): Sun, Dongxu; Perkins, Edward L.; Tugendreich, Stuart
PATENT ASSIGNEE(S): Iconix Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043961	A2	20030530	WO 2002-US37292	20021119
WO 2003043961	A3	20031218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003171387	A1	20030911	US 2002-300651	20021119
PRIORITY APPLN. INFO.:			US 2001-331755P P	20011119

OTHER SOURCE(S): MARPAT 139:6883
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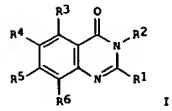
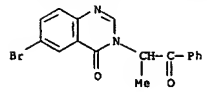


AB Title compds. I [R1 = H, alkyl, aralkyl, aryl-alkenyl, etc.; R2 = alkyl, aryl, aralkyl, etc.; R3-6 = H, alkyl, halo, NO2, OH, alkoxy, etc.] are claimed. Several examples were said to have excellent potency in a Rho C enzyme assay [no data]. I are able to modulate the activity of a Rho C enzyme.
IT 284682-76-4P, 3-(1-Phenyl-1-oxopropan-2-yl)-6-bromoquinazolin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-sulfanyl benzothiazolyl modulators of Rho C activity)
RN 284682-76-4 HCAPLUS
CN 4(3H)-Quinazolinone, 6-bromo-3-(1-methyl-2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 51 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 52 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:376563 HCAPLUS
DOCUMENT NUMBER: 138:385439
TITLE: Preparation of quinazolinone mitotic kinesin inhibitors for treating cancer
INVENTOR(S): Fraley, Mark E.; Hoffman, William F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039460	A2	20030515	WO 2002-US35111	20021101
WO 2003039460	A3	20030731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465491	AA	20030515	CA 2002-2465491	20021101
EP 1444209	A2	20040811	EP 2002-799174	20021101
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005511581	T2	20050428	JP 2003-541752	20021101
US 2004259826	A1	20041223	US 2004-494899	20040507
PRIORITY APPLN. INFO.:			US 2001-344453P P	20011107
			WO 2002-US35111 W	20021101

OTHER SOURCE(S): MARPAT 138:385439
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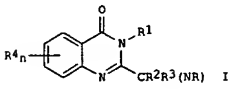


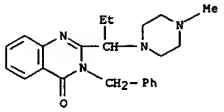
I

AB The present invention relates to quinazolinones (shown as I; variables defined below; e.g. 3-benzyl-2-[1-(4-methylpiperazin-1-yl)propyl]quinazolin-4(3H)-one) that are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. Twelve examples of I were found in a kinesin ATPase in vitro assay to have IC50 ≤50 μM. Although the methods of preparation

L5 ANSWER 52 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
are not claimed, 1 example prepn. of I and characterization data for another 10 examples of I are included. For I: NR = 5-12 membered N-contg. heterocycle, which is optionally substituted with 1-6 R5 groups and which optionally incorporates 1-2 addnl. heteroatoms = N, O and S in the heterocycle; a = 0, 1; b = 0, 1; m = 0-2; n = 0-4; R1 = H, C1-C10 alkyl, aryl, C2-C10 alkenyl, C2-C10 alkynyl, C1-C6 perfluoroalkyl, C3-C8 cycloalkyl, and heterocyclyl. R2 and R3 = H, (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, C1-C6 perfluoroalkyl, (C:O)aObC3-C8 cycloalkyl, (C:O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl; R4 = (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, halo, OH, ObC1-C6 perfluoroalkyl, (C:O)aNR7R8, CN, (C:O)aObC3-C8 cycloalkyl, (C:O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl; R5 is (C:O)aObC1-C10 alkyl, (C:O)aObaryl, C2-C10 alkenyl, C2-C10 alkynyl, (C:O)aOb heterocyclyl, CO2H, halo, CN, OH, ObC1-C6 perfluoroalkyl, Oa(C:O)bNR7R8, oxo, CHO, N(O)R7R8, or C(O)aObC3-C8 cycloalkyl; addnl. details are given in the claims.

IT 522638-59-1P, 3-Benzyl-2-[1-(4-methylpiperazin-1-yl)propyl]quinazolin-4(3H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone mitotic kinesin inhibitors for treating cancer)

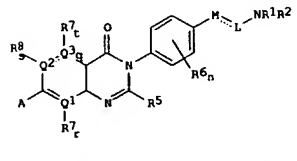
RN 522638-59-1 HCAPLUS
CN 4(3H)-Quinazolinone, 2-[1-(4-methyl-1-piperazinyl)propyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 53 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:319881 HCAPLUS
DOCUMENT NUMBER: 138:338165
TITLE: Preparation of pyrimidinones as melanin concentrating hormone receptor 1 antagonists
INVENTOR(S): Carpenter, Andrew J.; Cooper, Joel P.; Handlon, Anthony L.; Hertzog, Donald L.; Hyman, Clifton E.; Guo, Yu C.; Speake, Jason D.; Witty, David Richard
PATENT ASSIGNEE(S): SmithKline Beecham PLC, UK
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003033476	A1	20030424	WO 2002-US32739	20021015
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2463508	AA	20030424	CA 2002-2463508	20021015
EP 1442025	A1	20040804	EP 2002-801692	20021015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013040	A	20041005	BR 2002-13040	20021015
NZ 531911	A	20041126	NZ 2002-531911	20021015
CN 1571774	A	20050126	CN 2002-820452	20021015
JP 2005510487	T2	20050421	JP 2003-536216	20021015
ZA 2004002672	A	20050405	ZA 2004-2672	20040405
NO 2004001503	A	20040513	NO 2004-1503	20040413
ZA 2004002814	A	20050413	ZA 2004-2814	20040413
US 2004220404	A1	20041104	US 2004-492641	20040414
PRIORITY APPLN. INFO.:			GB 2001-24627 A	20011015
			WO 2002-US32739 W	20021015

OTHER SOURCE(S): MARPAT 138:338165
GI



I

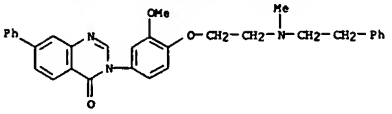
AB Pyrimidinones (shown as I; variables defined below; e.g.

L5 ANSWER 53 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
3-[3-methoxy-4-[2-(1-piperidinyl)ethoxy]phenyl]-7-phenyl-4(3H)-quinazolinone) comprising a pharmaceutically acceptable salt or solvate thereof, formulations, processes of prepg., and methods of administering to mammals are provided. I are antagonists of the melanin concg. hormone receptor 1 (MCHR1 or 11CBy). The compds. described in the examples have pIC50 values >7 towards MCHR1; for example, 7.1, 7.2 and 9.1 for 3-[3-methoxy-4-[2-(1-piperidinyl)ethoxy]phenyl]-7-phenyl-4(3H)-quinazolinone, 3-[3-methoxy-4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-7-[4-(trifluoromethyl)phenyl]-4(3H)-quinazolinone and 6-(4-chlorophenyl)-3-[3-methoxy-4-(2-pyrrolidin-1-ylethoxy)phenyl]thieno[3,2-d]pyrimidin-4(3H)-one. Several methods of prepn. are claimed and .apprx.110 example prepns. of I are included. For example, 3-[3-methoxy-4-[2-(1-piperidinyl)ethoxy]phenyl]-7-phenyl-4(3H)-quinazolinone was prepd. starting from 2,2-diethoxyethanol and 2-chloro-5-nitroanisole via intermediates 4-(2,2-diethoxyethoxy)-3-methoxyaniline, 4-chloro-N-[4-(2,2-diethoxyethoxy)-3-methoxyphenyl]-2-nitrobenzamide, 7-chloro-3-[4-(2,2-diethoxyethoxy)-3-methoxyphenyl]-4(3H)-quinazolinone, and 3-[4-(2,2-diethoxyethoxy)-3-methoxyphenyl]-7-phenyl-4(3H)-quinazolinone with yields of 41, 86, 79, 41 and 76%, resp. For I: A = aryl or heteroaryl, optionally substituted by one to four C1-6 straight or branched alkyl, alkenyl, halo, amino, alkylamino, dialkylamino, hydroxy, C1-6 alkoxy, cyano, or alkylthio groups; a dashed line = an optional double bond; q, r, s, and t are each independently 0 or 1; when q is 1, the dashed line is a double bond; Q1 and Q3 are each independently C or N; when q is 0 then Q2 is N, S, or O; when q is 1, then Q2 is C or N; when q is 1 and Q2 is N, then s is O; when Q2 is S or O, s is 0; when q is 1 and Q2 is C or when q is 0 and Q2 is N, then R8 = H, C1-6 straight or branched alkyl, C3-6 cycloalkyl, C1-6 alkoxy, amino, alkylamino, dialkylamino, hydroxy, cyano, alkylthio, and halo; when Q1 or Q3 is C, then each corresponding R7 = H, C1-6 straight or branched alkyl, C3-6 cycloalkyl, C1-6 alkoxy, amino, alkylamino, dialkylamino, hydroxy, cyano, alkylthio, and halo; when Q1 is N, r is 0; when Q3 is N, t is 0. R5 = H, C1-6 straight or branched alkyl, C3-6 cycloalkyl and C1-3 alkylthio; each R6 = H, C1-6 straight or branched alkyl, C1-6 alkoxy, trihaloalkyl, trihaloalkoxy, amino, alkylamino, dialkylamino, hydroxy, cyano, acetyl, alkylthio, and halo; and n is 1 to 4; M = O, S, S(O)2, S(O)2NR, NR, C(O), C(R)2, NC(O)R, and NS(O)2R; wherein R = H, Ph, heteroaryl, C1-6 straight or branched alkyl, and C3-6-cycloalkyl; L is C2-3 alkyl, C2-3-alkenyl, or -C(O)(CH2)-. (i) R1 and R2 each independently = H, C1-6 straight or branched alkyl, C3-6-cycloalkyl, and a 5- or 6-membered heterocycle; or (ii) R1 and R2 may be aryl and a 5- or 6-membered heteroaryl contg. 1, 2, or 3 heteroatoms = N, O, and S; or (iii) R1 and R2 together with the N atom to which they are bonded form a 4-8 membered heterocyclic ring or a 7-11 membered bicyclic heterocyclic ring; or (iv) R1 and R2 may be independently linked either to the group L or linked to the group M when M = S(O)2NR, NR, C(R)2, NC(O)R, and NS(O)2R; addnl. details are given in the claims.

IT 515141-14-7P, 3-[3-Methoxy-4-[2-[methyl(2-phenylethyl)amino]ethoxy]phenyl]-7-phenyl-4(3H)-quinazolinone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidinones as melanin-concentrating hormone
receptor 1 antagonists)

RN 515141-14-7 HCAPLUS
CN 4(3H)-Quinazolinone, 3-[3-methoxy-4-[2-[methyl(2-phenylethyl)amino]ethoxy]phenyl]-7-phenyl- (9CI) (CA INDEX NAME)

L5 ANSWER 53 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 54 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:242160 HCAPLUS
DOCUMENT NUMBER: 138:271705
TITLE: Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PATENT ASSIGNEE(S): Methylgene, Inc., Can.
SOURCE: PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024448	A2	20030327	WO 2002-US29017	20020912
WO 2003024448	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465978	AA	20030327	CA 2002-2465978	20020912
EP 1429765	A2	20040623	EP 2002-763627	20020912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012510	A	20040824	BR 2002-12510	20020912
JP 2005508905	T2	20050407	JP 2003-528544	20020912
JP 2005255683	A2	20050922	JP 2005-80310	20050318

PRIORITY APPLN. INFO.:

US 2001-322402P	P	20010914
US 2002-391728P	P	20020626
JP 2003-528544	A3	20020912
WO 2002-US29017	W	20020912

OTHER SOURCE(S): MARPAT 138:271705
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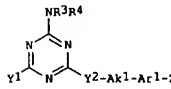


AB The invention relates to triazines (shown as I; variables defined below;

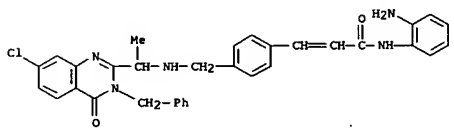
L5 ANSWER 54 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy3-X1-Ar2-(C(R5):C(R6))qC(O)NH-Ay2 (II; variables defined below; e.g.), many of which are N-(o-aminophenyl)carboxamides, as inhibitors of histone deacetylase (data included for many I and II). The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I and II are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. For I: R3 and R4 = H, L1, Cy1 and -L1-Cy1 (L1 = C1-C6 alkyl, C2-C6 heteroalkyl, or C3-C6 alkenyl; Cy1 = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R3 and R4 are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two satd. or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y1 = -N(R1)(R2), -CH2-C(O)-N(R1)(R2), halogen, and H (R1 and R2 = H, L1, Cy1, and -L1-Cy1). Y2 = chem. bond or N(R0) (R0 = H, alkyl, aryl, aralkyl, and acyl); Ak1 = C1-C6 alkylene, C1-C6-heteroalkylene (preferably, in which one -CH2- is replaced with -NH-, and more preferably -NH-CH2), C2-C6 alkenylene or C2-C6 alkynylene; Ar1 = arylene or heteroarylene, either of which is optionally substituted; and Z1 = C(O)NH-Ay1 and CH:CHC(O)NH-Ay1 (Ay1 = aryl or heteroaryl, each of which is optionally substituted). For II: Cy2 = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X1 = covalent bond, M1-L2-M1, and L2-M2-L2 (L2 = chem. bond, C1-C4 alkylene, C2-C4 alkenylene, and C2-C4 alkynylene, provided that L2 is not a chem. bond when X1 is M1-L2-M1; M1 = -O-, -N(R7)-, -S-, -S(O)-, S(O)2-, -S(O)2N(R7)-, -N(R7)S(O)2-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R7 = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M2 = M1, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar2 = arylene or heteroarylene, each of which is optionally substituted; R5 and R6 = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay2 is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay2 is attached) and further optionally substituted; provided that when Cy2 is naphthyl, X1 is -CH2-, Ar2 is Ph, R5 and R6 are H, and q is 0 or 1, Ay2 is not Ph or o-hydroxyphenyl. Although the methods of prepn. are not claimed, hundreds of example prepns. are included.

IT **503041-91-6P**, N-(2-Aminophenyl)-3-(4-(((1-(3-benzyl-7-chloro-3,4-dihydro-4-oxoquinazolin-2-yl)ethyl)amino)methyl)phenyl)acrylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503041-91-6 HCAPLUS
CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 54 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 55 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:76556 HCAPLUS
DOCUMENT NUMBER: 138:131125
TITLE: Fat accumulation-modulating compounds
INVENTOR(S): Stevenson, Michael John; Leighton, Harry Jefferson
PATENT ASSIGNEE(S): Adipogenix, Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007888	A2	20030130	WO 2002-US23295	20020722
WO 2003007888	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003144350	A1	20030731	US 2002-201588	20020722

PRIORITY APPLN. INFO.: US 2001-306837P P 20010720
OTHER SOURCE(S): MARPAT 138:131125
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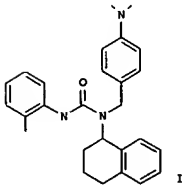
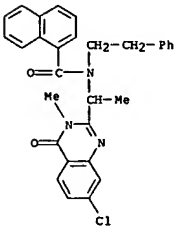


I

AB The present invention pertains to compds. effective at modulating fatty acid or triglyceride ("fat") accumulation by cells, such compds. having therapeutic potential as regulators of body mass and for the treatment of overweight individuals, obesity, and metabolic disorders. An example compound is I and protocol for high-throughput screening of compound efficacy

L5 ANSWER 55 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
on human preadipocytes is given. Therapeutic methods and pharmaceutical compns. featuring these compds. are also provided.
IT 491868-62-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fat accumulation-modulating compds.)
RN 491868-62-3 HCAPLUS
CN 1-Naphthalenecarboxamide, N-[1-(7-chloro-3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)ethyl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 56 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:44146 HCAPLUS
DOCUMENT NUMBER: 138:73178
TITLE: Preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation
INVENTOR(S): Bahl, Ash; Perry, Matthew; Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: Brit. UK Pat. Appl., 91 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2373186	A1	20020918	GB 2001-4534	20010223

PRIORITY APPLN. INFO.: GB 2001-4534 20010223
OTHER SOURCE(S): MARPAT 138:73178
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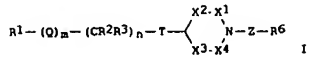

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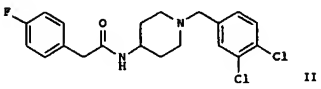
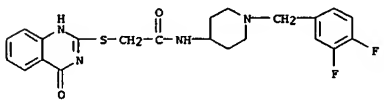


II

AB Title compds. I [wherein Z = CR4R5, CO, or CR4R5Z1; Z1 = alkylene, alkenylene, or CONH; R1 = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR9, CO, CONR9, NR9CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R2 and R3 = independently H or alkyl; or CR2R3 = (alkyl)cycloalkyl; T = NR10, CONR10, NR11CONR10, or CONR10R11; X1-X4 = independently CH2CHR12 or CO; R4 and R5 = independently H or alkyl; R6 = (un)substituted (hetero)aryl; R9-R11 = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl(alkyl), or phenylalkyl; R12 = independently (cyclo)alkyl or CO; or R12 groups of X1 and X3 or X4, or X2 and X3 or X4 join to form CH2CH2, CH2CH2CH2, CH2OCH2, or CH2SCH2; or pharmaceutically acceptable salts or solvates thereof] were prepared as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, β-agonist, phosphodiesterase inhibitor, or antibody (no data). For example, 1-(3,4-dichlorobenzyl)-4-piperidinamine•2CF3CO2H was condensed with 2-(4-fluorophenyl)acetic acid to give N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of asthma, rhinitis, and other allergic or inflammatory conditions (no data).
IT 479556-19-9, N-[1-(3,4-Difluorobenzyl)-4-piperidinyl]-2-[(3,4-dihydro-4-oxo-2-quinazolinyl)thio]acetamide

L5 ANSWER 56 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CCR3 antagonist; prepn. and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation)
RN 479556-19-9 HCAPLUS
CN Acetamide, N-[1-[(3,4-difluorophenyl)methyl]-4-piperidinyl]-2-[(1,4-dihydro-4-oxo-2-quinazolinyl)thio]- (9CI) (CA INDEX NAME)



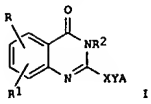
L5 ANSWER 57 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:833514 HCAPLUS
DOCUMENT NUMBER: 137:337912
TITLE: Preparation of purinylquinazolinones as inhibitors of human phosphatidylinositol 3-kinase delta
INVENTOR(S): Sadhu, Chanchal; Dick, Ken; Treiberg, Jennifer; Sowell, C. Gregory; Kesicki, Edward A.; Oliver, Amy
PATENT ASSIGNEE(S): ICOS Corp., USA
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of U.S. Ser. No. 841,341.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002161014	A1	20021031	US 2001-27591	20011019
US 6667300	B2	20031223		
US 6518277	B1	20030211	US 2001-841341	20010424
CA 2463294	AA	20030501	CA 2002-2463294	20020827
WO 2003035075	A1	20030501	WO 2002-US27240	20020827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1438052	A1	20040721	EP 2002-757407	20020827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005509635	T2	20050414	JP 2003-537642	20020827
ZA 2002008698	A	20031010	ZA 2002-8698	20021028
US 2003195211	A1	20031016	US 2003-337192	20030106
US 6800620	B2	20041005		
US 2004266780	A1	20041230	US 2003-697912	20031030
US 6949535	B2	20050927		
US 2005261317	A1	20051124	US 2005-110204	20050420

PRIORITY APPLN. INFO.:
US 2000-199655P P 20000425
US 2000-238057P P 20001005
US 2001-841341 A2 20010424
US 2001-27591 A 20011019
WO 2002-US27240 W 20020827
US 2003-697912 A1 20031030

OTHER SOURCE(S): MARPAT 137:337912
GI

L5 ANSWER 57 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

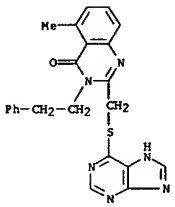


I

AB A method of disrupting leukocyte function comprises administration of title compds. [I; X = C(Rb)2, CH2CHRb, CH:CRb; Rb = H, alkyl, heteroalkyl, aryl, heteroaryl, aralkyl, etc.; Y = null, S, SO, SO2, NH, O, CO, CO2, NHCOCH2S; R, R1 = H, alkyl, aryl, heteroaryl, halo, etc.; RR1 = atoms to form a 3-4 membered alkylene, alkenylene chain; R2 = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, alkylenecycloalkyl, alkenyl, alkylenearyl, aryl, heteroaryl, etc.; A = (substituted) mono- or bicyclic ring system containing ≥2 N atoms and in which ≥1 ring is aromatic]. Thus, dose-dependent decrease in histamine release from basophils when stimulated with anti-IgE was 100% at 1,000 nM, with an EC50 of about 25 nM for I (Y = S, R = 5-Me, R1 = H, R2 = 2-ClC6H4, R3 = H; S connected to 6-position of purine ring; preparation given).

IT 371242-98-7P, 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purinylquinazolinones as inhibitors of human phosphatidylinositol 3-kinase delta)

RN 371242-98-7 HCAPLUS
CN 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



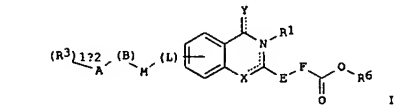
L5 ANSWER 58 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:793620 HCAPLUS
DOCUMENT NUMBER: 137:294975
TITLE: Preparation of quinazolinepropanoic acids and related compounds for the treatment of integrin-mediated disorders
INVENTOR(S): Hoekstra, William J.; Lawson, Edward C.; Costanzo, Michael J.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081467	A1	20021017	WO 2002-US10596	20020405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003139398	A1	20030724	US 2002-117542	20020405
EP 1389205	A1	20040218	EP 2002-763938	20020405
EP 1389205	B1	20051221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004529918	T2	20040930	JP 2002-579455	20020405

PRIORITY APPLN. INFO.:
US 2001-282648P P 20010409
WO 2002-US10596 W 20020405

OTHER SOURCE(S): MARPAT 137:294975
GI

L5 ANSWER 58 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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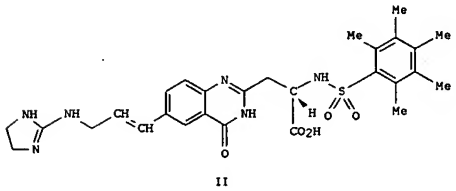


II

AB The invention is directed to novel quinazoline and quinazoline-like derivs. (shown as I (e.g. 6-[(1E)-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-1-propenyl]-(αS)-3,4-dihydro-4-oxo-α-[[(2,3,4,5,6-pentamethylphenyl)sulfonyl]amino]-2-quinazolinepropanoic acid (shown as II)); and pharmaceutically acceptable racemates, enantiomers, diastereomers and salts thereof), their usefulness as integrin antagonists and methods for the treatment of integrin-mediated disorders. In I, A is carbonyl, amino, carbamoyl, acetamido, acetimido, amidino, iminomethylamino, ureido, biureto, biurea, thioureido, guanidino, biguanido, biguanidino, amidrazone, hydrazo, carbazoyl, semicarbazido, cycloalkylene, heterocyclene, arylene and heteroarylene. (B) is optionally present and is NH, O and C(O); M is C1-C6 alkylene, C2-6 alkenylene, C2-C6 alkynylene and arylene. R3 is 1-2 substituents independently H, C1-C8 alkyl, cycloalkyl, heterocyclo, aryl, aryl(C1-C8)alkyl, heteroaryl, heteroaryl(C1-C8)alkyl, amino, C1-C8 alkylamino, di(C1-C8)alkylamino, imino, iminomethyl, amidino, C1-C8 alkylamidino, di(C1-C8)alkylamidino, cycloalkylamidino, halogen and hydroxy. (L) is optionally present and is NH, O, S and C(O); Y is two substituents joined to the ring by single-bonds and one substituent joined to the ring by a double-bond. X is N, NH, O and S; R1 is optionally present and is H, C1-C8 alkyl, cycloalkyl, cycloalkyl(C1-C6)alkyl, aryl, aryl(C1-C6)alkyl, heteroaryl, heteroaryl(C1-C6)alkyl, arylamino and heteroarylamino; E is C1-C4 alkyl substituted with W and W'; F is C1-C4 alkyl substituted with U and U'. W, W', U and U' are independently H, C1-C8 alkyl, C2-C8 alkenyl, C2-C8 alkynyl, cycloalkyl, cycloalkyl(C1-C4)alkyl, heterocyclo, heterocyclo(C1-C4)alkyl, aryl, aryl(C1-C4)alkyl, biaryl, heteroaryl, heteroaryl(C1-C4)alkyl, -N[(R4),T(R5)] and halogen. R4 is H and C1-C8 alkyl; T is arylene, carbonyl, carboxy, sulfonyl and -C(O)NH-. R5 is H, C1-C8 alkyl, C2-C8 alkenyl, cycloalkyl, heterocyclo, aryl, aryl(C1-C4)alkyl, aryl(C2-C4)alkenyl, biaryl, biaryl(C1-C4)alkyl, heteroaryl, heteroaryl(C1-C4)alkyl and amino. R6 is H, C1-C8 alkyl and (CH2)1-8CON(R7)2; and, R7 is H, C1-C8 alkyl and cycloalkyl. Although the methods of preparation are not claimed, 18 example prepns. are included and

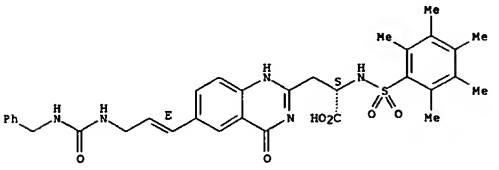
L5 ANSWER 58 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
specific compds. are claimed. I block vitronectin by binding to isolated αvβ3 (demonstrating IC50 values of from .apprx.1 to .apprx.300 nM) and inhibit fibrinogen by binding to isolated GPIIb/IIIa as well. I inhibit integrin-mediated cell-cell or cell-matrix adhesion and, therefore, may be useful in treating integrin mediated disorders including, but not limited to, restenosis, thrombosis, inflammation, atherosclerosis, arthritis, angiogenesis, osteoporosis, bone resorption, tumor cell metastasis, tumor growth, macular degeneration, diabetic retinopathy, and diseases of the lung/airway.

IT 470443-86-8P, (αS)-3,4-Dihydro-4-oxo-α-[[(2,3,4,5,6-pentamethylphenyl)sulfonyl]amino]-6-[(1E)-3-[[(benzylamino)carbonyl]amino]-1-propenyl]-2-quinazolinepropanoic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinepropanoic acids and related compds. for treatment of integrin-mediated disorders)

RN 470443-86-8 HCAPLUS

CN 2-Quinazolinepropanoic acid, 1,4-dihydro-4-oxo-α-[[(pentamethylphenyl)sulfonyl]amino]-6-[(1E)-3-[[(phenylmethyl)amino]carbonyl]amino]-1-propenyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 59 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

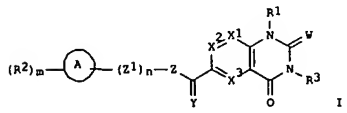
ACCESSION NUMBER: 2002:637660 HCAPLUS
DOCUMENT NUMBER: 137:185501
TITLE: Preparation of quinazolines as specific inhibitors of type-13 matrix metalloprotease
INVENTOR(S): Andrianjara, Charles; Chantel-Barvian, Nicole; Gaudilliere, Bernard; Jacobelli, Henri; Ortwine, Daniel Fred; Patt, William Chester; Pham, Ly; Kostlan, Catherine Rose; Wilson, Michael William
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064572	A1	20020822	WO 2002-EP1979	20020211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437122	AA	20020822	CA 2002-2437122	20020211
EP 1368324	A1	20031210	EP 2002-722137	20020211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300384	A	20031215	EE 2003-384	20020211
JP 2004523546	T2	20040805	JP 2002-564505	20020211
CN 1537105	A	20041013	CN 2002-805014	20020211
BR 2002007268	A	20050315	BR 2002-7268	20020211
US 2002193377	A1	20021219	US 2002-75954	20020213
ZA 2003006008	A	20041104	ZA 2003-6008	20030804
NO 2003003593	A	20030813	NO 2003-3593	20030813
BG 108091	A	20041230	BG 2003-108091	20030813

PRIORITY APPLN. INFO.: US 2001-268661P P 20010214
WO 2002-EP1979 W 20020211

OTHER SOURCE(S): CASREACT 137:185501; MARPAT 137:185501
GI

L5 ANSWER 59 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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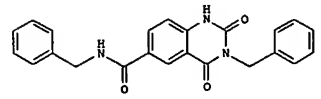


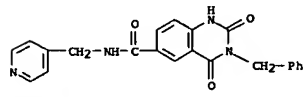
II

AB Title compds. I [R1 = H, amino, alkyl, alkenyl, alkynyl, alkylamino, aryl, heterocycle, etc.; W = O, S, =N-R'; R' = alkyl, OH, CN; X1-3 = N, C-R6; R6 = H, alkyl, amino, alkylamino, etc.; Y = O, S, NH, N-alkyl; Z = O, S, NR7; R7 = H, alkyl, aryl, aryl, heteroaryl, etc.; n = 1-8; Z1 = alkyl; A = (non)aromatic, 5- or 6-membered monocycle comprising from 0 to 4 heteroatoms selected from N, O, S, etc.; m = 0-7; R2 = alkyl, halo, CN, NO2, SCF3, CF3, OCF3, etc.; R3 = H, alkyl, alkenyl, alkynyl, etc.] were prepared Over 200 synthetic examples were provided. For instance, di-Me 4-aminoisophthalate was reacted with benzylisocyanate and heated to 95-100° overnight to give Me 3-benzyl-2,4-dioxo-1,2,3,4-tetrahydroquinazoline-6-carboxylate which was saponified (dioxaneaq, LiOH, reflux) to give the carboxylic acid. This intermediate was coupled with benzylamine to afford II. Selected examples of I had IC50 = 2.25 - 0.001 μM for MMP13 and IC50 > 100 μM for MMP1, MMP2, MMP3, MMP7, MMP9, MMP12 and MMP14; II had IC50 = 0.193 μM for MMP13. Compds. I are useful for the treatment of osteoarthritis and rheumatoid arthritis.

IT 449208-02-0P, 3-Benzyl-2,4-dioxo-1,2,3,4-tetrahydroquinazoline-6-carboxylic acid (4-pyridylmethyl)amide
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(MMP13 inhibitor; preparation of quinazolines as specific inhibitors of type-13 matrix metalloprotease)

RN 449208-02-0 HCAPLUS

CN 6-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-2,4-dioxo-3-(phenylmethyl)-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 59 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

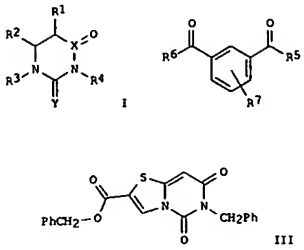
L5 ANSWER 60 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:637472 HCAPLUS
DOCUMENT NUMBER: 137:201321
TITLE: Preparation of substituted isophthalic acid derivatives, multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors
INVENTOR(S): Andrianjara, Charles; Ortwine, Daniel Fred; Pavlovsky, Alexander Gregory; Roark, William Howard
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064080	A2	20020822	WO 2002-IB447	20020213
WO 2002064080	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437643	AA	20020822	CA 2002-2437643	20020213
US 2003078276	A1	20030424	US 2002-75069	20020213
EP 1361873	A2	20031119	EP 2002-710275	20020213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007864	A	20040309	BR 2002-7864	20020213
JP 2004529874	T2	20040930	JP 2002-563877	20020213
US 2005004126	A1	20050106	US 2004-835619	20040429
PRIORITY APPLN. INFO.:			US 2001-268821P	P 20010214
			US 2002-75069	B3 20020213
			WO 2002-IB447	W 20020213

GI

L5 ANSWER 60 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



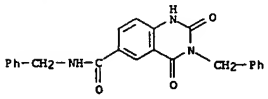
I II III

AB Title compds., I [R1 and R2 together may form a substituted aromatic ring or a heterocyclic ring; or R2 and R3 together may form substituted heterocycle; or R1, R3, or R4 = alkyl, arylalkyl, etc.; X = C, S; Y = O, N with provision when Y = N it forms a 5-membered heterocycle with R3] and II [R5, R6 = arylalkylamine, heterocyclylalkoxy, etc.; R7 = H, MeO, NO2, etc.], are prepared and disclosed as matrix metalloproteinase (MMP) inhibitors. Thus, III was prepared in five steps via cyclocondensation of diethylmalonate and benzylurea with subsequent chlorination, substitution with hydrosulfide hydrate to form an in situ intermediate that was reacted with bromoacetaldehyde dimethylacetal, followed by acid catalyzed cyclization and substitution with benzylchloroformate. III was demonstrated to inhibit MMP13 with an IC50 value (in μM) of 0.0230. I and II bind allosterically to the catalytic domain of MMP-13 and comprise a hydrophobic group, first and second hydrogen bond acceptors and at least one, and preferably both, of a third hydrogen bond acceptor and a second hydrophobic group. Cartesian coordinates for centroids of the above features are defined in the specification. When the ligand binds to MMP-13, the first, second and third (when present) hydrogen bond acceptors bond resp. with Thr245, Thr247 and Met 253, the first hydrophobic group locates within the S1' channel of MMP-13 and the second hydrophobic group (when present) is relatively open to solvent. The compds. specifically inhibit the matrix metalloproteinase-13 enzyme and thus are useful for treating diseases resulting from tissue breakdown, such as heart disease, multiple sclerosis, arthritis, atherosclerosis, and osteoporosis.

IT 449208-01-9P
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compound; preparation and pharmaceutical activity of substituted isophthalic acid derivs., multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors)

RN 449208-01-9 HCAPLUS
CN 6-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-2,4-dioxo-N,3-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 60 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



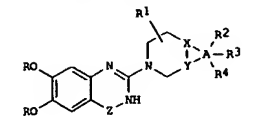
L5 ANSWER 61 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:521731 HCAPLUS
DOCUMENT NUMBER: 137:78966
TITLE: Preparation of substituted 3H-quinazolin-4-ones and 2H-benzo[1,2,4]thiadiazine-1,1-dioxides as alpha 1A/B adrenergic receptor antagonists for treatment of urinary tract disorders, sexual dysfunction, or pain
INVENTOR(S): Becker, Cyrus Kephra; Caroon, Jon Marie; Melville, Chris Richard; Padilla, Fernando; Pfister, Juerg Roland; Zhang, Xiaoming
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053558	A1	20020711	WO 2001-EP14885	20011217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432578	AA	20020711	CA 2001-2432578	20011217
BR 2001016662	A	20030923	BR 2001-16662	20011217
EP 1363899	A1	20031126	EP 2001-985417	20011217
EP 1363899	B1	20050511		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004519454	T2	20040702	JP 2002-554677	20011217
AT 295362	E	20050515	AT 2001-985417	20011217
ES 2241891	T3	20051101	ES 2001-1985417	20011217
US 2003069230	A1	20030410	US 2002-40319	20020102
US 6900220	B2	20050531		
ZA 2003005038	A	20040927	ZA 2003-5038	20030628
US 2005107365	A1	20050519	US 2004-971522	20041022
PRIORITY APPLN. INFO.:			US 2001-259337P	P 20010102
			US 2001-325267P	P 20010927
			WO 2001-EP14885	W 20011217
			US 2002-40319	A3 20020102

OTHER SOURCE(S): MARPAT 137:78966
GI

L5 ANSWER 61 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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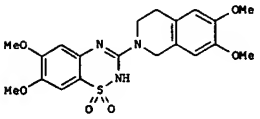


II

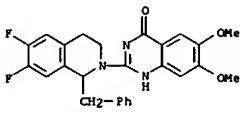
AB Title compds. I [wherein X = C or N; Y = C; A = fused 5-6 membered (hetero)aromatic ring; Z = CO or SO2; R = alkyl; R1 = H , alkyl, or (un)substituted aryl(alkyl) or arylaminocarbonyl; R2, R3, and R4 = independently H, alkyl, hydroxy(alkyl), alkoxy(alkyl), halo(alkyl), cyano(alkyl), or (un)substituted cycloalkyl(alkyl), aryl(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl), amino(alkyl), ureido, sulfamoyl, acyl, carbamoyl, etc.; or C2R2R3 = (un)substituted (hetero)aryl; and isomers, pharmaceutically acceptable slats, or solvates thereof] were prepared as selective alpha-1A/B adrenoceptor antagonists. For example, 3-chloro-6,7-dimethoxy-2H-benzo[1,2,4]thiadiazine-1,1-dioxide and 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline were refluxed in methoxyethanol for 72 h to give II. In [3H]prazosin binding assays, the latter exhibited pKi values of 8.15, 8.79, and 7.18, resp., for binding toward α1A, α1B, and α1D adrenoceptor transfected CHO-K1 cells. Thus, I are useful for the treatment of urinary tract disorders and their symptoms, sexual dysfunction, or pain (no data). In addition, the subtype selectivity of I is expected to reduce the incidence of dose-limiting side effects, such as cardiovascular and CNS effects.

IT **441064-60-4P**, 2-(1-Benzyl-6,7-difluoro-3,4-dihydro-1H-isoquinolin-2-yl)-6,7-dimethoxy-1H-quinazolin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(α1 adrenergic receptor antagonist; preparation of quinazolinones and benzothiadiazines as α1 adrenergic receptor antagonists for treatment of urinary tract disorders, sexual dysfunction, or pain)

RN 441064-60-4 HCAPLUS

CN 4(1H)-Quinazolinone, 2-[6,7-difluoro-3,4-dihydro-1-(phenylmethyl)-2(1H)-isoquinolinyl]-6,7-dimethoxy- (9CI) (CA INDEX NAME)

L5 ANSWER 61 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

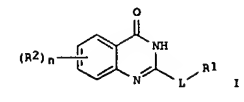
L5 ANSWER 62 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:465983 HCAPLUS
DOCUMENT NUMBER: 137:47214
TITLE: Preparation of 2-substituted-4(3H)-quinazolinone derivatives as PARP inhibitors
INVENTOR(S): Matsuoka, Nobuya; Iwashita, Akinori; Yamazaki, Shunji; Miyake, Hiroshi; Ohkubo, Mitsuru; Kamijo, Kazunori; Nakanishi, Isao; Hattori, Kouji; Kido, Yoshiyuki; Ishida, Junya; Yamamoto, Hirofumi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048117	A1	20020620	WO 2001-JP10601	20011205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431406	AA	20020620	CA 2001-2431406	20011205
AU 2002021047	A5	20020624	AU 2002-21047	20011205
EP 1355888	A1	20031029	EP 2001-270531	20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004515544	T2	20040527	JP 2002-549648	20011205
US 2004077667	A1	20040422	US 2003-433947	20030609
PRIORITY APPLN. INFO.:			AU 2000-2016 A	20001211
			WO 2001-JP10601 W	20011205

OTHER SOURCE(S): MARPAT 137:47214
GI

L5 ANSWER 62 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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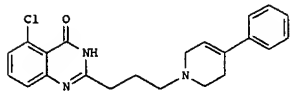


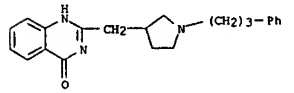
II

AB Title compds. I [R1 = (un)substituted cyclic amino group(s); R2 = substituent; n = 0-4; L = alkylene, alkenylene] were prepared For instance, 2-amino-6-chlorobenzamide was coupled to 4-pentenoyl chloride (THF, i-Pr$NEt2, 5°C, 30 min) and the product treated with 1N NaOH to afford 2-(3-butenyl)-5-chloro-4(3H)-quinazolinone. This intermediate was oxidatively cleaved (dioxane, OsO4, t-BuOH; NaIO4) effecting cyclization to 8-chloro-1-hydroxy-2,3-dihydropyrrolo[2,1-b]quinazoline-9(1H)-one isolated as a colorless powder. This was used to alkylate 1,2,3,6-tetrahydro-4-phenylpyridine (CH3CNaq, HOAc, NaCNBH3) to afford II. Selected compds. of the invention had IC50 < 0.5 μM for poly(ADP-ribose)polymerase (PARP). I are useful for the treatment of NMDA- and NO-induced toxicity, tissue damage resulting from apoptosis, etc.

IT **437997-92-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of 2-[ω-substituted(hetero)aryl-alkyl]substituted-4(3H)-quinazolinone derivs.)

RN 437997-92-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-[[1-(3-phenylpropyl)-3-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)



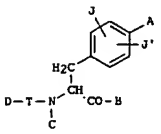
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 63 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:157743 HCAPLUS
DOCUMENT NUMBER: 136:217047
TITLE: Preparation of novel phenylalanine derivatives having α4 integrin-inhibitory activity
INVENTOR(S): Makino, Shingo; Okuzumi, Tatsuya; Yoshimura, Toshihiko; Satake, Yuko; Suzuki, Nobuyasu; Izawa, Hiroyuki; Sagi, Kazuyuki; Chiba, Akira; Nakanishi, Eiji; Murata, Masahiro; Tsuji, Takashi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016329	A1	20020228	WO 2001-JP7039	20010815
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001078740	A5	20020304	AU 2001-78740	20010815
CA 2420040	AA	20030218	CA 2001-2420040	20010815
EP 1288205	A1	20030305	EP 2001-956901	20010815
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 3440469	B2	20030825	JP 2002-521430	20010815
ZA 2003000999	A	20040209	ZA 2003-999	20010815
BR 2001013331	A	20040225	BR 2001-13331	20010815
NZ 524122	A	20050225	NZ 2001-524122	20010815
US 2003220268	A1	20031127	US 2002-300856	20021121
BG 107555	A	20030930	BG 2003-107555	20030214
NO 2003000744	A	20030407	NO 2003-744	20030217

PRIORITY APPLN. INFO.: JP 2000-248728 A 20000818
JP 2001-147451 A 20010517
WO 2001-JP7039 W 20010815
OTHER SOURCE(S): MARPAT 136:217047
GI

L5 ANSWER 63 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



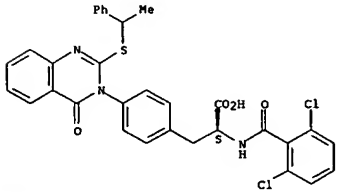
I

AB Phenylalanine derivs. {I; A = Q, Q1, Q2, Q3; wherein Arm = cyclic alkyl or aromatic ring containing 1-4 heteroatom(s) selected from O, S, and N; U, V, X = CO, SO2, CR5R6, C(:CR5R6), C:S, S:O, P(O)OH, P(O)H; W = CR7, N; wherein R1 - R7 = H, H, halo, OH, (un)substituted lower alkyl, alkenyl, or alkynyl, cycloalkyl optionally containing a heteroatom in the ring, aryl, heteroaryl, etc.; B = HO, lower alkoxy, hydroxyamino; C = H, lower alkyl, alkenyl, alkynyl, cycloalkyl-lower alkyl (optionally containing an heteroatom in the ring), aryl-lower alkyl, heteroaryl-lower alkyl; D = lower alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkyl-lower alkyl (optionally containing an heteroatom in the ring), aryl, aryl-lower alkyl, heteroaryl-lower alkyl, lower alkoxy, cycloalkyl-lower alkoxy (optionally containing a heteroatom in the ring), aryloxy, heteroaryloxy, etc.; or C and D are linked to each other to form a ring optionally containing 1 or 2 O, N, or S atom(s); T = CO, C:S, SO, SO2, NHCO, NHCS; J, J' = H, halo, lower alkyl, lower alkoxy, NO2} are prepared by the solid phase method using Wang resin. These compds. are useful for the treatment or prevention of inflammatory disease states related to the α4 integrin-dependent adhesion process, e.g. rheumatoid arthritis, inflammatory bowel disease, systemic lupus erythematosus, multiple sclerosis, Sjoegren's syndrome, asthma, psoriasis, allergy, diabetes, cardiovascular diseases, atherosclerosis, restenosis, tumor proliferation, tumor metastasis, and transplant rejection. Thus, a solution of Fmoc-Phe(4-NO2)-OH, 2,6-dichlorobenzoyl chloride, and pyridine in N-methylpyrrolidone was added to Wang resin and stirred at room temperature for 16 h to give Fmoc-Phe(4-NO2)-Wang resin which was deprotected by 20% piperidine in DMF at room temperature for 15 min to afford H-Phe(4-NO2)-Wang resin and then acylated by 2,6-dichlorobenzoyl chloride and 2,6-lutidine in N-methylpyrrolidone at room temperature for 16 h to give 2,6-dichlorobenzoyl-Phe(4-NO2)-Wang resin. The latter compound-bound resin was reduced by SnCl2.2H2O in EtOH/N-methylpyrrolidone at room temperature for 16 h to 2,6-dichlorobenzoyl-Phe(4-NH2)-Wang resin which was cyclocondensed with Me 2-isocyanatobenzoate in N-methylpyrrolidone at room temperature for 16 h to give 2,6-dichlorobenzoyl-Phe(4-Q)-Wang resin (Q = 1,2,3,4-tetrahydro quinazolin-3-yl) and then methylated by Me iodide in the presence of 18-crown-6 ether and K2CO3 in N-methylpyrrolidone at room temperature for 3 days to give 2,6-dichlorobenzoyl-Phe(4-Q)-Wang resin (Q = 1-methyl-1,2,3,4-tetrahydroquinazolin-3-yl). Resin-cleavage reaction with 5% aqueous CF3CO2H at room temp. for 1 h gave 2,6-dichlorobenzoyl-Phe(4-Q)-OH (Q = 1-methyl-1,2,3,4-tetrahydroquinazolin-3-yl) (II). II and 2-chloro-6-methylbenzoyl-Phe(4-Q)-OH (Q = 1-methyl-1,2,3,4-tetrahydroquinazolin-3-yl) inhibited the binding of human recombinant VCAM-1 to human T cell Jurikat (ATCC TIB-152) cell expressing integrin α4β1 with IC50 of 1.0 and 0.2 nM, resp.

IT 401902-83-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel phenylalanine derivs. having α4 integrin-inhibitory activity for prevention or treatment of inflammatory disease states related to the α4 integrin-dependent adhesion process)

RN 401902-83-8 HCAPLUS
CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-[4-oxo-2-[(1-phenylethyl)thio]-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

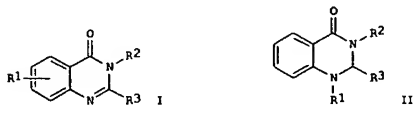


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

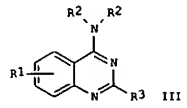
L5 ANSWER 64 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:23848 HCAPLUS
DOCUMENT NUMBER: 136:85820
TITLE: Preparation of quinazolines and quinazolinones as neuropeptide Y receptor antagonists for treatment of obesity and circulatory disorders
INVENTOR(S): Carpino, Philip A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6337332	B1	20020108	US 1999-382418	19990824

PRIORITY APPLN. INFO.: US 1998-100749P P 19980917
OTHER SOURCE(S): MARPAT 136:85820
GI



I II

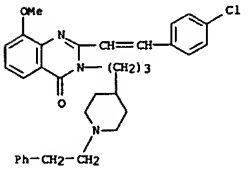


III

AB Title compds. (I, II, and III) [wherein R1 = (halo)methyl, OMe, or halo; R2 = H, (un)substituted piperidinylpropyl or piperazinylpropyl, (halo)phenylpropyl, or pyridinylpropyl; R3 = Me, (halo)styryl, or (halo)phenoxymethyl; and pharmaceutically acceptable salts thereof] were prepared as neuropeptide Y antagonists. For example, a solution of 4-chlorophenoxyacetyl chloride in toluene was added to a solution of 2-amino-3-methoxybenzoic acid and DMAP in pyridine and stirred for 17 h at 5°C to give a mixture of 2-[2-(4-chlorophenoxy)acetylamino]-3-methoxybenzoic acid and 2-(4-chlorophenoxymethyl)-8-methoxybenzo[d][1,3]oxazin-4-one. The mixture was heated to 150°C in formamide for 17 h and cooled to room temperature to afford 2-(4-chlorophenoxymethyl)-8-methoxy-3H-quinazolin-4-one. The invention compds. are useful for the treatment of obesity and circulatory disorders (no data).

IT 387346-40-9P
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP

L5 ANSWER 64 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolines and quinazolinones as neuropeptide Y receptor antagonists for treatment of obesity and circulatory disorders)
RN 387346-40-9 HCAPLUS
CN 4(3H)-Quinazolinone, 2-[2-(4-chlorophenyl)ethenyl]-8-methoxy-3-[3-[1-(2-phenylethyl)-4-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

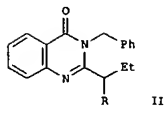
L5 ANSWER 65 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:935583 HCAPLUS
DOCUMENT NUMBER: 136:53759
TITLE: Preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian; Smith, Whitney W.; Chabala, John C.; Morgans, David J., Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098278	A1	20011227	WO 2001-US13901	20010427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6545004	B1	20030408	US 2000-699047	20001024
JP 2003048881	A2	20030221	JP 2002-156766	20001026
US 6562831	B1	20030513	US 2000-724644	20001128
US 6630479	B1	20031007	US 2000-724713	20001128
US 6831085	B1	20041214	US 2000-724941	20001128
CA 2413426	AA	20011227	CA 2001-2413426	20010427
EP 1296959	A1	20030402	EP 2001-932769	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011898	A	20030513	BR 2001-11898	20010427
JP 2004501140	T2	20040115	JP 2002-504234	20010427
NZ 523233	A	20041029	NZ 2001-523233	20010427
ZA 2002010133	A	20030617	ZA 2002-10133	20021213
NO 2002006172	A	20030220	NO 2002-6172	20021220
US 2004023996	A1	20040205	US 2003-312323	20030815
US 2004254203	A1	20041216	US 2004-893929	20040720
US 2005187232	A1	20050825	US 2005-84787	20050321

PRIORITY APPLN. INFO.:
US 2000-213104P P 20000621
US 2000-699047 A 20001024
US 1999-198253P P 19991027
JP 2001-533122 A3 20001026
US 2000-724778 A3 20001128
US 2000-724941 A3 20001128
WO 2001-US13901 W 20010427

OTHER SOURCE(S): MARPAT 136:53759
GI

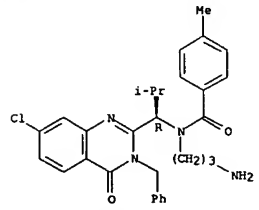
L5 ANSWER 65 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



II

AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepared Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.
IT 336113-53-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors)
RN 336113-53-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



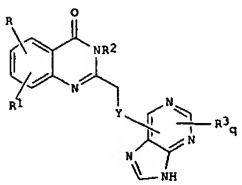
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 66 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:798224 HCAPLUS
DOCUMENT NUMBER: 135:357937
TITLE: Quinazolinone derivatives as inhibitors of human phosphatidylinositol 3-kinase delta
INVENTOR(S): Sadhu, Chanchal; Dick, Ken; Treiberg, Jennifer; Sowell, C. Gregory; Kesicki, Edward A.; Oliver, Amy
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081346	A2	20011101	WO 2001-US13315	20010424
WO 2001081346	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2406278	AA	20011101	CA 2001-2406278	20010424
EP 1278748	A2	20030129	EP 2001-928055	20010424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010371	A	20030617	BR 2001-10371	20010424
JP 2003531209	T2	20031021	JP 2001-578436	20010424
NZ 522076	A	20050826	NZ 2001-522076	20010424
NO 2002005104	A	20021210	NO 2002-5104	20021024
ZA 2002008698	A	20031010	ZA 2002-8698	20021028

PRIORITY APPLN. INFO.:
US 2000-199655P P 20000425
US 2000-238057P P 20001005
WO 2001-US13315 W 20010424

OTHER SOURCE(S): MARPAT 135:357937
GI



I

AB Methods of inhibiting phosphatidylinositol 3-kinase delta isoform

L5 ANSWER 66 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(PI3Kδ) activity, and methods of treating diseases, such as disorders of immunity and inflammation, in which PI3Kδ plays a role in leukocyte function are claimed. Preferably, the methods employ active agents that selectively inhibit PI3Kδ, while not significantly inhibiting activity of other PI3K isoforms. Compds. are provided that inhibit PI3Kδ activity, including compds. that selectively inhibit PI3Kδ activity. The compds. claimed are all quinazolin-4-one derivs., including I [Y = null, S, NH; R = H, halo, OH, OMe, Me, CF3; R1 = H, OMe, halo; RR1 together with C-6 and C-7 of quinazoline ring define a 5- or 6-membered arom. ring optionally contg. ≥ 1 O, N or S; R2 = C1-6 alkyl, Ph, halophenyl, alkylphenyl, biphenyl, PhCH2, pyridinyl, 4-methylpiperazinyl, CO2Et, morpholinyl; R3 = NH2, halo, C1-3 alkyl, S(C1-3 alkyl), OH, NH(C1-3 alkyl), N(C1-3 alkyl)2, NH(C1-3 alkylenephenyl); q = 1, 2] and pharmaceutically acceptable salts and solvates thereof. Methods of using PI3Kδ inhibitory compds. to inhibit cancer cell growth or proliferation are also provided. Accordingly, the invention provides methods of using PI3Kδ inhibitory compds. to inhibit PI3Kδ-mediated processes in vitro and in vivo. Thus, in an example, dose-dependent decrease in histamine release from basophils when stimulated with anti-IgE was 100% at 1,000 nM, with an EC50 of about 25 nM for I (Y = S, R = 5-Me, R1 = H, R2 = 2-ClC6H4, R3 = H; S connected to 6-position of purine ring; prepn. given).

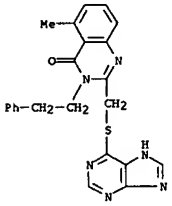
IT **371242-98-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and inhibition of human phosphatidylinositol kinase by)

RN 371242-98-7 HCAPLUS

CN 4(3H)-Quinazolinone, 5-methyl-3-(2-phenylethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 67 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:676749 HCAPLUS

DOCUMENT NUMBER: 135:242140

TITLE: Preparation of N-piperidinyl-N-alkyl-acetamides and N,N,N'-substituted ureas as 5-HT2A inverse agonists/antagonists

INVENTOR(S): Andersson, Carl M.; Croston, Glenn; Hansen, E. L.; Uldam, A. K.

PATENT ASSIGNEE(S): Acadia Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066521	A1	20010913	WO 2001-US7187	20010306
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2397981	AA	20010913	CA 2001-2397981	20010306
US 2002004513	A1	20020110	US 2001-800096	20010306
US 6815458	B2	20041109		
EP 1263729	A1	20021211	EP 2001-914716	20010306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531829	T2	20031028	JP 2001-565339	20010306
BR 2001008977	A	20040106	BR 2001-8977	20010306
AU 780006	B2	20050224	AU 2001-40072	20010306
NZ 520240	A	20050429	NZ 2001-520240	20010306
ZA 2002005902	A	20031023	ZA 2002-5902	20020723
US 2003220316	A1	20031127	US 2003-409782	20030407
US 6756393	B2	20040629		
US 2005014757	A1	20050120	US 2004-802970	20040316

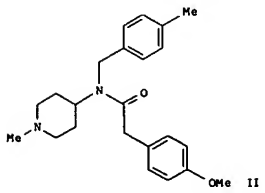
PRIORITY APPLN. INFO.:

US 2000-187289P	P	20000306
US 2001-800096	A1	20010306
WO 2001-US7187	W	20010306
US 2003-409782	A1	20030407

OTHER SOURCE(S): MARPAT 135:242140

GI

L5 ANSWER 67 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



II

AB Title compds. Ar1-Y2-Y1-N(Z)-C:W-X1-X2-Ar2 [Z = NR-substituted piperidinyl, tropanyl, azetidinyl, etc.; R = H, cyclic/straight-chain acyclic organyl group, hydroxyalkyl, aminoalkyl, aralkyl or heteroaralkyl group; X1 = CH2, vinylene, NH or N-alkyl; X2 = CH2, or, when X1 = CH2 or vinylene, X2 = CH2 or a bond; or when X1 is CH2, X2 = O, S, NH, N(lower alkyl) or a bond; Y1 = CH2 and Y2 = CH2, vinylene, ethylene, propylene, bond; or Y1 = bond and Y2 = vinylene; or Y1 = ethylene and Y2 = O, S, NH, N(lower alkyl); Ar1 and Ar2 = (un)substituted (hetero)aryl provided that Ar1 and Ar2 are not simultaneously phenyl; W = O, S; I] were prepared Examples include over 130 compds. synthesized, 5 serotonin receptor binding assays and 3 in-vivo models. For instance, 4-methylbenzylamine was reductively alkylated with 1-methyl-4-piperidone (MeOH, HOAc, NaCNBH3, 20 h., room temperature). The resulting amine was alkylated with 4-methoxyphenylacetyl chloride (DCM, 4 h., room temperature) to give II, isolated as the hydrochloride salt and subsequently purified by chromatog. Many of the examples had pIC50 (-log IC50) = 7.8 - 9.0 for HT2A. I are used for the treatment of disease in which modification of serotonergic receptor activity has a beneficial effect.

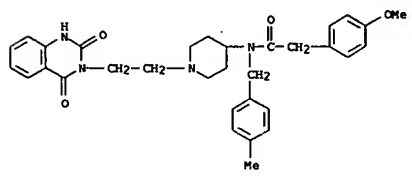
IT **359881-43-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of N-piperidinyl-N-alkyl-aryl-acetamides and N,N,N'-substituted ureas as 5-HT2A inverse agonists)

RN 359881-43-9 HCAPLUS

CN Benzeneacetamide, N-[1-[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]-4-piperidinyl]-4-methoxy-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 67 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 68 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:319882 HCAPLUS
DOCUMENT NUMBER: 134:326543
TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030768	A1	20010503	WO 2000-US29585	20001026
WO 2001030768	C2	20020815		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2388646	AA	20010503	CA 2000-2388646	20001026
BR 2000015110	A	20020702	BR 2000-15110	20001026
EP 1226129	A1	20020731	EP 2000-976656	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003048881	A2	20030221	JP 2002-156766	20001026
JP 2003512461	T2	20030402	JP 2001-533122	20001026
NZ 518480	A	20040227	NZ 2000-518480	20001026
AU 774748	B2	20040708	AU 2001-14398	20001026
US 6562831	B1	20030513	US 2000-724644	20001128
US 6630479	B1	20031007	US 2000-724713	20001128
US 6831085	B1	20041214	US 2000-724941	20001128
ZA 2002002930	A	20021028	ZA 2002-2930	20020415
NO 2002001907	A	20020607	NO 2002-1907	20020423
ZA 2002010133	A	20030617	ZA 2002-10133	20021213
NZ 530074	A	20050324	NZ 2003-530074	20031210
US 2004254203	A1	20041216	US 2004-893929	20040720
US 2005187232	A1	20050825	US 2005-84787	20050321

PRIORITY APPLN. INFO.: US 1999-198253P P 19991027
US 2000-213104P P 20000621
US 2000-699047 A1 20001024
JP 2001-533122 A3 20001026
WO 2000-US29585 W 20001026
US 2000-724778 A3 20001128
US 2000-724941 A3 20001128

OTHER SOURCE(S): MARPAT 134:326543
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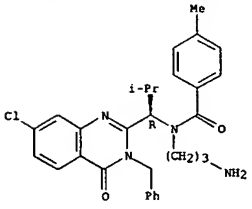
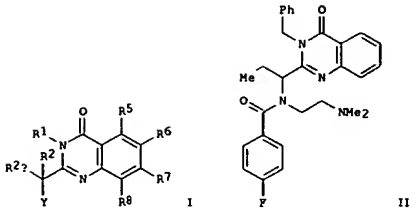
L5 ANSWER 68 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 68 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepared by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addition of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

IT 336113-53-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336113-53-2 HCAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 69 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

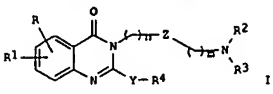
ACCESSION NUMBER: 2001:247321 HCAPLUS
DOCUMENT NUMBER: 134:280852
TITLE: Quinazolinones useful as glycoprotein IbIX antagonists, and their preparation and use for control of thrombotic disorders
INVENTOR(S): Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-danielowski, Sabine; Helzer, Guido; Dhanoa, Daljit; Zhao, Bao-ping; Rinker, James; Player, Mark; Soll, Richard
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany; et al.
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023365	A1	20010405	WO 2000-EP8940	20000913
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385921	AA	20010405	CA 2000-2385921	20000913
BR 2000014294	A	20020521	BR 2000-14294	20000913
EP 1216235	A1	20020626	EP 2000-965991	20000913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6890930	B1	20050510	US 2002-89166	20000913
NO 2002001502	A	20020326	NO 2002-1502	20020326

PRIORITY APPLN. INFO.: US 1999-407958 A 19990928
US 1999-287586P P 19990928
WO 2000-EP8940 W 20000913

OTHER SOURCE(S): MARPAT 134:280852
GI

L5 ANSWER 69 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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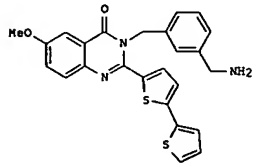


II

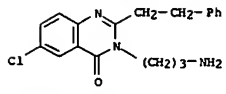
AB Quinazolinones I and their pharmaceutically tolerable salts and solvates are disclosed [in which R, R1 = H, A, OH, OA, OCH2Ar, Hal, NH2, NHA, NA2, NO2, cyano, COR2, CONH2, CONHA, CONA2, CO2H, CO2A, SO2A; R2, R3 = H, A, C(:NH)NH2, solid phase; R4 = Ar, phenylalkyl, cycloalkyl, Het; Y = bond, C2-4 alkylene; Z = bond, phenylene; A = (un)branched C1-6 alkyl; Ar = (un)substituted Ph, naphthyl, biphenyl, or benzofuranyl; Het = (un)substituted, (un)saturated mono- or bicyclic NOS heterocyclyl; Hal = F, Cl, Br, or iodo; n = 1-3; m = 0-3; with a variety of provisos]. The compds. are glycoprotein IbIX antagonists (no data), useful for treatment or prophylaxis of a variety of thrombotic disorders, or as anti-adhesive substances for implants, catheters, or heart pacemakers. For instance, an exemplary amine, 3-(aminomethyl)benzylamine, was supported on p-nitrophenyl carbonate resin, then coupled with various Fmoc-protected anthranilic acids. Cleavage of the Fmoc group, cyclocondensation with various aldehydes R4YCHO, oxidation of the resultant dihydroquinazolinone ring system, and cleavage from the resin with CF3CO2H, gave a variety of compds. I, e.g., the preferred compound II.

IT 332361-82-7P, 3-(3-Aminopropyl)-6-chloro-2-(2-phenylethyl)-3H-quinazolin-4-one
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate)

RN 332361-82-7 HCAPLUS

CN 4(3H)-Quinazolinone, 3-(3-aminopropyl)-6-chloro-2-(2-phenylethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 69 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 70 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:247320 HCAPLUS

DOCUMENT NUMBER: 134:280851

TITLE: Quinazolinones useful as glycoprotein IbIX antagonists, and their preparation and use for control of thrombotic disorders

INVENTOR(S): Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-danielowski, Sabine; Melzer, Guido; Dhanoa, Daljit; Zhao, Bao-ping; Rinker, James; Player, Mark; Soll, Richard

PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany; et al.

SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023364	A1	20010405	WO 2000-EP8939	20000913
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385918	AA	20010405	CA 2000-2385918	20000913
BR 2000014311	A	20020521	BR 2000-14311	20000913
EP 1216233	A1	20020626	EP 2000-962482	20000913
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2002001503	A	20020326	NO 2002-1503	20020326
PRIORITY APPLN. INFO.:			US 1999-407939 A	19990928
			WO 2000-EP8939 W	20000913

OTHER SOURCE(S): MARPAT 134:280851

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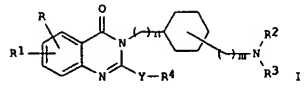
L5 ANSWER 70 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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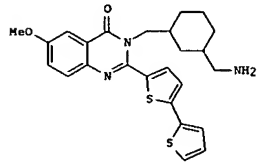


II

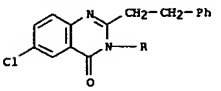
AB Quinazolinones I and their pharmaceutically tolerable salts and solvates are disclosed [in which R, R1 = H, A, OH, OA, OCH2Ar, Hal, NH2, NHA, NA2, NO2, cyano, COR2, CONH2, CONHA, CONA2, CO2H, CO2A, SO2A; R2, R3 = H, A, C(:NH)NH2, solid phase; R4 = Ar, phenylalkyl, cycloalkyl, Het; Y = bond, C2-4 alkylene; A = (un)branched C1-6 alkyl; Ar = (un)substituted Ph, naphthyl, biphenyl, or benzofuranyl; Het = (un)substituted, (un)saturated mono- or bicyclic NOS heterocyclyl; Hal = F, Cl, Br, or iodo; n, m = 0-3]. The compds. are glycoprotein IbIX antagonists (no data), useful for treatment or prophylaxis of a variety of thrombotic disorders, or as anti-adhesive substances for implants, catheters, or heart pacemakers. For instance, an exemplary amine, [[3-(aminomethyl)cyclohexyl]methyl]amine, was supported on p-nitrophenyl carbonate resin, then coupled with various Fmoc-protected anthranilic acids. Cleavage of the Fmoc group, cyclocondensation with various aldehydes R4YCHO, oxidation of the resultant dihydroquinazolinone ring system, and cleavage from the resin with CF3CO2H, gave a variety of compds. I, e.g., the preferred compound II.

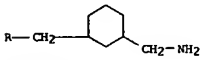
IT 332121-36-5P, 3-[[3-(Aminomethyl)cyclohexyl]methyl]-6-chloro-2-(2-phenylethyl)-3H-quinazolin-4-one
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolinone derivs. as glycoprotein IbIX antagonists)

RN 332121-36-5 HCAPLUS

CN 4(3H)-Quinazolinone, 3-[[3-(aminomethyl)cyclohexyl]methyl]-6-chloro-2-(2-phenylethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 70 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)





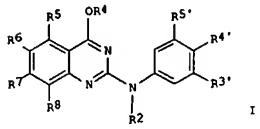
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 71 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:228868 HCAPLUS
DOCUMENT NUMBER: 134:252356
TITLE: Preparation of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3
INVENTOR(S): Jacobs, Robert Tomas; Folmer, James; Simpson, Thomas Richard; Chaudhari, Bipinchandra; Frazee, William Jackson; Davenport, Timothy Wayne
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021598	A1	20010329	WO 2000-GB3555	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1218358	A1	20020703	EP 2000-958907	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509501	T2	20030311	JP 2001-524977	20000918
US 6399603	B1	20020604	US 2000-668322	20000922
PRIORITY APPLN. INFO.:			US 1999-155623P	P 19990923
			WO 2000-GB3555	W 20000918

OTHER SOURCE(S): MARPAT 134:252356
GI



I

AB I (e.g. [2-[(3,4-dichlorophenyl)amino]-4-hydroxy-6-nitroquinazolin-8-yl]-N-[(4-fluorophenyl)methyl]carboxamide) or a pharmaceutically-acceptable salt thereof and methods of using such compds. for the treatment of various

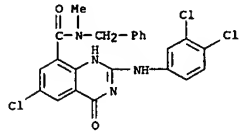
L5 ANSWER 71 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

diseases and pharmaceutical compns. comprising such compds. are claimed. In I, R2 is H, acetyl or (C1-C5)alkyl. R4 is H, acetyl or (C1-C5)alkyl. R5, R6 and R7 are independently H, halogen, (C1-C2)alkyl, halo(C1-C2)alkyl, nitro and cyano. R8 is H, Ph, (C1-C6)alkyl, Ri, heterocycle, substituted heterocycle, -(CH2)mC(O)N-[(CH2)pRg]Rb, -(CH2)mN[(CH2)pRg]Rb, -CH:CHRC, halogen, -(CH2)mC(O)(CH2)mRo, -C(O)Rp, -(CH2)mC(O)O[(CH2)pRg], -(CH2)mN[(CH2)pRg]C(O)Rb, -(CH2)mOC(O)[(CH2)pRg], -CHORdORe, -CH2XRf, -S(O)2N[(CH2)pRg]Rb, -N[(CH2)pRg]S(O)2Rb, -S(O)2N[(CH2)pRg]Rb, -C(O)H, allyl and 4-hydroxybut-1-en-4-yl. R3', R4' and R5' are independently H, halogen, (C1-C4)alkyl, (C1-C4)alkoxy and halo(C1-C4)alkyl; wherein at least one of R5, R6, R7, R8, R3' and R5' is not H; and R4' is not equal to R7. Rb is H, (C1-C4)alkyl or substituted (C1-C4)alkyl. Rc is H, Ph, Ri, heterocycle, substituted heterocycle, -CO2Rb, -C(O)NRbRb, -S(O)n-Rf, 2-hydroxyisopropyl and cyano. Rd and Re are independently (C1-C4)alkyl; or Rd and Re together are -CH2CH2- or -CH2CH2CH2-. Rf is (C1-C4)alkyl, vinyl, -CH2CO2Rb, Ph or benzyl. Rg is (C1-C10)alkyl, substituted (C1-C10)alkyl, Ph, Ri, heterocycle, substituted heterocycle, -ORb, -NRbRb, -NRjRo, -N(Rj)SO2Rj, -CO2Rb, -C(O)NRjRj, -SO2phenyl and 2-oxopyrrolid-1-yl; or Rg and Rb together form -CH2CH2N(Rj)CH2CH2-, -(CH2)4-, -CH(Rh)CH2CH2CH2-, or -CH2CH2OCH2CH2-. Rh is -CO2Rf or -CH2O-Ph. Ri is Ph, contg. 1-3 substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Rj)C(O)Rj, -NRjC(O)halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl), -SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rj is H or (C1-C6)alkyl. Rk is -(CH2)nCH2OCH2Rb, -C(O)NRjRj or -C(O)Rj. Rm is heterocycle, contg. one or two substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Ri)C(O)Rj, -NRjC(O)-halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl), -SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rn is -C(O)Rj, -CH2ORj or -C(O)NRjRj. Ro is Ph, substituted Ph, heterocycle or substituted heterocycle. Rp is a heterocycle contg. one or two substituents selected from substituted Ph, heterocycle, Ph, benzyl, -SOnRo or SO2NRjRj. M is 0-3; n is 0-2; p is 0-7; X is S, O or N. A method is claimed of treating a mammalian disease selected from cell apoptosis, immune deficiency syndromes, autoimmune diseases, pathogenic infections, cardiovascular and neurol. injury, alopecia, aging, cancer, Parkinson's disease, Alzheimer's disease, Huntington's disease, acute and chronic neurodegenerative disorders, stroke, vascular dementia, head trauma, ALS, neuromuscular disease, myocardial ischemia, cardiomyopathy, macular degeneration, osteoarthritis, diabetes, acute liver failure and spinal cord injury. Although caspase-3 inhibition and apoptosis assay methods are described, quant. assay results are not given. Although the methods of prepn. are not claimed, 17 example prepns. are included.

IT **331641-07-7P**, N-Benzyl-N-methyl-6-chloro-2-(3,4-dichlorophenylamino)-4-hydroxy-8-quinazolinecarboxamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L5 ANSWER 71 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3)

RN 331641-07-7 HCAPLUS
CN 8-Quinazolinecarboxamide, 6-chloro-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-methyl-4-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 72 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:167976 HCAPLUS
DOCUMENT NUMBER: 134:222723
TITLE: Preparation of quinazolinones for modulating CXR3 function
INVENTOR(S): Schall, Thomas J.; Dairaghi, Daniel J.; McMaster, Brian E.
PATENT ASSIGNEE(S): Chemocentryx, Inc., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016114	A2	20010308	WO 2000-US23556	20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1216232	A1	20020626	EP 2000-959489	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6559160	B1	20030506	US 2000-648329	20000825
US 2003119854	A1	20030626	US 2002-279353	20021023
US 6992084	B2	20060131		

PRIORITY APPLN. INFO.:
US 1999-151212P P 19990827
US 2000-648329 A1 20000825
WO 2000-US23556 W 20000825

OTHER SOURCE(S): MARPAT 134:222723
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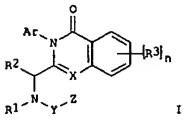


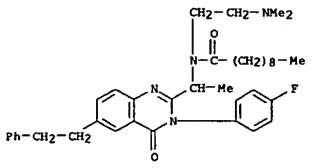
I

AB The title compds. [I; n = 0-4; Ar = (un)substituted acryl, heteroaryl; R1 = (un)substituted C5-15 alkyl; R2 = (un)substituted C1-8 alkyl; X = CH, N; Y = (un)substituted alkylene, heteroalkylene; Z = NR4R5 (R4, R5 = H, alkyl; NR4R5 = 5-7 membered ring)] that bind to the CXCR3 chemokine receptor and

L5 ANSWER 72 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
which are useful for treating diseases assocd. with CXCR3 activity, such as multiple sclerosis, were prepd. E.g., a multi-step synthesis of the quinazolinone I [Ar = 4-C6H4; R1 = decanoyl; R2 = Me; Y = (CH2)2; Z = NMe2; R3 = H] which showed IC50 of ≤ 0.8 μM against CXCR3 chemokine receptor binding, was given.

IT **329190-46-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinones for modulating CXR3 function)

RN 329190-46-7 HCAPLUS
CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-6-(2-phenylethyl)-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

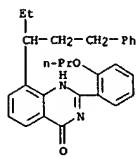


L5 ANSWER 73 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:111509 HCAPLUS
DOCUMENT NUMBER: 134:163052
TITLE: Method for inhibiting neoplastic cells and related conditions by exposure to 2,8-disubstituted quinazoline derivatives
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary A.
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6187779	B1	20010213	US 1998-197311	19981120

PRIORITY APPLN. INFO.:
US 1998-197311 19981120

OTHER SOURCE(S): MARPAT 134:163052
AB A method for inhibiting neoplastic cells and related conditions by exposing them to 2,8-disubstituted quinazolinone compds.
IT **180161-35-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2,8-disubstituted quinazolinones as antitumor agents)
RN 180161-35-7 HCAPLUS
CN 4(1H)-Quinazolinone, 8-(1-ethyl-3-phenylpropyl)-2-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



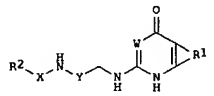
REFERENCE COUNT: 172 THERE ARE 172 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 74 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:842119 HCAPLUS
DOCUMENT NUMBER: 134:17496
TITLE: 2-Amino-substituted fused pyridones and pyrimidones useful as methionyl t-RNA synthetase (MRS) inhibitors and antibacterials
INVENTOR(S): Armstrong, Sula Anne; Berge, John Michael; Brown, Pamela; Elder, John Stephen; Forrest, Andrew Keith; Hamprecht, Dieter Wolfgang; Jarvest, Richard Lewis
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071524	A1	20001130	WO 2000-EP4436	20000516
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
GB 1999-11595 A 19990519
GB 1999-11596 A 19990519

OTHER SOURCE(S): MARPAT 134:17496
GI



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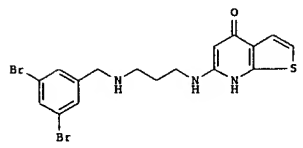


II

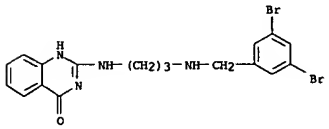
AB Title compds. I are disclosed [in which: W = CH and R1 = 5- or 6-membered heteroaryl, or W = N and R1 = 5- or 6-membered heteroaryl or aryl, in

L5 ANSWER 74 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
which heteroaryl or aryl ring is optionally substituted with from 1 to 3 substituents selected from halo, cyano, hydroxy, (C1-6)alkyl (optionally substituted by halo, hydroxy, amino, mono- to perfluoro(C1-3)alkyl, carboxy, or (C1-6)alkoxycarbonyl), (C3-7)cycloalkyl, C(1-6)alkoxy, amino, mono- or di-(C1-6)alkylamino, acylamino, carboxy, (C1-6)alkoxycarbonyl, carbamoyl(C1-6)alkyloxy, (C1-6)alkylthio, (C1-6)alkylsulfinyl, (C1-6)alkylsulfonyl, sulfamoyl, mono- and di-(C1-6)alkylsulfamoyl, carbamoyl, mono- and di-(C1-6)alkylcarbamoyl, and heterocyclyl; R2 = optionally substituted aryl or optionally substituted heteroaryl; X = CH2 or CHR3 in which R3 = C(1-6)alkyl, or R3 may be linked to the ortho position of an aryl or heteroaryl ring of R2 to form a 5- to 7-membered ring optionally including O or N as a ring atom; Y = C(1-3)alkylene or C(4-6)cycloalkylene; including tautomeric forms of the pyrimidone ring (when W is N)] and salts thereof, preferably pharmaceutically acceptable salts. I are inhibitors of the bacterial enzyme S. aureus methionyl t-RNA synthetase (MRS), and are of use in treating bacterial infections. The compds. are active against both Gram neg. and Gram pos. organisms, and in some cases against strains resistant to vancomycin or mupirocin. Over 30 synthetic examples are given. For instance, 3,5-dibromobenzyl bromide was aminated with propane-1,3-diamine, and the resultant diamine was coupled with 6-chloro-4-methoxythieno[2,3-b]pyridine, followed by hydrolysis of the Me ether and salification, to give title compd. II.2HCl. All of compds. I had IC50 values from <3 to 800 nM against S. aureus MRS, but showed high selectivity, giving no inhibition of rat MRS at concns. up to 1 μM. The compds. had MIC values of <1 to 64 μg/mL against various bacteria.

IT 309976-18-9P, 2-[[3-[(3,5-Dibromobenzyl)amino]prop-1-yl]amino]-1H-quinazolin-4-one
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of amino-substituted fused pyridones and pyrimidones as antibacterials)

RN 309976-18-9 HCAPLUS

CN 4(1H)-Quinazolinone, 2-[[3-[[(3,5-dibromophenyl)methyl]amino]propyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 75 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:742072 HCAPLUS

DOCUMENT NUMBER: 133:309907

TITLE: Preparation of nitrogen-containing heterocyclic compounds and benzamide compounds as hypolipidemics and antiarteriosclerotics

INVENTOR(S): Ohkura, Naoto; Hiraiwa, Yukiko; Matsushima, Tetsuya; Sasaki, Kazue; Yamamoto, Takehiro; Shiotani, Masaharu; Suzuki, Shigeki; Nakatani, Yuuko; Kuroda, Chizuko; Nagasawa, Mieko; Katano, Kiyoaki

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061556	A1	20001019	WO 2000-JP2329	20000410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2369103	AA	20001019	CA 2000-2369103	20000410
BR 2000009650	A	20020102	BR 2000-9650	20000410
EP 1180514	A1	20020220	EP 2000-915465	20000410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 779550	B2	20050127	AU 2000-36759	20000410
US 6777414	B1	20040817	US 2001-958296	20011005
US 2004224959	A1	20041111	US 2004-868006	20040616

PRIORITY APPLN. INFO.: JP 1999-102559 A 19990409
JP 1999-118490 A 19990426
JP 1999-119043 A 19990427
WO 2000-JP2329 W 20000410
US 2001-958296 A3 20011005

OTHER SOURCE(S): MARPAT 133:309907

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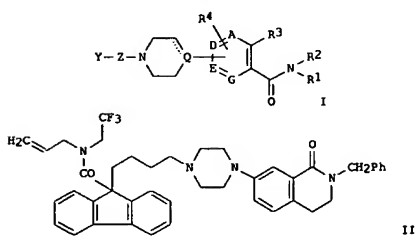
L5 ANSWER 75 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

II

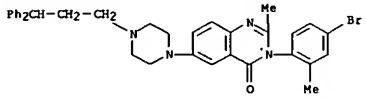
AB The title compds. [I; R1 and R2 represent each (un)substituted C1-6 alkyl or alkoxy, C3-8 cycloalkyl, Ph, C2-6 alkenyl or alkynyl, 5- or 6-membered ring (un)saturated heterocyclyl; R3 and R4 represent each hydrogen, (un)substituted C1-6 alkyl, halo, OH, cyano, C2-5 alkoxycarbonyl, C1-6 alkoxy, or CO2H ; or R2 and R3 may be bonded to each other to form (CH2)m, N:CH, CH:N, or (C1-6 alkyl)-C:N; wherein m is 1 or 2; A, D, E and G represent each C, or one of A, D, E and G represents N and the remainders represent C; Q represents N or C; Y represents a group represented by general formula Q1 (wherein X represents hydrogen, CONR5R6, etc.; R8 represents nil or a bond, O, etc.; and R9 and R10 represent each hydrogen, alkyl, etc.); and Z represents (CH2)n, O(CH2)i, or CONH(CH2)i; wherein n is 0-6; i is 1-6] are prepared These compds. have an effect of inhibiting the biosynthesis of triglycerides in the liver and an effect of inhibiting the secretion of apolipoprotein B-containing lipoproteins from the liver (the latter effect being particularly excellent), without showing the side effect of fat accumulation in the liver, and are useful in treating and preventing hyperlipemia, arteriosclerotic diseases, and pancreatitis. Thus, to a solution of 2-benzyl-7-[4-[4-(9-(2,2,2-trifluoroethylcarbamoyl)-9H-fluoren-9-yl]butyl]piperazin-1-yl]-3,4-dihydro-2H-isoquinolin-1-one in PhMe were added NaOH, K2CO3, tetrabutylammonium hydrogen sulfate, and allyl bromide and the resulting mixture was stirred at 60° overnight to give title compound (II). II in vitro inhibited the secretion of apolipoprotein B by 89% and the biosynthesis of triglycerides by 89% in HepG2 cells. Tablet and capsule formulations were also described.

IT 301667-87-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogen-containing heterocyclic compds. and benzamide compds. as hypolipidemics and antiarteriosclerotics and inhibitors of apolipoprotein B-containing lipoproteins and biosynthesis of triglycerides)

RN 301667-87-8 HCAPLUS

CN 4(3H)-Quinazolinone, 3-(4-bromo-2-methylphenyl)-6-[4-(3,3-diphenylpropyl)-1-piperazinyl]-2-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 75 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

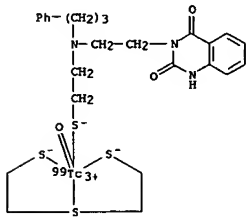
L5 ANSWER 76 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:64329 HCAPLUS
DOCUMENT NUMBER: 132:288403
TITLE: Evaluation of the in vitro and in vivo properties of a potential Tc-labelled inhibitor of the MDR gene product P-glycoprotein
AUTHOR(S): Bergmann, R.; Brust, P.; Pietzsch, H.-J.; Scheunemann, M.; Seifert, S.; Johannsen, B.
CORPORATE SOURCE: Germany
SOURCE: Wissenschaftlich-Technische Berichte - Forschungszentrum Rossendorf (1999), FZR-270, 62-67
CODEN: WBFRFQ; ISSN: 1437-322X
DOCUMENT TYPE: Report
LANGUAGE: English

AB The in vitro (immortalized rat brain endothelial cells) and in vivo (organ distribution in rats) properties of the 99/99mTc complex 3-thiapentane-1,5-dithiolato([N-(3-phenylpropyl)-N-2(3-quinazoline-2,4-dionyl)ethyl]aminoethylthiolato)oxotechnetium(V) (99/99mTc1) and of structurally related compds. as potential inhibitors of P-glycoprotein (Pgp) were described. 99/99mTc1 showed biochem. and pharmacol. properties of a Pgp substrate and inhibitor of the Pgp-mediated efflux of sestamibi, vinblastine, and colchicine. It was considered as a candidate reversal agent and could serve as a template for the development of nonradioactive Re(V) analogs as Pgp inhibitors. The in vivo distribution of 99/99mTc1 was similar to [99Tc]sestamibi.

IT 202717-95-1
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(in vitro and in vivo properties of a potential Tc-labeled inhibitor of the MDR gene product P-glycoprotein)

RN 202717-95-1 HCAPLUS
CN Technetium-99Tc, [3-[2-[[2-(mercapto-κS)ethyl](3-phenylpropyl)amino]ethyl]-2,4(1H,3H)-quinazolinedionato]oxo[[2,2'-(thio-κS)bis[ethanethiolato-κS]](2-)]-, (SP-5-43)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS

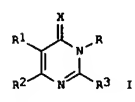
L5 ANSWER 76 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 77 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:152363 HCAPLUS
DOCUMENT NUMBER: 130:196665
TITLE: Preparation of α-[(oxoquinazolinylalkoxy)phenyl] alkanoates and analogs as PPARα and PPARγ receptor agonists
INVENTOR(S): Lohray, Vidya Hushan; Lohray, Braj Bhushan; Paraselli, Rao Bheema; Ramanujam, Rajagopalan; Chakrabarti, Ranjan
PATENT ASSIGNEE(S): Reddy's Research Foundation, India; Reddy-Cheminor, Inc.
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9908501	A2	19990225	WO 1998-US22568	19981026
WO 9908501	A3	19990415		
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9911205	A1	19990308	AU 1999-11205	19981026
EP 1073643	A2	20010207	EP 1998-953969	19981026
EP 1073643	B1	20041229		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 286032	E	20050115	AT 1998-953969	19981026
PRIORITY APPLN. INFO.:			US 1998-82825P P	19980423
			WO 1998-US22568 W	19981026

OTHER SOURCE(S): MARPAT 130:196665
GI



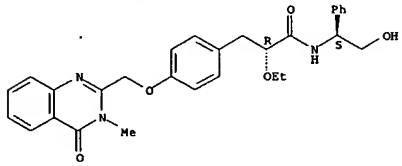
AB Title compds. [I; R = (CH2)nOZCHR4CR5(OR6)COYR7 and R3 = H, halo, alkyl, alkoxy, etc.; R = H, OH, acyl, alkyl, etc.; and R3 = (CH2)nOZCHR4CR5(OR6)COYR7; R1,R2 = H, halo, alkyl, alkoxy, etc.; R1R2 = atoms to complete a ring; R4,R5 = H, halo, alkyl, alkoxy, etc.;; R4R5 = bond; R6 = H, acyl, alkyl aryl, etc.; R7 = H, alkyl, heterocyclyl, (hetero)aryl, etc.; X = O or S; Y = O or NR8; R8 = H, alkyl, aryl, etc.; R7R8 = atoms to complete a ring; Z = (hetero)arylene; n = 1-4] were prepared Thus, I (R = Me, R1R2 = CH:CHCH:CH, X = O) (II; R3 = CH2Cl) was condensed with 4-(HO)C6H4CH2CH(OEt)CO2Et to give II [R3 = CH2OC6H4[CH2CH(OEt)CO2Et]-4]. Data for biol. activity of I were given.

L5 ANSWER 77 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 220746-19-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-[(oxoquinazolinylalkoxy)phenyl]alkanoates and analogs as PPARα and PPARγ receptor agonists)

RN 220746-19-0 HCAPLUS
CN Benzenepropanamide, 4-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methoxy]-α-ethoxy-N-[(1S)-2-hydroxy-1-phenylethyl]-, (αR)- (9CI) (CA INDEX NAME)

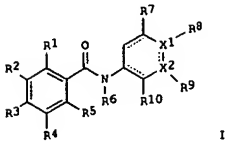
Absolute stereochemistry. Rotation (+).



L5 ANSWER 78 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:631744 HCAPLUS
DOCUMENT NUMBER: 129:310895
TITLE: Benzamide compounds and their use as neovasculacization inhibitors
INVENTOR(S): Inaba, Takayuki; Tada, Hiroki; Iwamura, Hiroyuki
PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 106 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10259176	A2	19980929	JP 1997-84463	19970317
PRIORITY APPLN. INFO.:			JP 1997-84463	19970317
OTHER SOURCE(S):	MARPAT 129:310895			

GI



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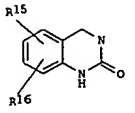
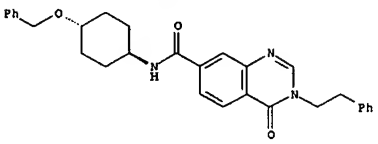


II

AB The inhibitors contain benzamides I [R1 = H, NO2, halo, cyano, lower alkoxy, NR11R12 (R11, R12 = H, acyl); R2 = H, NO2, halo, OR13 (R13 = lower alkyl, aralkyl, cycloalkyl); R3 = X3(CH2)mR14 [R14 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, (un)substituted lower alkyl, cycloalkyl, acyl, alkenyl, H; X3 = O, NHCO, OSO2, NR17 (R17 = H, lower alkyl); m = 0-5], II (R15, R16 = H, lower alkoxy, amino, lower alkyl, CO2H, OH); R2 and R3 may be bonded to form a condensed 1,3-oxazole ring; R4 = H, OR19 (R19 = lower alkyl, aralkyl, cycloalkyl); R3 and R4 may be bonded to form a condensed 1,3-oxazole, 1,4-oxazine, or pyrimidine ring; R5 = H, NO2, alkenyl; NHR28 (R28 = H, acyl, lower alkoxycarbonyl);

L5 ANSWER 78 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R6 = H, (un)substituted lower alkyl; R5 and R6 may be bonded to form a condensed pyrimidine, diazepine, or pyridine ring; R7 = H, lower alkoxy; R8 = X4(CH2)tR30 [X4 = O, CH2, CO, CONH, OSO2, SO2NH, NR31 (R31 = H, lower alkyl, aralkyl), direct bond], t = 0-5; R30 = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted amino, H, OH, halo, lower alkyl, lower alkoxy, cycloalkyl, acyl, cyano, CO2R32 (R32 = H, lower alkyl); R9 = H, lower alkoxycarbonyl, halo, OR33 (R33 = H, lower alkyl, aralkyl), CONHR34 (R34 = H, lower alkyl, aralkyl); R7 and R8, R8 and R9 may be bonded to form a 1,3-oxazole ring; X1, X2 =X, N; dotted line represents an optional double bond]. I are useful for treatment of rheumatoid arthritis, diabetic retinopathy, neoplasms, etc. IC50 of 4-benzyloxy-N-(4-benzyloxyphenyl)-3-methoxybenzamide (prepn. given) against bFGF- or VEGF-induced proliferation of HUVEC was 0.85 μM.
IT 214847-72-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Benzamide compds. and their use as neovascularization inhibitors)
RN 214847-72-0 HCAPLUS
CN 7-Quinazolinecarboxamide, 3,4-dihydro-4-oxo-3-(2-phenylethyl)-N-[trans-4-(phenylmethoxy)cyclohexyl]- (9CI) (CA INDEX NAME)

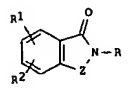
Relative stereochemistry.



L5 ANSWER 79 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:388783 HCAPLUS
DOCUMENT NUMBER: 129:67695
TITLE: Preparation of N-(imidobenzoyl)phenylalaninals and analogs as cysteine protease inhibitors
INVENTOR(S): Lubisch, Wilfried; Moeller, Achim; Treiber, Hans-Joerg
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19650975	A1	19980610	DE 1996-19650975	19961209
CA 2273988	AA	19980618	CA 1997-2273988	19971128
WO 9825899	A1	19980618	WO 1997-EP6653	19971128
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9855580	A1	19980703	AU 1998-55580	19971128
AU 742732	B2	20020110		
EP 946509	A1	19991006	EP 1997-952007	19971128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
CN 1239949	A	19991229	CN 1997-180437	19971128
BR 9713884	A	20000229	BR 1997-13884	19971128
NZ 335066	A	20000327	NZ 1997-335066	19971128
JP 2001505889	T2	20010508	JP 1998-526155	19971128
CZ 292391	B6	20030917	CZ 1999-1743	19971128
ZA 9710979	A	19990618	ZA 1997-10979	19971208
TW 420666	B	20010201	TW 1997-86118462	19971208
BG 63388	B1	20011231	BG 1999-103399	19990512
US 6172072	B1	20010109	US 1999-308350	19990519
NO 9902770	A	19990608	NO 1999-2770	19990608
KR 2000057445	A	20000915	KR 1999-705071	19990608
US 6436949	B1	20020820	US 2000-666304	20000921
PRIORITY APPLN. INFO.:			DE 1996-19650975 A	19961209
			WO 1997-EP6653 W	19971128
			US 1999-308350 A3	19990519
OTHER SOURCE(S):	MARPAT 129:67695			

GI

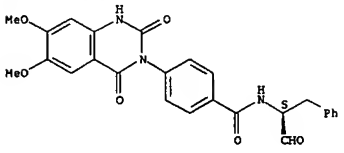


I

AB Title compds. [I; R = (CH2)mZ1CONHCHR4COR5; R1,R2 = H, halo, alkyl, alkoxy, etc.; R4 = [(hetero)aryl]alkyl; R5 = H, CO2H, alkoxycarbonyl, CONR9R10; R9,R10 = H or alkyl; R9 may addnl. = (1-alkyl)-4-piperidinyl; NR9R10 = (alkyl-substituted) pyrrolidino, piperidino, etc.; Z = NHCO, N:CH, CH2, CO, etc.; Z1 = (un)substituted phenylene; m = 0-2] were prepared

L5 ANSWER 79 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
as cysteine protease inhibitors (no data). Thus, 2-(H2N)C6H4CO2Pr was cyclocondensed with 4-(OCN)C6H4CO2Et and the sapond. product amidated by (S)-H2NCH(CH2Ph)CH2OH to give, after oxidn., I [R = (S)-C6H4[CONHCH(CH2Ph)CHO]-4, R1 = R2 = H, Z = NHCO].
IT 208838-40-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(imidobenzoyl)phenylalaninals and analogs as cysteine protease inhibitors)
RN 208838-40-8 HCAPLUS
CN Benzamide, 4-(1,4-dihydro-6,7-dimethoxy-2,4-dioxo-3(2H)-quinazolinyl)-N-[(1S)-1-formyl-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



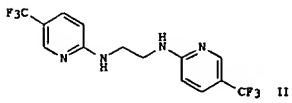
L5 ANSWER 80 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:268348 HCAPLUS
DOCUMENT NUMBER: 128:321662
TITLE: Compositions and methods for treating bone deficit conditions
INVENTOR(S): Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; et al.
PATENT ASSIGNEE(S): Zymogenetics, Inc., USA; Osteoscreen, Inc.
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817267	A1	19980430	WO 1997-US18864	19971023
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, US, US, US, US, US, US, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5990169	A	19991123	US 1997-806771	19970226
US 6153631	A	20001128	US 1997-806768	19970226
US 6251901	B1	20010626	US 1997-806769	19970226
US 5919808	A	19990706	US 1997-808743	19970228
US 5922753	A	19990713	US 1997-808742	19970228
US 5948776	A	19990907	US 1997-808739	19970228
US 5994358	A	19991130	US 1997-808744	19970228
US 6342514	B1	20020129	US 1997-808741	19970228
US 5965573	A	19991012	US 1997-812141	19970306
AU 9749889	A1	19980515	AU 1997-49889	19971023
EP 973513	A1	20000126	EP 1997-912787	19971023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001510450	T2	20010731	JP 1998-519529	19971023
US 6649631	B1	20031118	US 1999-297188	19991119

PRIORITY APPLN. INFO.:
US 1996-735870 A2 19961023
US 1996-735873 A2 19961023
US 1996-735874 A2 19961023
US 1996-735876 A2 19961023
US 1996-735881 A2 19961023
US 1996-736220 A2 19961023
US 1996-736221 A2 19961023
US 1996-736222 A2 19961023
US 1996-736228 A2 19961023
US 1996-736318 A2 19961023
US 1996-736319 A2 19961023
WO 1997-US18864 W 19971023

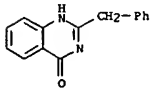
OTHER SOURCE(S): MARPAT 128:321662
GI

L5 ANSWER 80 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



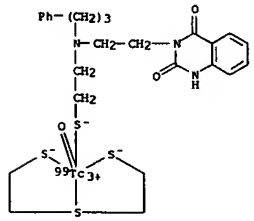
II

AB Compds. containing 2 covalently linked aromatic systems, i.e. Ar1LAr2 [I; Ar1, Ar2 = (un)substituted Ph, naphthyl, or 5- or 6-membered aromatic heterocyclyl; L = linker (atoms or covalent bond per se) so as to space the aromatic systems at a distance of 1.5-15 Å] are effective in treating conditions associated with bone deficits. The compds. can be administered to vertebrate subjects alone or in combination with addnl. agents that promote bone growth or that inhibit bone resorption. They can be screened for activity prior to administration by assessing their ability to effect the transcription of a reporter gene coupled to a promoter associated with a bone morphogenetic protein and/or their ability to stimulate calvarial growth in model animal systems. A variety of compds. were prepared and/or tested by high-throughput screening. For instance, title compound II was prepared by condensation of 2-chloro-5-(trifluoromethyl)pyridine with ethylenediamine in the presence of EtN(Pr-iso)2 at reflux. At 5-50 μg/kg/day in ovariectomized rats, II stimulated bone growth with volume increases of 21-71% observed In a calvarial bone growth assay, another compound I induced a 4-fold increase in width of new calvarial bone vs. controls.
IT 4765-56-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of (hetero)aromatic compds. for treating bone deficit conditions)
RN 4765-56-4 HCAPLUS
CN 4(1H)-Quinazolinone, 2-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 81 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:82261 HCAPLUS
DOCUMENT NUMBER: 128:158892
TITLE: No carrier added preparations of "3+1" mixed-ligand 99mTc complexes
AUTHOR(S): Seifert, Sepp; Pietzsch, Hans-Juergen; Scheunemann, Matthias; Spies, Hartmut; Syhre, Rosemarie; Johannsen, Bernd
CORPORATE SOURCE: Research Center Rossendorf Inc., Institute of Bioinorganic and Radiopharmaceutical Chemistry, Dresden, 01314, Germany
SOURCE: Applied Radiation and Isotopes (1997), Volume Date 1998, 49(1/2), 5-11
CODEN: ARISEF; ISSN: 0969-8043
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The no carrier added (n.c.a.) preparation of potentially receptor-binding "3+1" mixed-ligand technetium complexes has not so far been successfully accomplished. This article deals with our results in the preparation of n.c.a. Tc complexes with tridentate S-S-S or S-N-S ligands and a series of bulky monothiolato ligands. It was found that Tc(V) gluconate or Tc(V) ethylene glycolate are suitable precursors for the complex formation. In a two-step procedure consisting of a creation of the monothiolato ligand with the precursor and subsequent addition of the tridentate ligand, the desired "3+1" mixed-ligand complexes are formed with yields of up to 90%. Low ligand concns. and pH 9-10 promote the formation of the technetium compds. A comparison of their anal. properties (TLC, HPLC) and biodistribution data of carrier added and no carrier added technetium complexes show the identity of the investigated compds.
IT 202717-95-1P
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(no carrier added prepns. of "3+1" mixed-ligand 99mTc complexes)
RN 202717-95-1 HCAPLUS
CN Technetium-99Tc, [3-[2-[[2-(mercapto-κS)ethyl](3-phenylpropyl)amino]ethyl]-2,4(1H,3H)-quinazolinedionato]oxo[[2,2'-(thio-κS)bis[ethanethiolato-κS]](2-)]-, (SP-5-43)- (9CI) (CA INDEX NAME)



L5 ANSWER 81 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 82 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

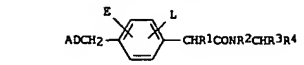
ACCESSION NUMBER: 1997:708566 HCAPLUS
DOCUMENT NUMBER: 127:346418
TITLE: phenylglycinol amides as antiatherosclerotic agents
INVENTOR(S): Goldmann, Siegfried; Mueller, Ulrich; Connell, Richard; Bischoff, Hilmar; Denzer, Dirk; Gruetzmann, Rudi; Beuck, Martin
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 42 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19615262	A1	19971023	DE 1996-19615262	19960418
EP 802188	A1	19971022	EP 1997-105705	19970407
EP 802188	B1	20010124		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EP 1028112	A1	20000816	EP 2000-109077	19970407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 198884	E	20010215	AT 1997-105705	19970407
PT 802188	T	20010531	PT 1997-105705	19970407
ES 2155853	T3	20010601	ES 1997-105705	19970407
US 5892114	A	19990406	US 1997-833826	19970410
JP 10059914	A2	19980303	JP 1997-111932	19970414
CA 2202719	AA	19971018	CA 1997-2202719	19970415
US 6191157	B1	20010220	US 1998-205772	19981204
US 6329360	B1	20011211	US 2000-664117	20000918
GR 3035660	T3	20010629	GR 2001-400510	20010329

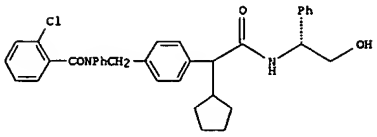
PRIORITY APPLN. INFO.: DE 1996-19615262 A 19960418
EP 1997-105705 A3 19970407
US 1997-833826 A3 19970410
US 1998-205772 A3 19981204

OTHER SOURCE(S): MARPAT 127:346418
GI

L5 ANSWER 82 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I



II

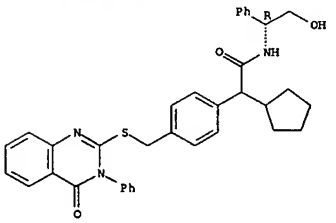
AB Title compds. I [A = (un)substituted aryl, benzyl, heterocyclic, 4-oxo-3,4-dihydro-2-quinazolinyl; D = O, CO, (un)substituted NH, CONH, S, CH2S, CH2NH, CH:CH; E, L = H, cycloalkyl, N3, OH, halogen, alkyl, alkoxy, alkenyl; R1 = cycloalkyl, alkyl; R2 = H, alkyl; R3 = (un)substituted Ph; R4 = H, CH2OH] were prepared for use as antiatherosclerotics (no data). Thus, 2-chlorobenzanilide was alkylated with tert-Bu 4-bromomethylcyclopentylacetate, hydrolyzed to the acid, and amidated with (R)-phenylglycinol to give the amide II.

IT 198407-27-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylglycinol amides as antiatherosclerotic agents)

RN 198407-27-1 HCAPLUS

CN Benzeneacetamide, α-cyclopentyl-4-[[(3,4-dihydro-4-oxo-3-phenyl-2-quinazolinyl)thio]methyl]-N-(2-hydroxy-1-phenylethyl)-, [N(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 82 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

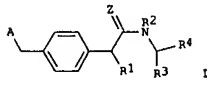
L5 ANSWER 83 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:505715 HCAPLUS
DOCUMENT NUMBER: 127:108938
TITLE: Preparation of benzoheterocyclylmethylphenylacetamides as antiatherosclerotics.
INVENTOR(S): Connell, Richard; Goldmann, Siegfried; Mueller, Ulrich; Lohmer, Stefan; Bischoff, Hilmar; Denzer, Dirk; Gruetzmann, Rudi; Wohlfeil, Stefan
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 779279	A1	19970618	EP 1996-119321	19961203
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 19546918	A1	19970619	DE 1995-19546918	19951215
US 5811429	A	19980922	US 1996-761921	19961209
JP 09183766	A2	19970715	JP 1996-352429	19961213
US 6025378	A	20000215	US 1998-99557	19980618
US 6200971	B1	20010313	US 1999-420304	19991018

PRIORITY APPLN. INFO.: DE 1995-19546918 A 19951215
US 1996-761921 A3 19961209
US 1998-99557 A3 19980618

OTHER SOURCE(S): MARPAT 127:108938
GI



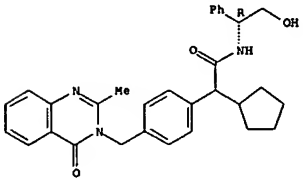
I

AB Title compds. [I; A = (substituted) benzimidazolyl, oxoquinazolinyl, oxophthalazinyl, etc.; R1 = alkyl, cycloalkyl, (substituted) Ph; R2 = H, alkyl; R3 = H, alkyl, cycloalkyl, (substituted) Ph, heterocyclyl; R4 = H, CH2OH, CH2O2CR11; R11 = H, alkyl, (substituted) Ph; D, E = H, halo, CF3, OH, CO2H, alkyl, alkoxy, alkoxycarbonyl; Z = O, S], were prepared Thus, 2-cyclopentyl-2-[4-(2-methyl-4-oxo-4H-quinazolin-3-ylmethyl)phenyl]acetic acid (preparation given) was stirred overnight with (R)-phenylglycinol, hydroxybenzotriazole, N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide hydrochloride, and Et3N in CH2Cl2 to give 51% 2-cyclopentyl-N-(2-hydroxy-1-phenylethyl)-2-[4-(2-methyl-4-oxo-4H-quinazolin-3-ylmethyl)phenyl]acetic acid amide (II). II inhibited liberation of ApoB-100 associated lipoproteins with IC50 = 44.4 nM.

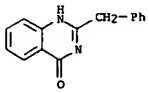
IT 192579-10-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L5 ANSWER 83 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of benzoheterocyclylmethylphenylacetamides as antiatherosclerotics)
RN 192579-10-5 HCAPLUS
CN Benzeneacetamide, α-cyclopentyl-N-(2-hydroxy-1-phenylethyl)-4-[(2-methyl-4-oxo-3(4H)-quinazolinyl)methyl]-, [N(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



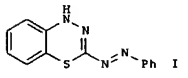
L5 ANSWER 84 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
resorption comprises administration of compds. comprising 2 (substituted) arom. systems spaced apart by a linker of 1.5-15 Å, is claimed. Thus, dithizone was refluxed in EtOH/HOAc for 18 h to give 25% title compd. (I). In a calvarial bone growth assay, I induced a 4-fold increase in width of new calvarial bone vs. controls.
IT 4765-56-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of (hetero)aromatic compds. for treating bone deficit conditions)
RN 4765-56-4 HCAPLUS
CN 4(1H)-Quinazolinone, 2-(phenylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 84 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:397336 HCAPLUS
DOCUMENT NUMBER: 127:17703
TITLE: Preparation of (hetero)aromatic compounds for treating bone deficit conditions.
INVENTOR(S): Petrie, Charles; Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; Harris, Scott M.; Kontoyianni, Maria; Hurley, Laurence H.; Kerwin, Sean M.; Mundy, Gregory R.
PATENT ASSIGNEE(S): Zymogenetics, Inc., USA; Osteoscreen, Inc.; University of Texas At Austin
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9715308	A1	19970501	WO 1996-US17019	19961023
W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2235481	AA	19970501	CA 1996-2235481	19961023
AU 9674710	A1	19970515	AU 1996-74710	19961023
AU 706262	B2	19990610		
EP 866710	A1	19980930	EP 1996-936906	19961023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1201393	A	19981209	CN 1996-197827	19961023
BR 9611210	A	19991228	BR 1996-11210	19961023
JP 2000513324	T2	20001010	JP 1997-516761	19961023
US 6008208	A	19991228	US 1997-878868	19970619
NO 9801810	A	19980622	NO 1998-1810	19980422
US 6413998	B1	20020702	US 1999-453828	19991202
PRIORITY APPLN. INFO.:			US 1995-5830P	P 19951023
			US 1996-735875	B1 19961023
			WO 1996-US17019	W 19961023
			US 1997-878868	A3 19970619

OTHER SOURCE(S): MARPAT 127:17703
GI

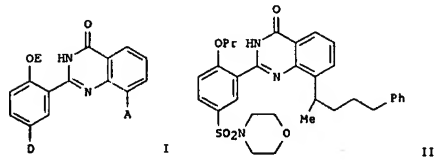


AB A method for treating deficient bone growth and/or undesirable bone

L5 ANSWER 85 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:509779 HCAPLUS
DOCUMENT NUMBER: 125:168013
TITLE: Preparation of 2,8-disubstituted quinazolinones as antiinflammatories and cardiovascular agents.
INVENTOR(S): Heiker, Fred R.; Niewoehner, Ulrich; Hartwig, Wolfgang; Schuetz, Helmuth; Bischoff, Erwin; Perzborn, Elisabeth; Schramm, Matthias
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 722937	A1	19960724	EP 1996-100154	19960108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 19501481	A1	19960725	DE 1995-19501481	19950119
IN 184956	A	20001007	IN 1995-DE2408	19951226
US 5721238	A	19980224	US 1996-584865	19960111
AU 9640980	A1	19960725	AU 1996-40980	19960115
AU 704102	B2	19990415		
CA 2167345	AA	19960720	CA 1996-2167345	19960116
IL 116770	A1	20000831	IL 1996-116770	19960116
RO 117451	B1	20020329	RO 1996-77	19960116
FI 9600227	A	19960720	FI 1996-227	19960117
JP 08253457	A2	19961001	JP 1996-22973	19960117
NO 9600222	A	19960722	NO 1996-222	19960118
NO 307513	B1	20000417		
ZA 9600397	A	19960828	ZA 1996-397	19960118
BR 9600148	A	19980106	BR 1996-148	19960118
RU 2158733	C2	20001110	RU 1996-100854	19960118
CN 1134417	A	19961030	CN 1996-101906	19960119
PRIORITY APPLN. INFO.:			DE 1995-19501481	A 19950119

OTHER SOURCE(S): MARPAT 125:168013
GI

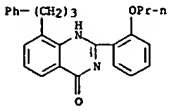


AB Title compds. [I; A = (alkyl-substituted) oxiranyl, CR1(:CHR2), CHR3LR4, CH2R5; R1 = H, alkyl; R2, R4 = alkyl, phenylalkyl; R3 = alkyl, OH, alkoxy, etc.; R5 = alkyl, phenylalkyl, PhCH2, PhCH2CH2; L = CO, CH(OH), CH2, CHN3, CH(OSO2R7); R7 = alkyl, Ph; D = H, aminosulfonyl; E = alkyl], were prepared

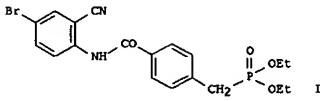
L5 ANSWER 85 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Thus, title compd. (II), prepd. via coupling of 2-(2-propoxy-5-morpholinosulfonylphenyl)-8-bromoquinazolin-4(3H)-one with 5-phenyl-2-pentene followed by hydrogenation, inhibited phosphodiesterase II and phosphodiesterase V with IC50 = 0.5 nM and 1 nM, resp.

IT 180161-32-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,8-disubstituted quinazolinones as antiinflammatories and cardiovascular agents)

RN 180161-32-4 HCAPLUS
CN 4(1H)-Quinazolinone, 8-(3-phenylpropyl)-2-(2-propoxyphenyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 86 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:148287 HCAPLUS
DOCUMENT NUMBER: 124:219969
TITLE: Synthesis and Hypolipidemic Activities of Novel 2-[4-[(Diethoxyphosphoryl)methyl]phenyl]quinazolines and 4(3H)-Quinazolinones
AUTHOR(S): Kurogi, Yasuhisa; Inoue, Yasuhide; Tsutsumi, Kazuhiko; Nakamura, Shizuo; Nagao, Kazushi; Yoshitsugu, Hiroki; Tsuda, Yoshihiko
CORPORATE SOURCE: Nutrition Research Institute, Otsuka Pharmaceutical Factory Inc., Naruto, 772, Japan
SOURCE: Journal of Medicinal Chemistry (1996), 39(7), 1433-7
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

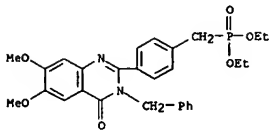


AB The novel compound NO-1886, 4-[(diethoxyphosphoryl)methyl]-N-(4-bromo-2-cyanophenyl)benzamide (I), a hypolipidemic agent which appears to increase lipoprotein lipase activity in rats. Various analogs of NO-1886 were synthesized to study the structure-activity relation of this hypolipidemic drug. A novel series of quinazolines and 4(3H)-quinazolinones were prepared by cyclization of NO-1886 derivs. Derivs. bearing a 4-[(diethoxyphosphoryl)methyl]phenyl group at the 2-position were found to lower triglyceride and total cholesterol levels. In accord with the decrease in log P', quinazolines and 4(3H)-quinazolinones showed good absorption and hypolipidemic activity. When the quinazolinone ring system is substituted at positions 6 and 7 with methoxy groups, increased hypolipidemic activity was observed. The highest hypolipidemic activity was observed when the 3-position was substituted by a Me or benzyl group.

IT 173018-61-6P, 2-[4-[(Diethoxyphosphoryl)methyl]phenyl]-3-benzyl-6,7-dimethoxy-4(3H)-quinazolinone
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and hypolipidemic activities of novel 2-[4-[(diethoxyphosphoryl)methyl]phenyl]quinazolines and 4(3H)-quinazolinones)

RN 173018-61-6 HCAPLUS
CN Phosphonic acid, [[4-[3,4-dihydro-6,7-dimethoxy-4-oxo-3-(phenylmethyl)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 86 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

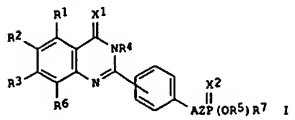


L5 ANSWER 87 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:994818 HCAPLUS
DOCUMENT NUMBER: 124:117591
TITLE: Preparation and formulation of quinazolinonylbenzylphosphonic acid diester derivatives as hypolipemics, antihypertensives, and antidiabetics
INVENTOR(S): Kuroki, Yasuhisa; Miyata, Kazuyoshi; Tsuda, Yoshihiko; Inoue, Yasuhide; Kanaya, Jun; Sato, Keigo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9524410	A1	19950914	WO 1995-JP303		19950227
W: AU, CA, CN, KR, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
JP 08143586	A2	19960604	JP 1995-35261		19950223
JP 3533542	B2	20040531			
CA 2184891	AA	19950914	CA 1995-2184891		19950227
CA 2184891	C	20000926			
AU 9518244	A1	19950925	AU 1995-18244		19950227
AU 679344	B2	19970626			
EP 749974	A1	19961227	EP 1995-909996		19950227
EP 749974	B1	20010627			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1147257	A	19970409	CN 1995-192824		19950227
CN 1066739	B	20010606			
AT 202567	E	20010715	AT 1995-909996		19950227
TW 379225	B	20000111	TW 1995-84102161		19950307
US 5798344	A	19980825	US 1996-704740		19960905
PRIORITY APPLN. INFO.:			JP 1994-37361	A	19940308
			JP 1994-126526	A	19940608
			JP 1994-251484	A	19940919
			WO 1995-JP303	W	19950227

OTHER SOURCE(S): MARPAT 124:117591
GI

L5 ANSWER 87 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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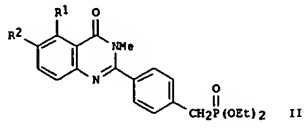


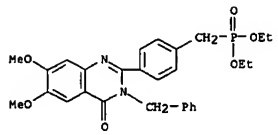
II

AB The title compds. I [R1, R2, R3 and R6 represent each independently hydrogen, lower alkyl, halogen, nitro, etc.; R4 represents Ph, lower alkyl, phenylalkyl, etc.; R5 represents lower alkyl; R7 represents lower alkoxy, hydroxy, Ph, or phenylated lower alkoxy or lower alkylamino wherein the Ph group may be halogenated; X1 and X2 represent each oxygen or sulfur; A represents oxygen or a single bond; and Z represents lower alkylene] are prepared The title compound II [R1 = F; R2 = H] at 100 mg/Kg orally decreased blood glucose in rats by 50%. The title compound II [R1 = H; R2 = Br] at 100 mg/Kg orally decreased plasma triglycerides in rats by 35%.

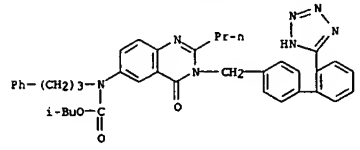
IT 173018-61-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinonylbenzylphosphonic acid diester derivs. as hypolipemics, antihypertensives, and antidiabetics)

RN 173018-61-6 HCAPLUS

CN Phosphonic acid, [[4-[3,4-dihydro-6,7-dimethoxy-4-oxo-3-(phenylmethyl)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 88 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

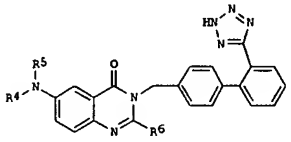


L5 ANSWER 88 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:420519 HCAPLUS
DOCUMENT NUMBER: 122:314564
TITLE: 6-Amino-3-(biphenylylmethyl)quinazolinones as angiotensin II antagonists
INVENTOR(S): De Laszlo, Stephen E.; Glinka, Tomasz W.; Greenlee, William J.; Chakravarty, Prasun K.; Patchett, Arthur A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 37 pp. Cont. of U.S. Ser. No. 912,458, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5385894	A	19950131	US 1994-222354	19940404
PRIORITY APPLN. INFO.:			US 1994-222354	B1 19940404
			US 1992-912458	B2 19920713
			US 1991-665389	19910306

OTHER SOURCE(S): MARPAT 122:314564
GI



I

AB Novel disubstituted 6-aminoquinazolinones I (R4 = e.g., benzyl, Bu, Pr; R5 = e.g., CO2Bu-iso, CO2Me, CO2Pr; R6 = e.g., Bu, Pr) are useful as angiotensin II antagonists. In an antihypertensive screening, I exhibited an activity of IC50 < 50 mM, thereby demonstrating and confirming utility as AII antagonists. Pharmaceutical formulations were given.

IT 163306-24-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(6-amino-3-(biphenylylmethyl)quinazolinones as angiotensin II antagonists)

RN 163306-24-9 HCAPLUS

CN Carbamic acid, [3,4-dihydro-4-oxo-2-propyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl](3-phenylpropyl)-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 89 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:4668 HCAPLUS
DOCUMENT NUMBER: 122:10054
TITLE: Preparation of (biphenylylmethyl)quinazolinones as angiotensin II receptor blockers.
INVENTOR(S): Levin, Jeremy I.; Venkatesan, Aranapakam M.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 31 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5292734	A	19940308	US 1993-52936	19930423
PRIORITY APPLN. INFO.:			US 1993-52936	19930423

OTHER SOURCE(S): MARPAT 122:10054
GI

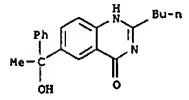
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R = tetrazol-5-yl, CO2H, NHSO2CF3; X = C3-5 alkyl; R6 = Q1, Q2, etc.; R1, R2, R10, R11, R14 = H, (substituted) alkyl, Ph, pyridyl, thienyl, furyl, CO2R7, etc.; R3 = H, alkyl, (substituted) Ph, pyridyl, thienyl, furyl, COR5, CO2R7, etc.; R4 = H, COR5, CO2R7, alkyl, (substituted) Ph, PhCH2, etc.; R5, R7 = H, alkyl; R8 = H, alkyl, (substituted) Ph, COR5; R9 = H, alkyl, (substituted) Ph; A = (CR11R14)m; X1 = O, (CR11R14)n, CO2CONR7; m = 2-5; n = 1-5; m+n ≤6], were prepared Thus, cis-2-butyl-6-(hexahydro-2-methylpyrrolo[1,2-b]isoxazol-2-yl)-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-4(3H)-quinazolinone Na salt was heated with Zn in HOAc/H2O at 65° for 5 h to give title compound II. II at 1 mg/kg i.v. in rats gave 93% inhibition of vasopressor response to angiotensin II at 0.05 μg/kg i.v.

IT 155995-09-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as intermediate for angiotensin II antagonist)

RN 155995-09-8 HCAPLUS

CN 4(1H)-Quinazolinone, 2-butyl-6-(1-hydroxy-1-phenylethyl)- (9CI) (CA INDEX NAME)



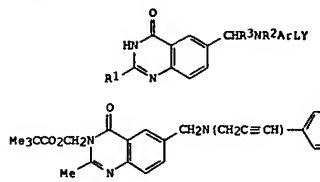
L5 ANSWER 90 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:194334 HCAPLUS
DOCUMENT NUMBER: 116:194334
TITLE: Preparation of [(quinazolinylmethyl)amino]benzamides and related compounds as antitumor agents
INVENTOR(S): Andrew, Robert George; Barker, Andrew John; Boyle, Francis Thomas; Wardleworth, James Michael
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; National Research Development Corp.
SOURCE: Eur. Pat. Appl., 58 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 459730	A2	19911204	EP 1991-304757	19910524
EP 459730	A3	19930120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9177075	A1	19911205	AU 1991-77075	19910516
AU 640016	B2	19930812		
ZA 9103730	A	19920226	ZA 1991-3730	19910516
CA 2042922	AA	19911201	CA 1991-2042922	19910521
HU 57739	A2	19911230	HU 1991-1768	19910527
NO 9102071	A	19911202	NO 1991-2071	19910529
JP 04235173	A2	19920824	JP 1991-124260	19910529
FI 9102600	A	19911201	FI 1991-2600	19910530
GB 2244708	A1	19911211	GB 1991-11656	19910530
GB 2244708	B2	19931208		
US 5280027	A	19940118	US 1991-708046	19910530

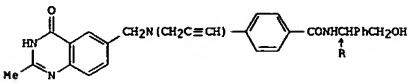
PRIORITY APPLN. INFO.: GB 1990-11989 A 19900530
OTHER SOURCE(S): MARPAT 116:194334
GI

L5 ANSWER 90 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

II



III

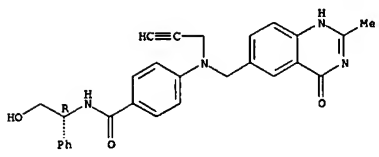
AB Title compds. I [R1 = H, NH2, C1-4 alkyl, C1-4 alkoxy, substituted C1-3 alkyl, C1-3 hydroxyalkoxy, C1-4 alkoxyalkoxy, etc.; quinazoline ring may have one more substituent; R2 = H, C1-4 alkyl, -alkenyl, -alkynyl, -hydroalkyl, -haloalkyl, -cyanoalkyl; R3 = H, C1-3 alkyl; Ar = (substituted) phenylene, (substituted) heterocyclene; L = CONH, NHCO, CONR4, NR4CO, CH:CH, CO2; R4 = C1-4 alkyl; Y = A1CR5(A3Y3)A2Y2; R5 = H, C1-3 alkyl; A1,A2 = bond, C1-4 alkylene; A3 = bond, (substituted) C1-4 alkylene; Y2 = OH, NH2, cyano, halo, CF3, C1-4 alkoxy, -(di)alkylamino, -haloalkyl, aryl, etc.; Y3 = Y2, SO3H, CONHOH, CONHCN, etc.; with provisos] were prepared as antitumor agents. Thus, benzoic acid derivative II (preparation given) was converted to the acid chloride, which was amidated by (-)-(2R)-2-amino-2-phenylethanol to give a protected amide, which was deprotected to give title compound III. Another I [R1 = Me; R2 = propargyl; R3 = H; Ar = 1,4-phenylene; L = CONH; Y = Ph2CH] had IC50 of .apprx.7 μM in vitro against L1210 leukemia cells.

IT 140372-26-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antitumor agent)

RN 140372-26-5 HCAPLUS
CN Benzamide, 4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]-N-(2-hydroxy-1-phenylethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 90 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

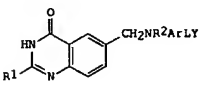


L5 ANSWER 91 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:23978 HCAPLUS
DOCUMENT NUMBER: 114:23978
TITLE: Preparation of quinazolinone derivatives as anti-tumor agents
INVENTOR(S): Hughes, Leslie Richard; Oldfield, John; Pegg, Stephen John; Barker, Andrew John; Marsham, Peter Robert
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; National Research Development Corp.
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 373891	A2	19900620	EP 1989-312986	19891212
EP 373891	A3	19901205		
EP 373891	B1	19941102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
NO 8904692	A	19900618	NO 1989-4692	19891124
AU 8945883	A1	19900621	AU 1989-45883	19891204
ZA 8909481	A	19900829	ZA 1989-9481	19891212
ES 2063830	T3	19950116	ES 1989-312986	19891212
GB 2227016	A1	19900718	GB 1989-28146	19891213
GB 2227016	B2	19920715		
CA 2005476	AA	19900615	CA 1989-2005476	19891214
US 5089499	A	19920218	US 1989-450670	19891214
DK 8906366	A	19900616	DK 1989-6366	19891215
JP 02218668	A2	19900831	JP 1989-324135	19891215
US 5252573	A	19931012	US 1991-793183	19911118
US 5395838	A	19950307	US 1993-91828	19930713

PRIORITY APPLN. INFO.: GB 1988-29296 A 19881215
US 1989-450670 A3 19891214
US 1991-793183 A3 19911118
OTHER SOURCE(S): MARPAT 114:23978
GI



I

AB Title compds. I (R4 = H, H2N, C1-6 alkyl, C1-6 alkoxy, substituted C1-3 alkyl, C1-3 hydroxyalkoxy, C1-6 alkoxyalkoxy; R2 = H, C1-6 alkyl, -alkenyl, -alkynyl, -hydroxyalkyl, -haloalkyl, -cyanoalkyl; Ar = (substituted) phenylene, -heterocyclene; L = CONH, NHCO, CH:CH, etc.; Y = C1-10 aryl, -hydrogenated aryl, -heteroaryl, etc.) or a pharmaceutically-acceptable salt thereof, are prepared (PhO)2PON3 and Et3N were added successively to a mixture of p-[N-(3,4-dihydro-2-methyl-4-oxoquinazolin-6-methyl)-N-prop-2-ynylamino]benzoic acid-trifluoroacetic acid salt and DMSO. The mixture was stirred for 5 h followed by

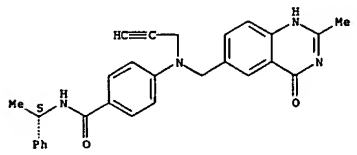
L5 ANSWER 91 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
3-(aminomethyl)pyridine to give I (R1 = H; R2 = HC.tplbond.CCH2; ArL = C6H4CO; Y = 3-pyridylmethyl). Similarly prepd. was I (R1 = Me; R2 = HC.tplbond.CCH2, L = NHCO; Y = 2-pyridylmethyl) (II). II showed an IC50 of 3.9 μM against L1210 cell line. Pharmaceutical formulations comprising I are given.

IT **131051-44-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antitumor agent)

RN 131051-44-0 HCAPLUS

CN Benzamide, 4-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-2-propynylamino]-N-(1-phenylethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

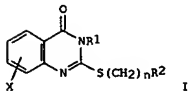


L5 ANSWER 92 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:590443 HCAPLUS
DOCUMENT NUMBER: 109:190443
TITLE: Preparation, testing, and formulation of 2-(heterocyclylalkyl)quinazolin-4-ones as ulcer inhibitors
INVENTOR(S): Takahashi, Toshihiro; Horaguchi, Tatsuo; Nakamura, Koichi; Suzuki, Yoshikuni
PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 276825	A1	19880803	EP 1988-101148	19880127
EP 276825	B1	19920909		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63295565	A2	19881201	JP 1987-205071	19870820
JP 07107056	B4	19951115		
US 4861780	A	19890829	US 1988-148491	19880126
ES 2044981	T3	19940116	ES 1988-101148	19880127
US 5008266	A	19910416	US 1989-373024	19890726
PRIORITY APPLN. INFO.:			JP 1987-20123 A	19870130
			JP 1987-205071 A	19870820
			US 1988-148491 A3	19880126

OTHER SOURCE(S): CASREACT 109:190443; MARPAT 109:190443
GI



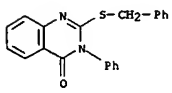
AB The title compds. [I; R1 = H, C1-6 alkyl, (substituted) aryl, aralkyl; R2 = C1-6 alkylamino, (substituted) Ph, heterocyclyl, geranyl, dipyridylmethylalkyl; X = H, halo, C1-6 alkyl] and pharmaceutically acceptable salts thereof were prepared as ulcer inhibitors. A mixture of NaOMe and 2-chloromethylpyridine.HCl in MeOH was added to 2-mercapto-3-phenyl-4(3H)-quinazolinone in MeOH and the mixt was stirred 2.5 h to give 3-phenyl-2-(2-pyridylmethylthio)-4-(3H)quinazolinone. I gave 40-96% inhibition of indomethacin-induced ulcers in mice at 100 mg/kg orally.

IT **83671-73-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as ulcer inhibitor)

L5 ANSWER 92 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 83671-73-2 HCAPLUS

CN 4(3H)-Quinazolinone, 3-phenyl-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

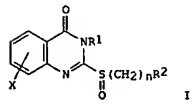


L5 ANSWER 93 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:570453 HCAPLUS
DOCUMENT NUMBER: 109:170453
TITLE: Preparation, testing, and formulation of 2-(aralkylsulfinyl)-4(3H)-quinazolinones as ulcer inhibitors
INVENTOR(S): Takahashi, Toshihiro; Horaguchi, Tatsuo; Nakamaru, Koichi; Suzuki, Yoshikuni
PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 276826	A1	19880803	EP 1988-101149	19880127
EP 276826	B1	19911227		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
JP 63301873	A2	19881208	JP 1987-205072	19870820
JP 07049423	B4	19950531		
US 4833144	A	19890523	US 1988-148602	19880126
ES 2038218	T3	19930716	ES 1988-101149	19880127
PRIORITY APPLN. INFO.:			JP 1987-20124 A	19870130
			JP 1987-205072 A	19870820

OTHER SOURCE(S): MARPAT 109:170453
GI



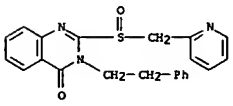
AB The title compds. [I; R1 = C1-6 alkyl, (substituted) aryl, aralkyl; R2 = (substituted) Ph, (substituted) 5- or 6-membered heterocyclyl; X = H, C1-6 alkyl, halo; n = 1, 2], useful as ulcer inhibitors, were prepared A solution of NaOMe in MeOH and 2-chloromethylpyridine-HCl were added to 2-mercapto-3-phenyl-4(3H)-quinazolinone in MeOH and the mixture was stirred at room temperature for 2.5 h to give 3-phenyl-2-(2-pyridylmethylthio)-4-(3H)-quinazolinone, which was dissolved in CHCl3 and treated with m-ClC6H4C(O)OOH at ice temperature to give 3-phenyl-2-(2-pyridylmethylsulfinyl)-4(3H)-quinazolinone. The latter at 100 mg/kg orally in mice gave 83% suppression of indomethacin-induced ulcer.

IT **117038-15-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as ulcer inhibitor)

RN 117038-15-0 HCAPLUS

CN 4(3H)-Quinazolinone, 3-(2-phenylethyl)-2-[(2-pyridinylmethyl)sulfinyl]-

L5 ANSWER 93 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

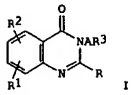


L5 ANSWER 94 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:112488 HCAPLUS
DOCUMENT NUMBER: 108:112488
TITLE: Preparation of 3-heteroarylalkyl-4-quinazolinones as cardiovascular agents
INVENTOR(S): Wright, William B., Jr.; Tomcufcik, Andrew S.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4710502	A	19871201	US 1985-795022	19851104
US 4753944	A	19880628	US 1987-101898	19870928
PRIORITY APPLN. INFO.:			US 1985-795022	A3 19851104

OTHER SOURCE(S): CASREACT 108:112488; MARPAT 108:112488
GI

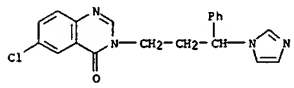


I

AB The title compds. (I; R = H, C1-4 alkyl; R1, R2 = H, halo, CF3; R3 = triazolyl, imidazolyl; A = C3-10 alkylene) are prepared as thromboxane synthetase inhibitors-antihypertensives. A mixture of 2-amino-N-[3-(1H-imidazol-1-yl)propyl]benzamide and HC(OEt)3 was heated at 100-120° for 2 h to give 3-[3-1H-imidazol-1-yl)propyl]-4(3H)quinazolinone.2HCl. I at ≤100 mg/kg orally reduced the average b.p. of spontaneously hypertensive rats to 110-139 mm Hg, vs./60 for controls.

IT 113082-57-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

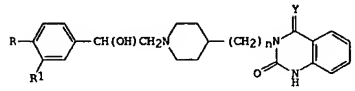
RN 113082-57-8 HCAPLUS
CN 4(3H)-Quinazolinone, 6-chloro-3-[3-(1H-imidazol-1-yl)-3-phenylpropyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 94 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L5 ANSWER 95 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:583620 HCAPLUS
DOCUMENT NUMBER: 105:183620
TITLE: Synthesis of piperidine derivatives with a quinazoline ring system as potential antihypertensive agents
AUTHOR(S): Takai, Haruki; Obase, Hiroyuki; Teranishi, Masayuki; Karasawa, Akira; Kubo, Kazuhiro; Shuto, Katsuichi; Kasuya, Yutaka; Shigenobu, Koki
CORPORATE SOURCE: Tokyo Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Tokyo, 194, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1986), 34(5), 1907-16
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:183620
GI

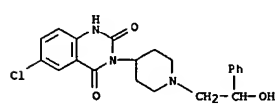


I, RR1=OCH2O, n=0, Y=O
II, R=Cl, R1=H, n=1, Y=H2

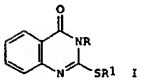
AB A series of piperidine derivs. with a 2-oxo-1,2,3,4-tetrahydro-quinazoline or 2,4-dioxo-1,2,3,4-tetrahydroquinazoline ring at the 4-position were prepared and tested for antihypertensive activity in rats. Among the compds tested, I [92311-03-0] and II [92311-10-9] produced relatively strong hypotension in the spontaneously hypertensive rat model.

IT 92311-06-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antihypertensive activity of)

RN 92311-06-3 HCAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-3-[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

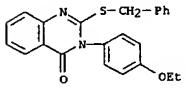


L5 ANSWER 96 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:616118 HCAPLUS
DOCUMENT NUMBER: 97:216118
TITLE: Synthesis of some new 4(3H)-quinazolones and their derivatives as possible antitubercular agents
AUTHOR(S): Zaidi, Nafeesa B.; Rao, R. P.; Sharma, B.
CORPORATE SOURCE: Dep. Chem., Univ. Gorakhpur, India
SOURCE: Acta Ciencia Indica, Chemistry (1981), 7(1-4), 63-8
CODEN: ACICDV; ISSN: 0253-7338
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

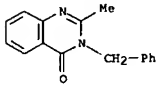


I

AB Quinazolones I (R = cyclohexyl, R1 = alkyl), useful as turberculostatics (no data), were prepared by cyclocondensation of o-H2NC6H4CO2H with cyclohexyl isothiocyanate followed by alkylation with an alkyl halide. Addnl. obtained were I (R = aryl, R1 = aralkyl, aryl).
IT 1688-86-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and tuberculostatic activity of)
RN 1688-86-4 HCAPLUS
CN 4(3H)-Quinazolinone, 3-(4-ethoxyphenyl)-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



L5 ANSWER 97 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:14891 HCAPLUS
DOCUMENT NUMBER: 80:14891
TITLE: Synthesis and pharmacological studies of some quinazolone derivatives
AUTHOR(S): Stefanova, D.; Daleva, L.; Kolchagova, R.; Zhelyazkov, L.
CORPORATE SOURCE: Sci.-Res. Chem.-Pharm. Inst., Sofia, Bulg.
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1973), 7(10), 19-24
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Quinazolones (I; R = o-MeCGH4, cyclohexyl, Ph, p-ClC6H4, p-MeOC6H4, p-EtOCGH4, furfuryl, PhCH2, PhCH2CH2) were prepared by amination of o-AcNHC6H4CO2H with RNH2 in the presence of polyphosphoric acid containing POCl3 and their pharmacol. activity determined Compds. were tested for stimulant activity, as tranquilizers (morphine and phenamine antagonists), for soporific activity, effect on muscle tone, and effect on exptl. convulsions. LD50 (mg/kg, rats) ranged from 320 to 3000. Addnl. compds. prepared and tested were quinazolones [II; R = 3,4,5-(MeO)3-C6H2, p-ClC6H4OCH2, 4-pyridyl, 3-pyridyl].
IT 3244-93-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. activity of)
RN 3244-93-7 HCAPLUS
CN 4(3H)-Quinazolinone, 2-methyl-3-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

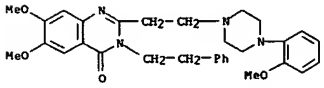


● HCl

L5 ANSWER 98 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:85842 HCAPLUS
DOCUMENT NUMBER: 76:85842
TITLE: Pharmacologically active piperazinylalkyl 4-quinazolinone derivatives
INVENTOR(S): Amschler, Hermann; Klemm, Kurt; Schoetensack, Wolfgang
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: Ger. Offen., 54 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
✓	DE 2027645	A	19711209	DE 1970-2027645	19700605
	US 3984555	A	19761005	US 1971-148100	19710528
	AT 317899	B	19740925	AT 1973-2442	19710601
	AT 318615	B	19741111	AT 1971-4705	19710601
	AT 318628	B	19741111	AT 1973-2441	19710601
	CH 557829	A	19750115	CH 1971-8020	19710602
	CH 558374	A	19750131	CH 1974-4500	19710602
	CH 569732	A	19751128	CH 1974-4501	19710602
	GB 1331522	A	19730926	GB 1971-18803	19710603
	CA 951319	A1	19740716	CA 1971-114709	19710603
	BE 768137	A1	19711206	BE 1971-104283	19710604
	NL 7107695	A	19711207	NL 1971-7695	19710604
	FR 2100726	A5	19720324	FR 1971-20368	19710604
	FR 2100726	B1	19751010		

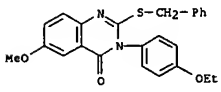
PRIORITY APPLN. INFO.: DE 1970-2027645 A 19700605
GI For diagram(s), see printed CA Issue.
AB The 33 piperazinoalkylquinazol-inones I [R = R1 = H, OMe, R = H, R1 = Me; R2 = H, Me, PhCH2CH2, Me2CHCH2CH2, cyclohexyl; A = CH2, (CH2)2, (CH2)3, CHEt, CH:CHCH2; R3 = H, 2-, 3-, or 4-Me, OMe, Cl, F, 3-CF3, 2-OEt] have hypotensive, antihistaminic, and analgesic properties, but only slight sedative and no anticonvulsive effect. They are prepared by treating a suitably substituted 2-carbamoylanilide with a 1-arylpiperazine and cyclizing. Thus, 14.2 g 2,4,5-H2NOC(MeO)2C6H2NHCOCH2CH2Br in MeCN was treated with 7 g 1-phenylpiperazine and 7.8 g dicyclohexylamine. The product was treated with 2.24 g KOH in MeOCH2CH2OH to give 78% I [R = R1 = OMe, R2 = R3 = H, A = (CH2)2]. The preparation of 17 intermediates was also given.
IT 35265-53-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)
RN 35265-53-3 HCAPLUS
CN 4(3H)-Quinazolinone, 6,7-dimethoxy-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 99 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:466536 HCAPLUS
DOCUMENT NUMBER: 73:66536
TITLE: Medicinal chemistry of oxoquinazolines. VII. Synthesis and pharmacology of some 4-oxoquinazolines and related 4-propargyloxyquinazolines and open amides
AUTHOR(S): Kronberg, Leif; Bogentoft, Conny; Westerlund, Douglas; Danielsson, Bengt; Ljungberg, Stellan; Paalzow, Lennart
CORPORATE SOURCE: Dep. Org. Chem., Farmaceut. Fak., Stockholm, Swed.
SOURCE: Acta Pharmaceutica Suecica (1970), 7(1), 37-46
CODEN: APSXAS; ISSN: 0001-6675
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The chemistry and pharmacol. of 26 4-oxoquinazolines and related compds. were studied. I (R = p-ClC6H4, R1 = R2 = H) showed a small anticonvulsant activity. I (R = CH:CHPh or 2-furyl; R1 = R2 = H; or R = Ph, R1 = R2 = Cl) and II had significant antidiuretic activity, while I (R = CH2Ph or CH2CH2PH; R1 = R2 = H; or R = Ph, R1 = Cl, R2 = H) had significant diuretic activity. No correlation was found between the antidiuretic and analgesic activities of the 4 antidiuretics. I (R = Ph, R1 = R2 = H) possessed sedative and spasmolytic activities.
IT 26059-86-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacology of)
RN 26059-86-9 HCAPLUS
CN 4(3H)-Quinazolinone, 2-(2-phenylethyl)-3-(2-propynyl)- (9CI) (CA INDEX NAME)

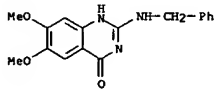
L5 ANSWER 100 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1968:114543 HCAPLUS
DOCUMENT NUMBER: 68:114543
TITLE: Antitubercular 6-methoxy-2-mercaptoquinazolin-4-ones
AUTHOR(S): Murav'eva, K. M.; Arkhangel'skaya, N. V.; Shchukina, M. N.; Zykova, T. N.; Pershin, G. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1967), 1(8), 29-31
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB A solution of 1.67 g. 5-methoxyanthranilic acid (I) and 1.79 g. p-EtOC6H4SCN in 60 cc. absolute EtOH was refluxed 4.5 hrs. to give 70% II [R1 = H, R2 = p-EtOC6H4(A)] (IIa), m. 338-9° (decomposition) (Me2NCHO). I, m. 147-8° (benzene), was prepared in 80.2% yield by hydrogenation of 0.0235 mole 5-methoxy-2-nitrobenzoic acid in 120 cc. MeOH over Raney Ni at 20-5°. II (R1 = Pr, R2 = A), m. 156.5-7.5°, was obtained in 86% yield by stirring 0.001825 mole IIa, 0.00585 mole NaOH (90% aqueous solution), and 0.00228 mole PrBr 1 hr. at 20-30°. Similarly prepared were the following II (R1 = A) [R2, m.p. (EtOH) and % yield given]: iso-Pr, 143 0-3 5°, 31.1; Bu, 151-2°, 80; iso-Bu, 163-4°, 70; amyl, 125.5-6.5°, 83; isoamyl, 164.5-5.0°, 80; hexyl, 124-5°, 99; heptyl, 105-6°, 74; PhCH2, 159.0-9.5°, 70. II (R1 = H, R2 = Bz) (IIb), m. 183-4°, was obtained in 85% yield by treating 0.0177 mole 4,2-MeO(HO2C)C6H3NHCSNHBz (III) with 100 cc. concentrated H2SO4. III, m. 197° (decomposition) (EtOH), was prepared in 89.6% yield by refluxing 0.021 mole I and 0.02 mole benzoylthiocyanate in 30 cc. absolute EtOH 1 hr. II (R1 = R2 = H), m. 295-6° (decomposition), was obtained in 86% yield by treatment of 4.9 g. IIb with 3 g. NaOH in 50 cc. 50% aqueous EtOH 24 hrs. Prepared were the following II (R2 = H) [R1, m.p. (EtOH) and % yield given]: H, 183-4°, 85; H, 295-6° (decomposition), 86; Me, 234-5°, 70; Et, 203-4°, 99; Pr, 194-5°, 85; Bu, 188-9°, 95; iso-Bu, 202-3°, 82; amyl 173-4°, 87; isoamyl, 179.5-80.5°, 98; hexyl, 159.5-60.0°, 90; heptyl, 158-9°, 82; PhCH2, 213-14°, 79; CH2:CHCH2, 184-5°, 75; CH2CO2H, 203-4° (decomposition), 99.
IT 18214-08-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitubercular activity of)
RN 18214-08-9 HCAPLUS
CN 4(3H)-Quinazolinone, 2-(benzylthio)-3-(p-ethoxyphenyl)-6-methoxy- (8CI) (CA INDEX NAME)



L5 ANSWER 101 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1968:103738 HCAPLUS
DOCUMENT NUMBER: 68:103738
TITLE: Antihypertensive 2-amino-4(3H)-quinazolinones
AUTHOR(S): Hess, Hans J.; Cronin, Timothy H.; Scriabine, Alexander
CORPORATE SOURCE: Med. Res. Lab., Chas. Pfizer and Co., Inc., Groton, CT, USA
SOURCE: Journal of Medicinal Chemistry (1968), 11(1), 130-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 68:103738
AB The preparation of a series of amino-4(3H)-quinazolinones is described. Several of these compds. exerted an acute antihypertensive effect in dogs after oral administration, without influencing heart rate. Studies of structure-activity relations demonstrated dimethylamino, diethylamino, diallylamino, ethylallylamino, and N-methylpiperazino substitution at position 2, and 6,7-dimethoxy substitution in the aromatic ring to be optimal for antihypertensive activity. 35 references.
IT 20198-38-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antihypertensive activity of)
RN 20198-38-3 HCAPLUS
CN 4(1H)-Quinazolinone, 6,7-dimethoxy-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 100 OF 101 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)